09/ 596,001

Trying 3106016892...Open

Welcome to STN International! Enter x:x
LOGINID:ssspta1202txn
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:15:38 ON 24 OCT 2001

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 0.30 0.30

FILE 'REGISTRY' ENTERED AT 10:16:32 ON 24 OCT 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 22 OCT 2001 HIGHEST RN 364037-88-7
DICTIONARY FILE UPDATES: 22 OCT 2001 HIGHEST RN 364037-88-7

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

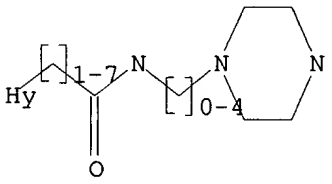
Crossover limits have been increased. See HELP CROSSOVER see HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09596001.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:17:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1649 TO ITERATE

60.6% PROCESSED 1000 ITERATIONS 6 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 30545 TO 35415
PROJECTED ANSWERS: 9 TO 385

L2 6 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 10:17:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 31741 TO ITERATE

09/ 596,001

100.0% PROCESSED 31741 ITERATIONS 311 ANSWERS
SEARCH TIME: 00.00.15

L3 311 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 134.18 134.48

FILE 'CAPLUS' ENTERED AT 10:18:14 ON 24 OCT 2001
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FILE COVERS 1947 - 24 Oct 2001 VOL 135 ISS 18
FILE LAST UPDATED: 23 Oct 2001 (20011023/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> s l3
L4 25 L3

=> d l4 1- ibib abs hitsttr
'HITSTTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
DALL -------- ALL, delimited (end of each field identified)

DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, IPC, and NCL

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:338558 CAPLUS
DOCUMENT NUMBER: 134:340709
TITLE: Preparation of substituted dipeptides having NOS inhibiting activity
INVENTOR(S): Shima, Ichiro; Ohkawa, Takehiko; Ohne, Kazuhiko; Sato, Kentaro; Ishibashi, Naoki; Imamura, Kenichiro
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

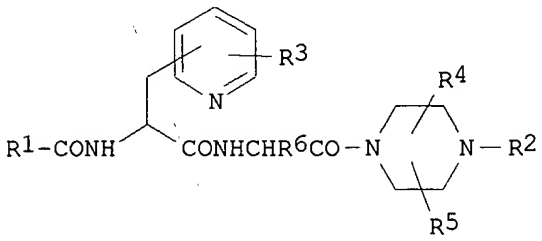
09/ 596,001

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032690	A1	20010510	WO 2000-JP7579	20001027

W: BR, CA, CN, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: AU 1999-3868 A 19991104
OTHER SOURCE(S): MARPAT 134:340709
GI



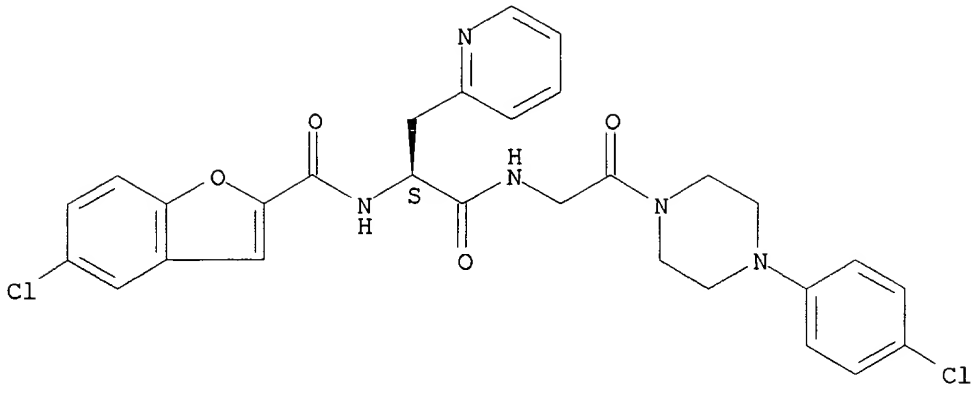
I

AB Dipeptides I [R1 is benzofuranyl or styryl substituted by halogen; R2 is (un)substituted Ph, pyridyl, thienyl, or thiazolyl; R3, R6 = H or lower alkoxy; R4, R5 = H, lower alkyl or optionally protected hydroxy(lower)alkyl] or their pharmaceutically acceptable salts were prepd. for use in the prevention and/or treatment of nitric oxide-mediated diseases. Thus, 5-chloro-N-[(1S)-2-[[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxo-1-(2-pyridylmethyl)ethyl]-1-benzofuran-2-carboxamide (II) was prepd. via amidation reaction and showed 100% inhibition of nitric acid. The combination of compd. II and FK507 dramatically prolonged graft survival in rat cardiac allograft.

IT **337530-26-4P 337530-27-5P 337530-35-5P**
337530-36-6P 337530-38-8P 337530-40-2P
337530-41-3P 337530-43-5P 337530-44-6P
337530-45-7P 337530-46-8P 337530-47-9P
337530-48-0P 337530-50-4P 337530-52-6P
337530-53-7P 337530-54-8P 337530-55-9P
337530-58-2P 337530-63-9P 337530-64-0P
337530-69-5P 337530-70-8P 337530-75-3P
337530-76-4P 337530-77-5P 337530-78-6P
337530-79-7P 337530-80-0P 337530-81-1P
337530-82-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted dipeptides having NOS inhibiting activity)

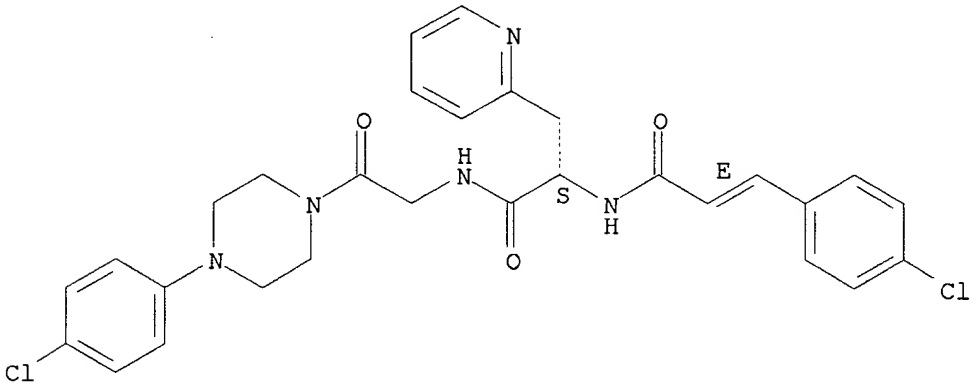
RN 337530-26-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



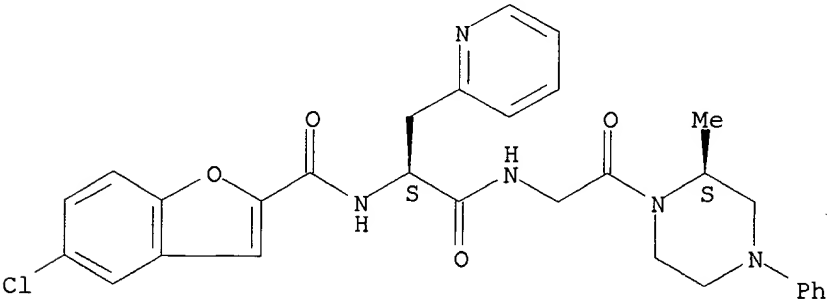
RN 337530-27-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



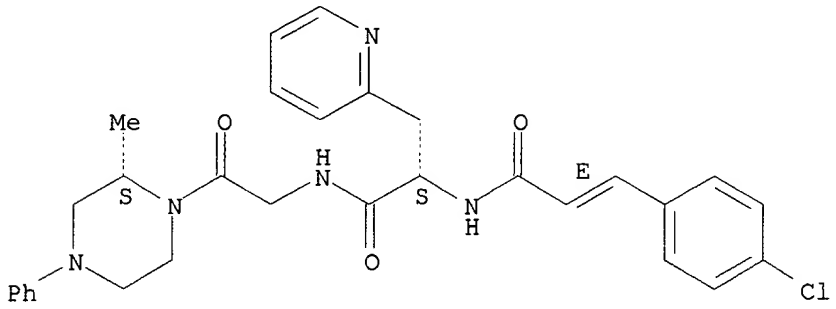
RN 337530-35-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-2-methyl-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



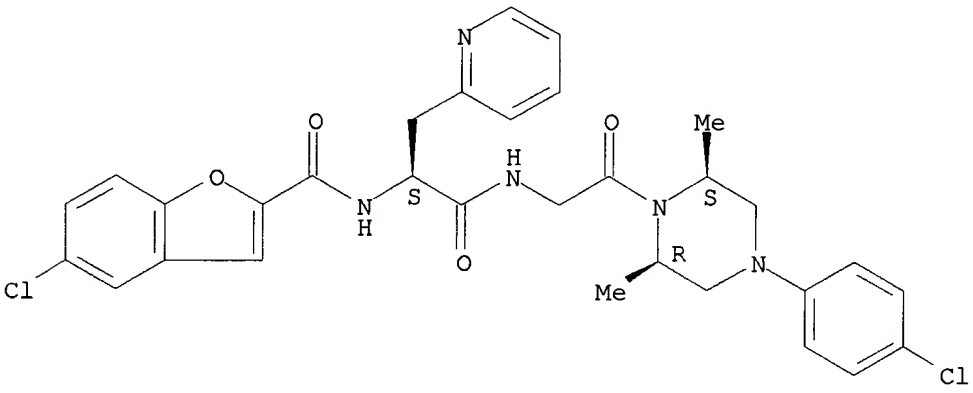
RN 337530-36-6 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[(2S)-2-methyl-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



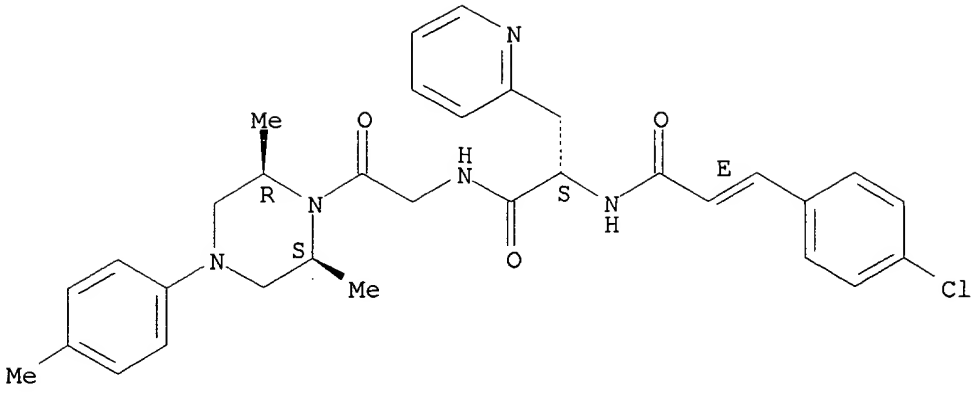
RN 337530-38-8 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2R,6S)-4-(4-chlorophenyl)-2,6-dimethyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



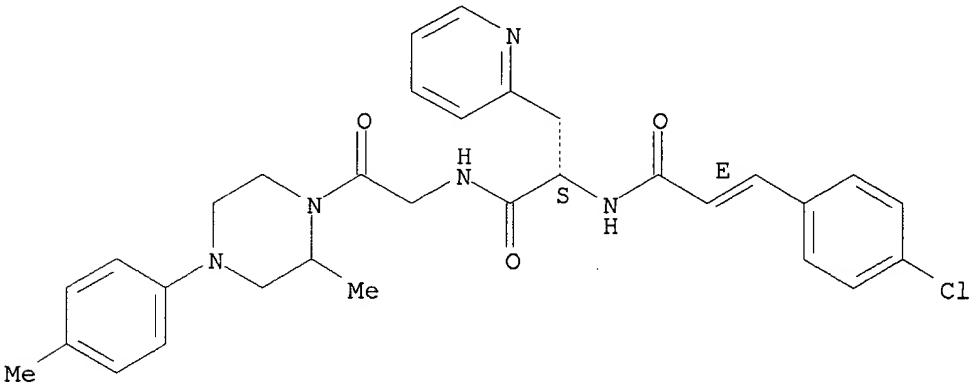
RN 337530-40-2 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[(2R,6S)-2,6-dimethyl-4-(4-methylphenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



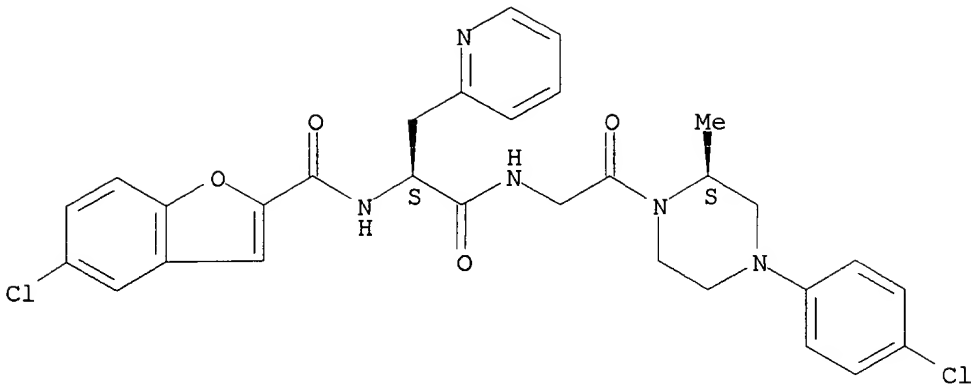
RN 337530-41-3 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[2-methyl-4-(4-methylphenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



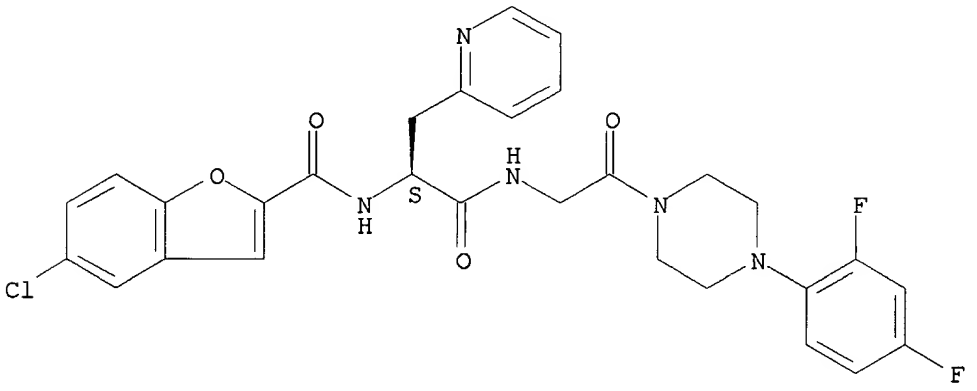
RN 337530-43-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-4-(4-chlorophenyl)-2-methyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



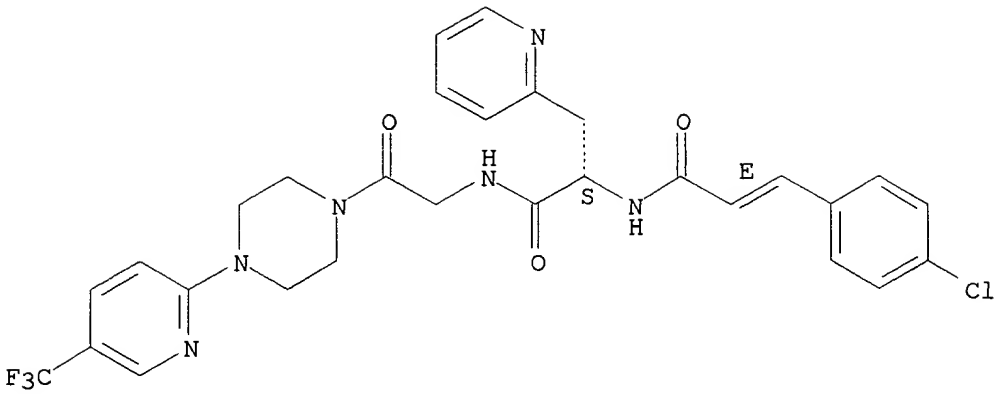
RN 337530-44-6 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(2,4-difluorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



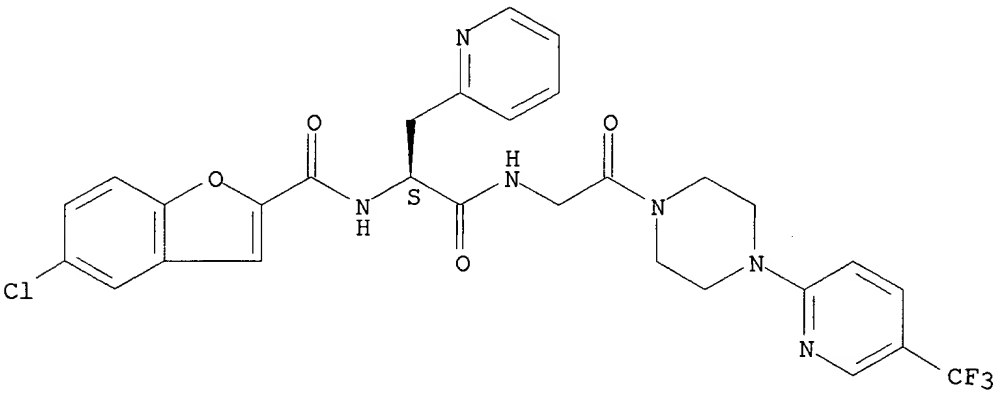
RN 337530-45-7 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



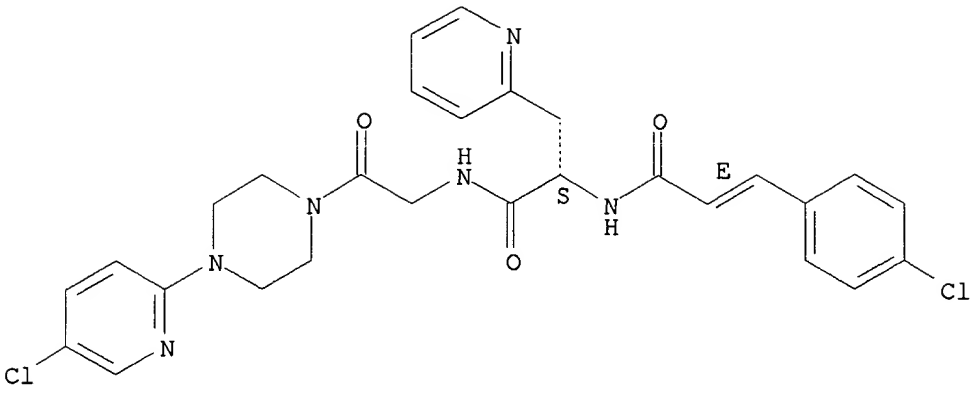
RN 337530-46-8 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



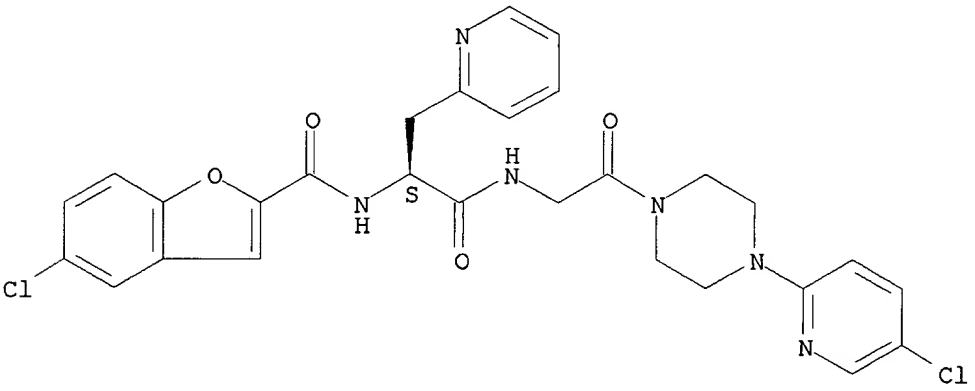
RN 337530-47-9 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[4-(5-chloro-2-pyridinyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



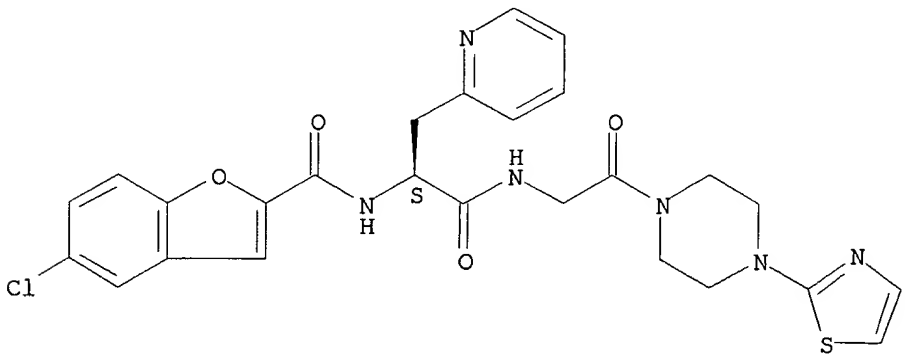
RN 337530-48-0 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(5-chloro-2-pyridinyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



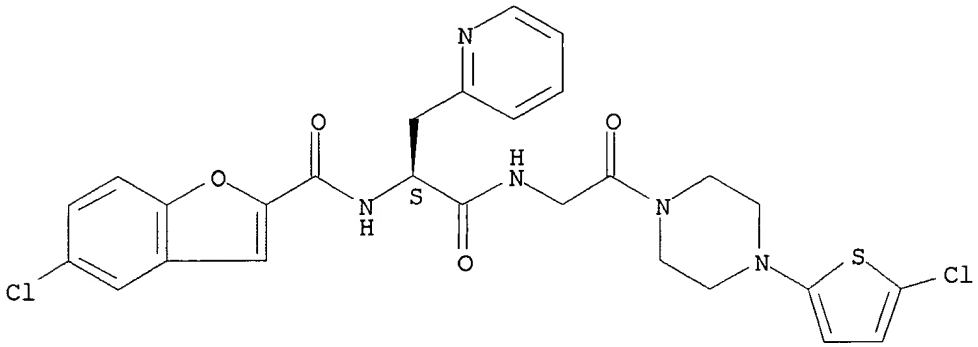
RN 337530-50-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-oxo-2-[4-(2-thiazolyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



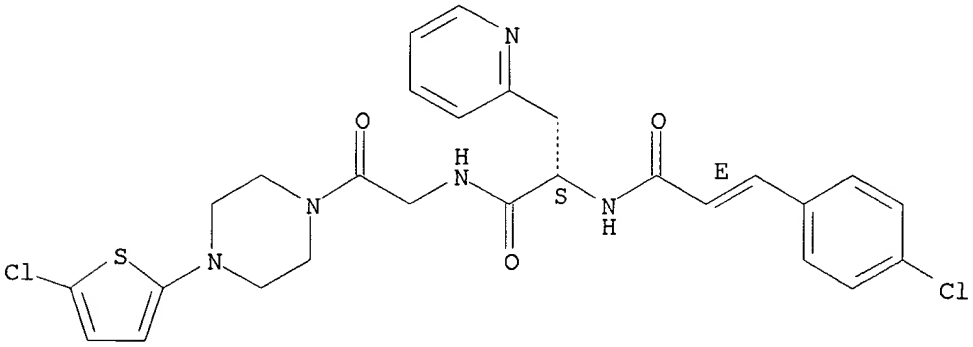
RN 337530-52-6 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(5-chloro-2-thienyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



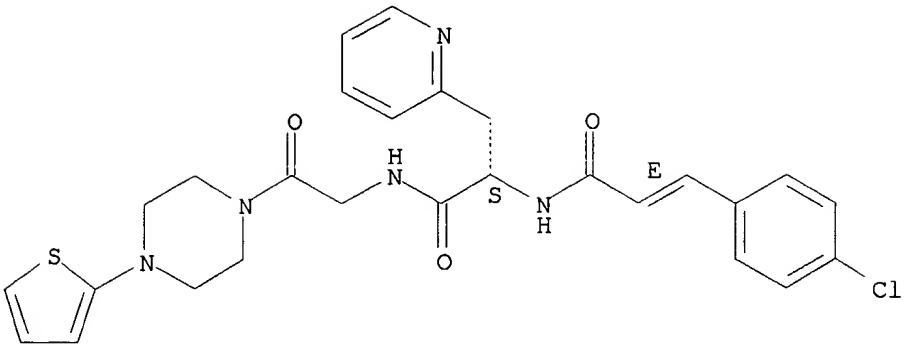
RN 337530-53-7 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[4-(5-chloro-2-thienyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



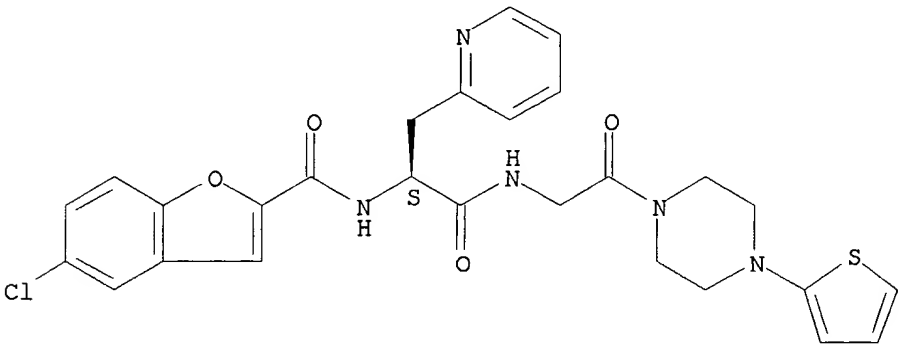
RN 337530-54-8 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-oxo-2-[4-(2-thienyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



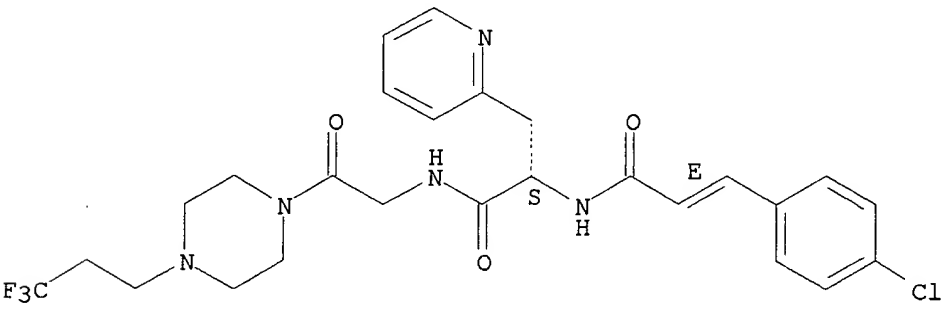
RN 337530-55-9 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-oxo-2-[4-(2-thienyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



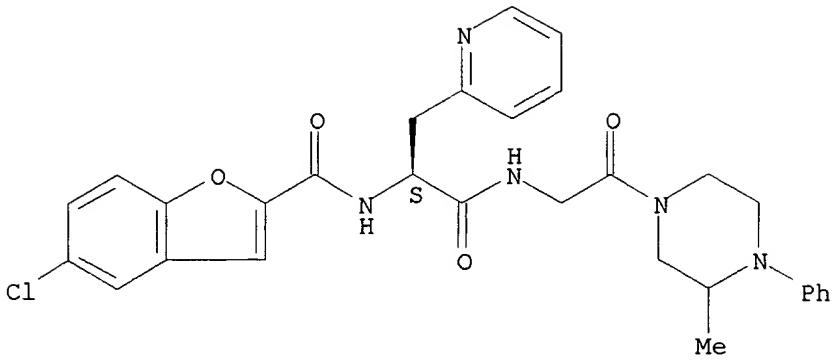
RN 337530-58-2 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-oxo-2-[4-(3,3,3-trifluoropropyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



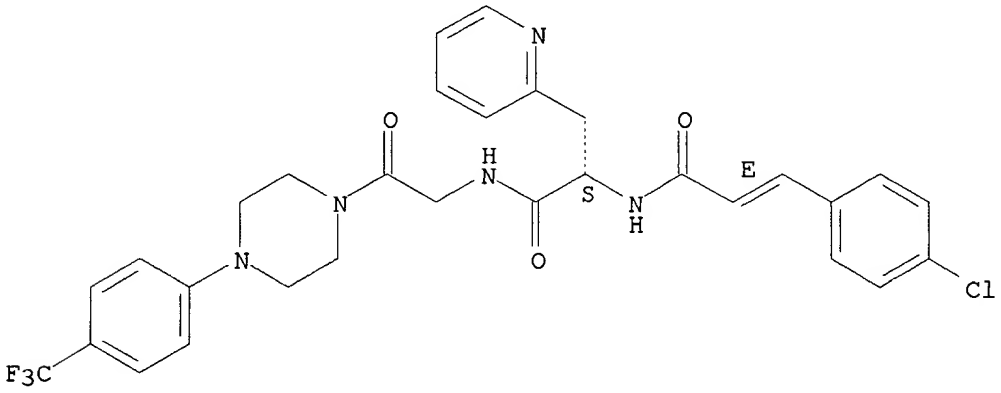
RN 337530-63-9 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-(3-methyl-4-phenyl-1-piperazinyl)-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



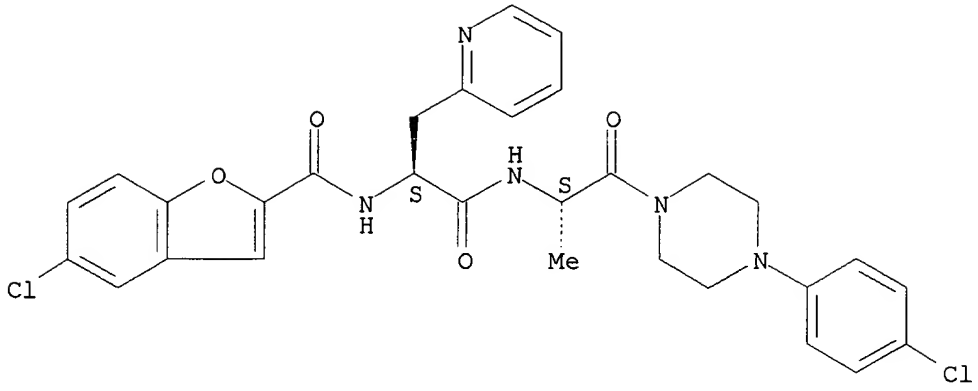
RN 337530-64-0 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-oxo-2-[4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



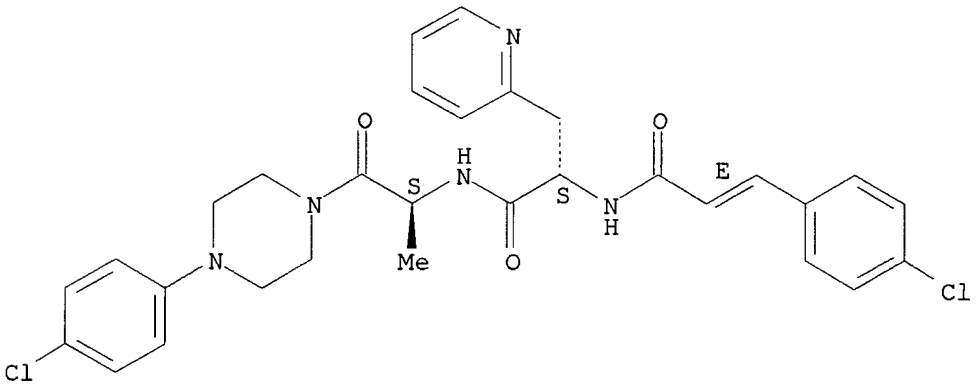
RN 337530-69-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[(1S)-2-[4-(4-chlorophenyl)-1-piperazinyl]-1-methyl-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



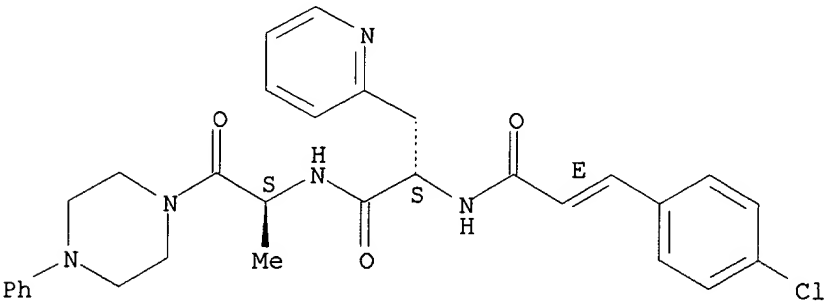
RN 337530-70-8 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[(1S)-2-[4-(4-chlorophenyl)-1-piperazinyl]-1-methyl-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



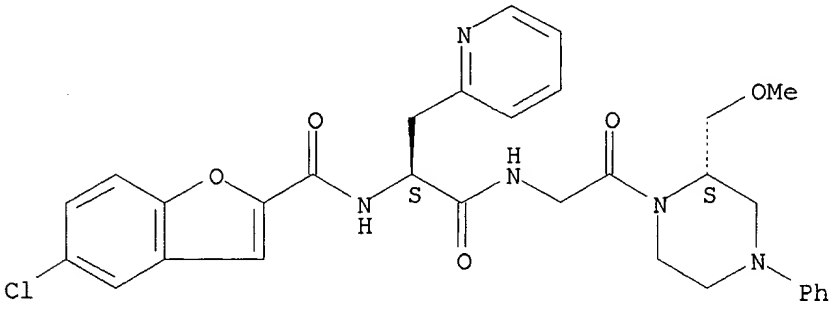
RN 337530-75-3 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[(1S)-1-methyl-2-oxo-2-(4-phenyl-1-piperazinyl)ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



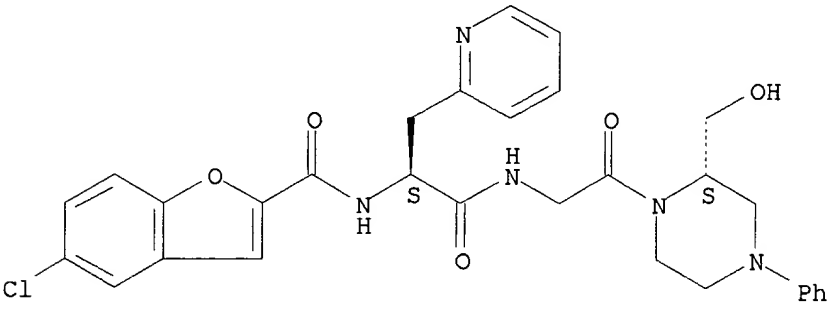
RN 337530-76-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-2-(methoxymethyl)-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



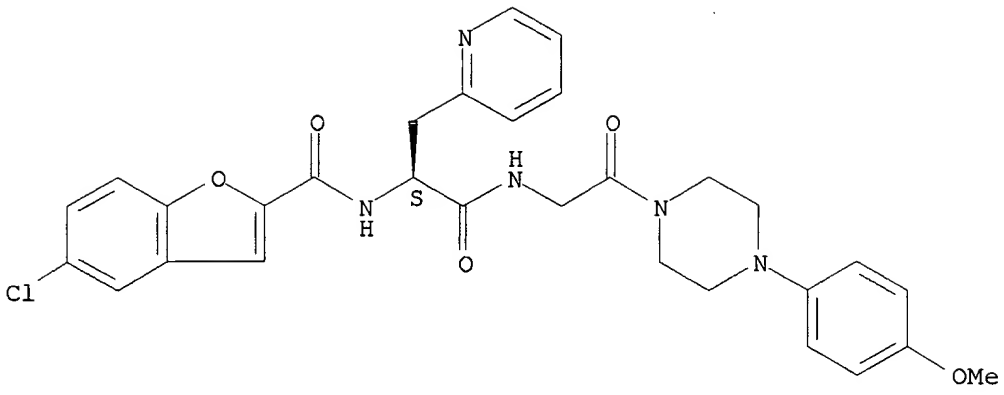
RN 337530-77-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-2-(hydroxymethyl)-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



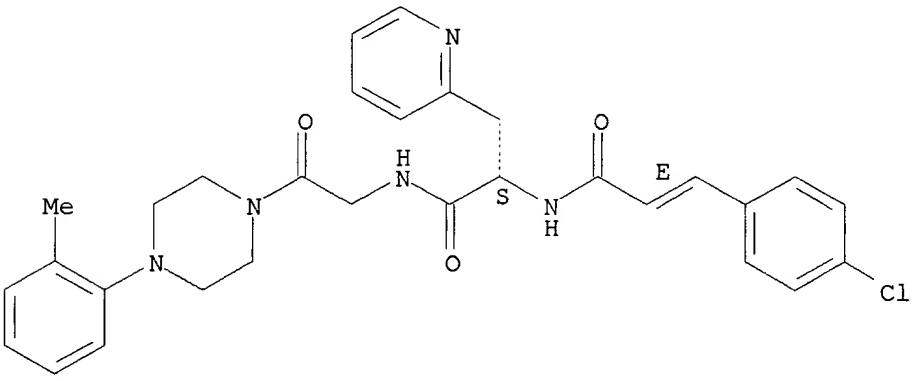
RN 337530-78-6 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



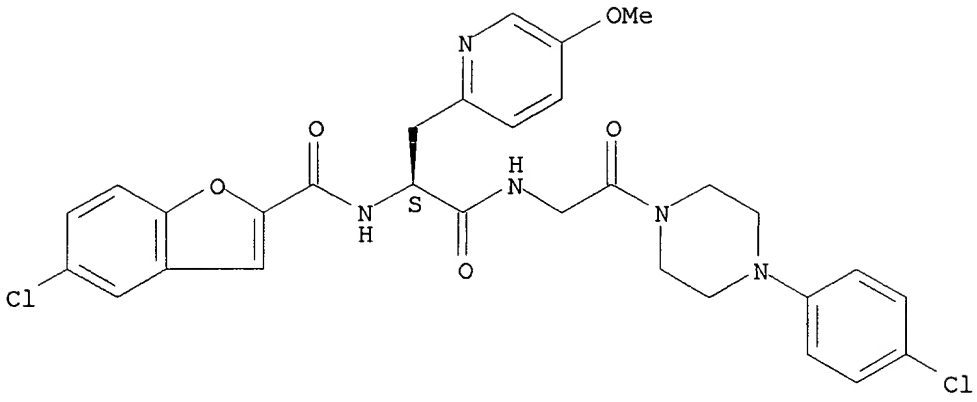
RN 337530-79-7 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[4-(2-methylphenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



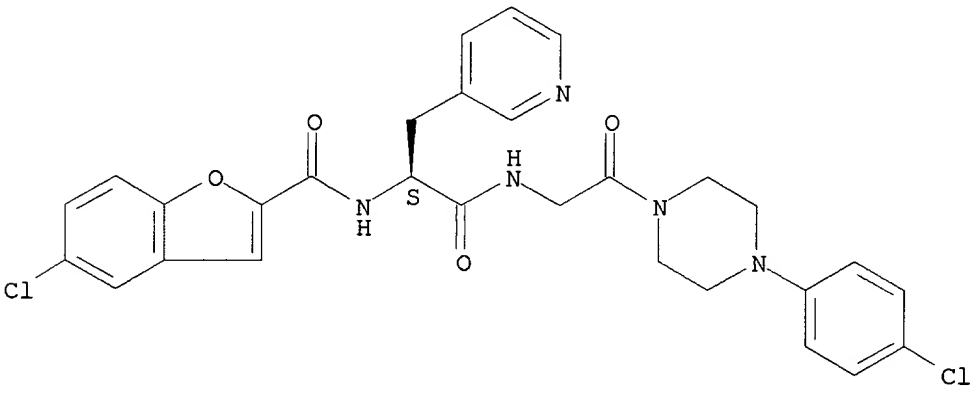
RN 337530-80-0 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-5-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



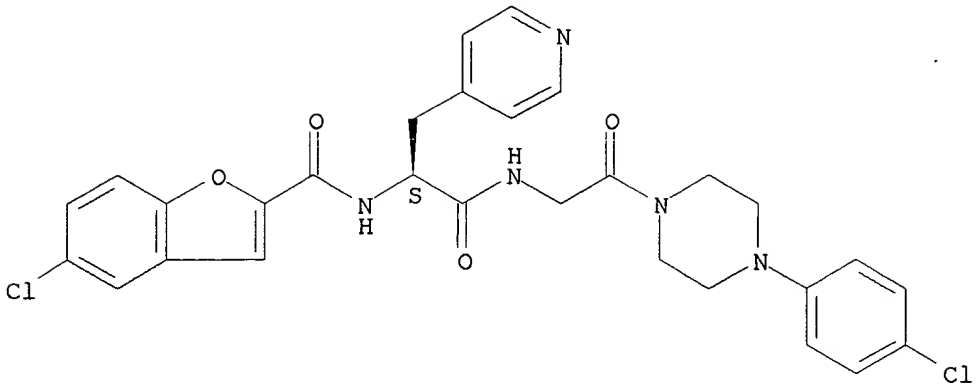
RN 337530-81-1 CAPLUS
CN 3-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



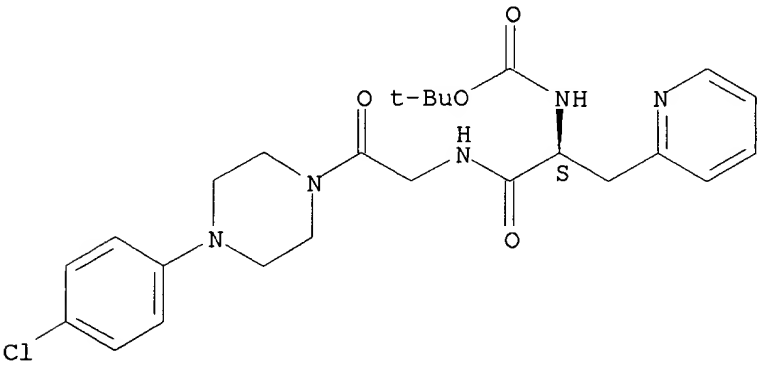
RN 337530-82-2 CAPLUS
CN 4-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



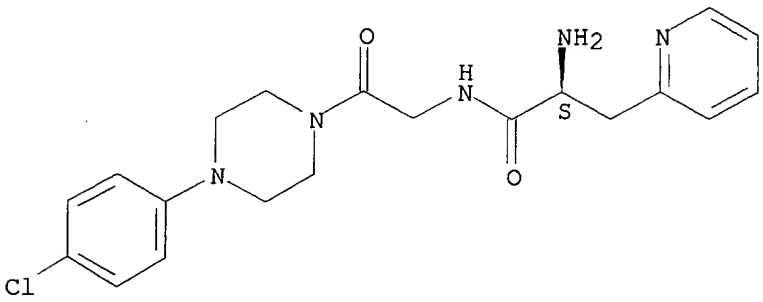
IT **337530-24-2P 337530-25-3P 337530-67-3P**
337530-68-4P 337530-73-1P 337530-74-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted dipeptides having NOS inhibiting activity)
RN 337530-24-2 CAPLUS
CN Carbamic acid, [(1S)-2-[[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxo-1-(2-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



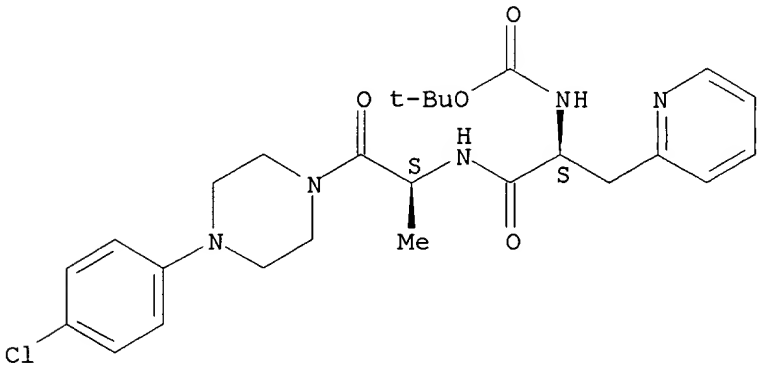
RN 337530-25-3 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-amino-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



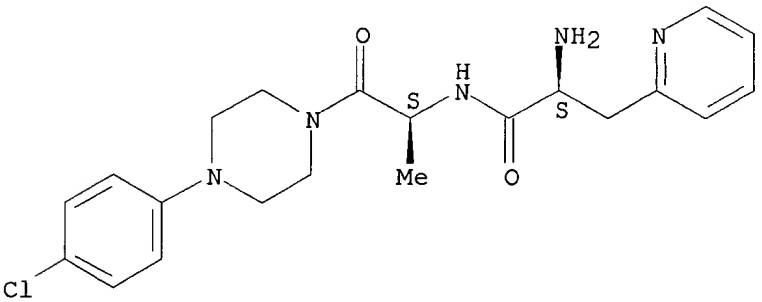
RN 337530-67-3 CAPLUS
CN Carbamic acid, [(1S)-2-[[(1S)-2-[4-(4-chlorophenyl)-1-piperazinyl]-1-methyl-2-oxoethyl]amino]-2-oxo-1-(2-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337530-68-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-amino-N-[(1S)-2-[4-(4-chlorophenyl)-1-piperazinyl]-1-methyl-2-oxoethyl]-, trihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

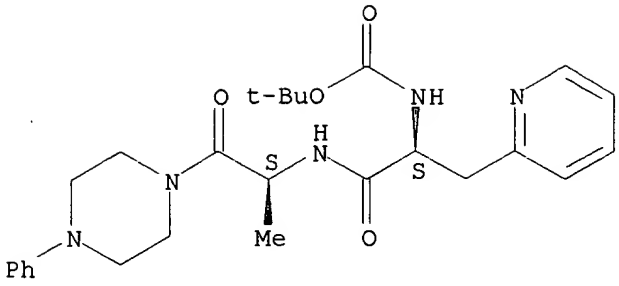
Absolute stereochemistry.



●3 HCl

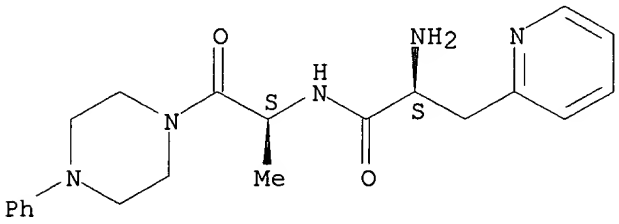
RN 337530-73-1 CAPLUS
CN Carbamic acid, [(1S)-2-[[(1S)-1-methyl-2-oxo-2-(4-phenyl-1-piperazinyl)ethyl]amino]-2-oxo-1-(2-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337530-74-2 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-amino-N-[(1S)-1-methyl-2-oxo-2-(4-phenyl-1-piperazinyl)ethyl]-, trihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



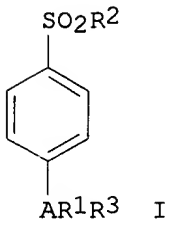
● 3 HCl

REFERENCE COUNT: 1
REFERENCE(S): (1) Hamashima, H; WO 9616981 A 1996 CAPLUS

L4 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:754502 CAPLUS
DOCUMENT NUMBER: 133:321880
TITLE: Treatment of inflammation and inflammation-related disorders with a combination of a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor.
INVENTOR(S): Isakson, Peter C.; Anderson, Gary D.; Gregory, Susan A.
PATENT ASSIGNEE(S): G. D. Searle & Co., USA
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 489,472, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6136839	A	20001024	US 1996-661660	19960611
CA 2224517	AA	19961227	CA 1996-2224517	19960611

PRIORITY APPLN. INFO.: US 1995-489472 B2 19950612
OTHER SOURCE(S): MARPAT 133:321880
GI



I

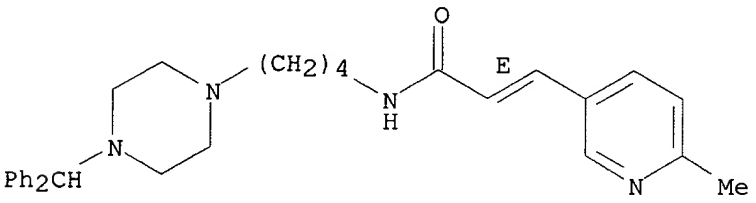
AB A combination comprising a 5-lipoxygenase inhibitor and a cyclooxygenase-2 inhibitor selected from title compds. [I; A = pyrazolyl; R1 = .gtoreq.1 of (substituted) heterocyclyl, cycloalkyl, cycloalkenyl, aryl; R2 = Me, amino; R3 = H, halo, alkyl, alkenyl, alkynyl, oxo, cyano, CO2H, cyanoalkyl, heterocyclyloxy, alkoxy, alkylthio, alkylcarbonyl, aryl, haloalkyl, etc.], is claimed. Thus, EtO2CCHF2 in MeOCMe3 was treated with NaOMe and then with 3-fluoro-4-methoxyacetophenone (prepn. given) followed by 16 h stirring to give 96% 4,4-difluoro-1-(3-fluoro-4-methoxyphenyl)butane-1,3-dione. This was refluxed 16 h with 4-sulfonamidophenylhydrazine hydrochloride in EtOH to give 87% 4-[5-(3-fluoro-4-methoxyphenyl)-3-difluoromethyl-1H-pyrazol-1-yl]benzenesulfonamide (II). II with 6-[[3-fluoro-5-(3,4,5,6-tetrahydro-4-methoxy-2H-pyran-4-yl)phenoxy]methyl]-1-methyl-1H-quinazolin-2-one (III) at 30 mpk/day orally in mice in the collagen-induced arthritis screen reduced incidence of arthritis to 20% (vs. 100% for controls). A formulation contg. II and III is given.

IT **118420-47-6**, AL 3264
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of inflammation and inflammation-related disorders with a combination of a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor)

RN 118420-47-6 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 80
REFERENCE(S):
(2) Anon; EP 248594 1987 CAPLUS
(3) Anon; EP 293220 1988 CAPLUS
(4) Anon; WO 8809675 1988 CAPLUS
(5) Anon; EP 351214 1990 CAPLUS
(6) Anon; WO 9108737 1991 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:690954 CAPLUS
DOCUMENT NUMBER: 131:307106
TITLE: Use of vitamin PP compounds as cytoprotective agents

in chemotherapy

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma GmbH, Germany
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19818044	A1	19991028	DE 1998-19818044	19980422
EP 1031564	A1	20000830	EP 1999-103814	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9939282	A1	19991108	AU 1999-39282	19990421
EP 1079832	A1	20010307	EP 1999-922119	19990421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
WO 2000050399	A1	20000831	WO 2000-EP1628	20000228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
DE 1998-19818044 A 19980422
EP 1999-103814 A 19990226
WO 1999-EP2686 W 19990421

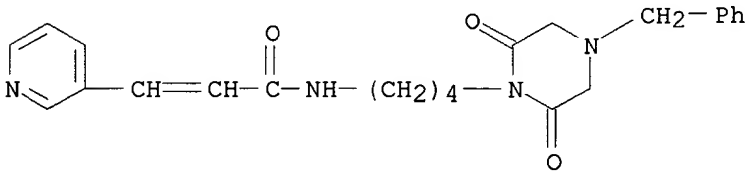
OTHER SOURCE(S): MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn., elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine carboxamides, as well as combination medicaments with an amt. of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are esp. considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong redn. of leukocytes was completely prevented.

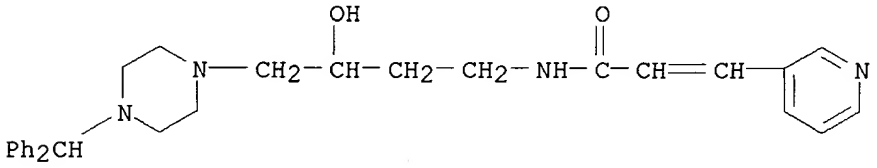
IT **227473-28-1 227775-36-2 227775-39-5 227775-51-1 227775-57-7 227775-59-9**

227775-61-3 227775-63-5 227775-64-6
227775-65-7 227775-71-5 227775-75-9
227775-79-3 227775-80-6 227775-81-7
227775-89-5 227775-90-8 227775-94-2
227775-95-3 227775-97-5 227775-98-6
227775-99-7 227776-00-3 227776-03-6
227776-04-7 227776-05-8 227776-06-9 24724
1-12-9 247241-13-0
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin PP compds. as cytoprotective agents in chemotherapy)

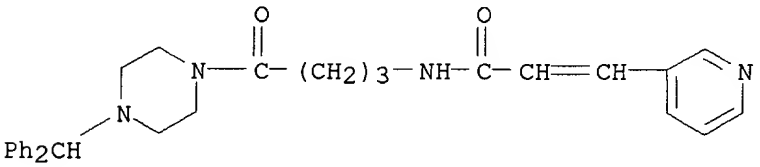
RN 227473-28-1 CAPLUS
CN 2-Propenamide, N-[4-[2,6-dioxo-4-(phenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



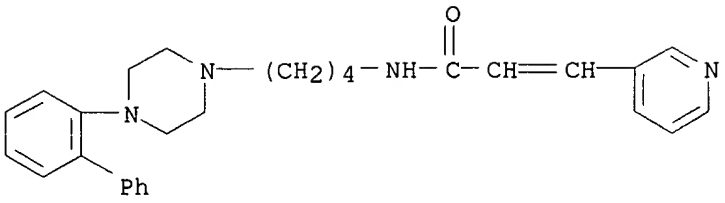
RN 227775-36-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]-3-hydroxybutyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-39-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]-4-oxobutyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

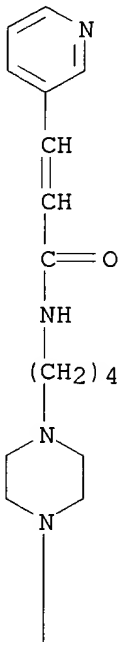


RN 227775-51-1 CAPLUS
CN 2-Propenamide, N-[4-(4-[1,1'-biphenyl]-2-yl-1-piperazinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

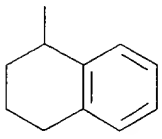


RN 227775-57-7 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[4-(1,2,3,4-tetrahydro-1-naphthalenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

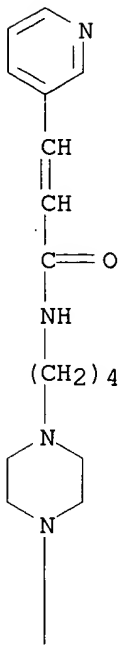


PAGE 2-A

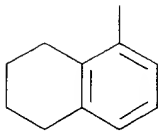


RN 227775-59-9 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[4-(5,6,7,8-tetrahydro-1-naphthalenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

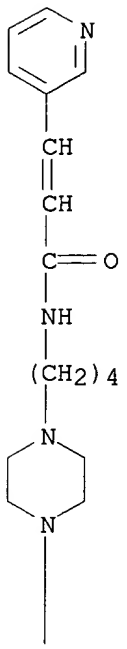


PAGE 2-A



RN 227775-61-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(1-naphthalenyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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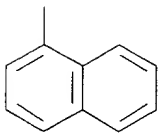
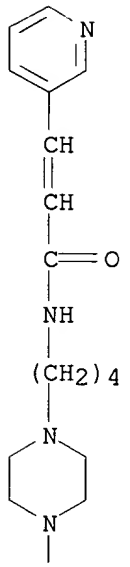


RN 227775-63-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(9H-fluoren-9-yl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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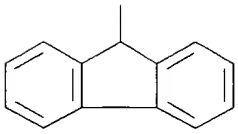
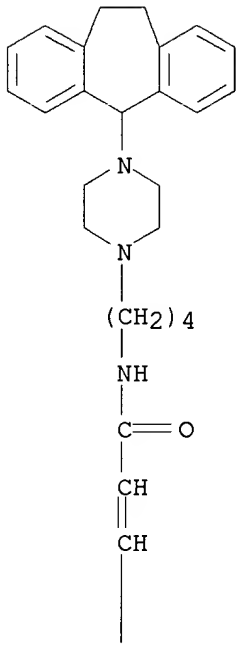
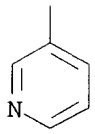


RN 227775-64-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

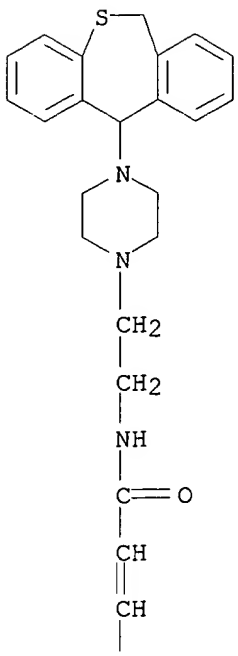


PAGE 2-A



RN 227775-65-7 CAPLUS
CN 2-Propenamide, N-[2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-1-piperazinyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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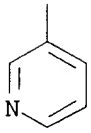
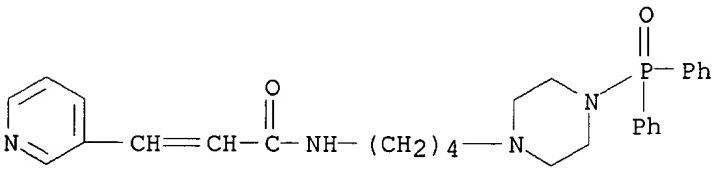


RN 227775-71-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylphosphinyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



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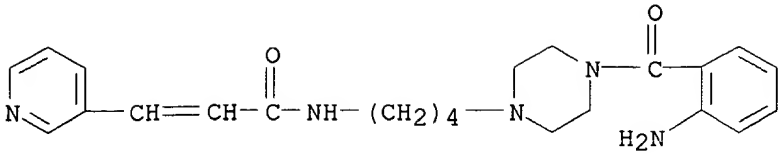

RN 227775-79-3 CAPLUS
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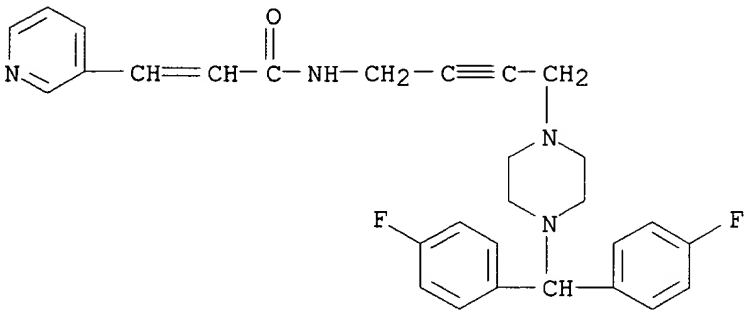
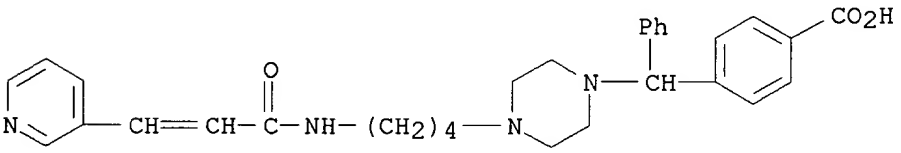
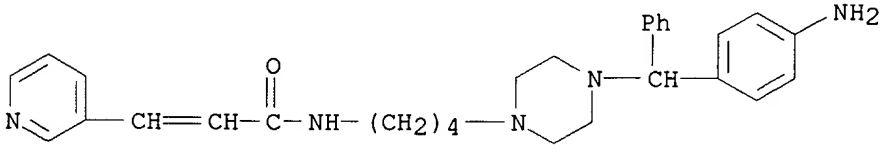


RN 227775-80-6 CAPLUS
CN Benzoic acid, 4-[[4-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-1-piperazinyl]phenylmethyl]- (9CI) (CA INDEX NAME)



RN 227775-81-7 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-aminophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-89-5 CAPLUS
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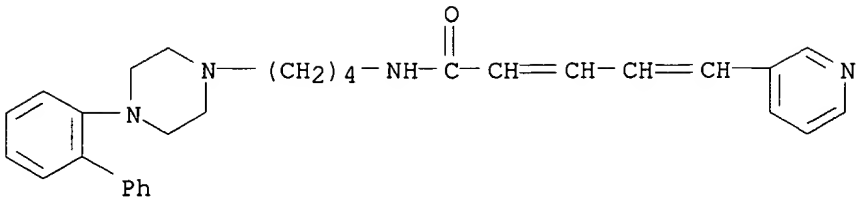
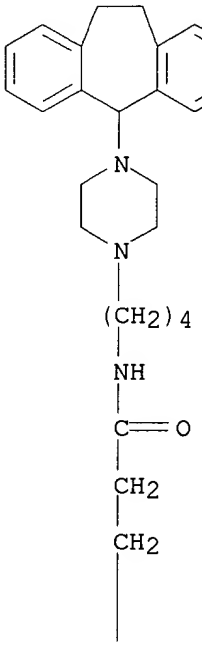
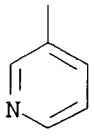


RN 227775-90-8 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

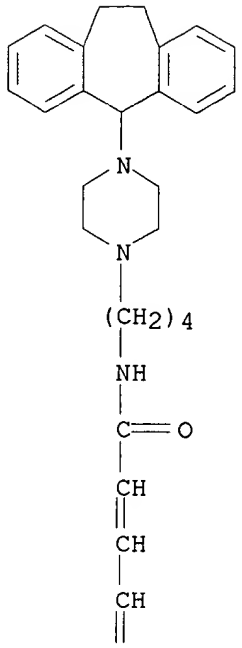


PAGE 2-A



RN 227775-94-2 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1-piperazinyl]butyl]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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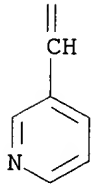
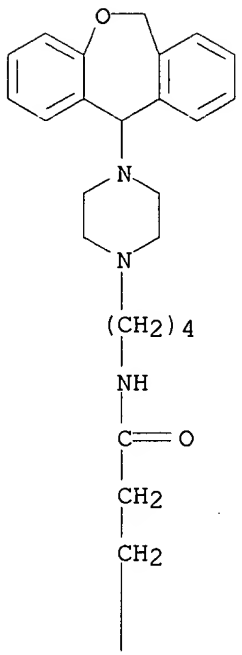
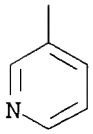


RN 227775-95-3 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(6,11-dihydrodibenz[b,e]oxepin-11-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



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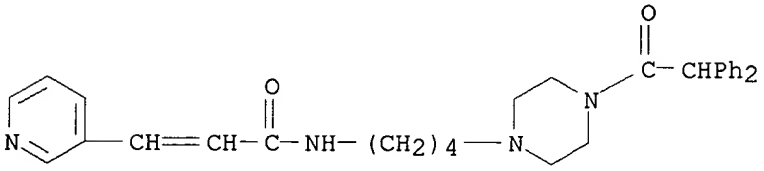

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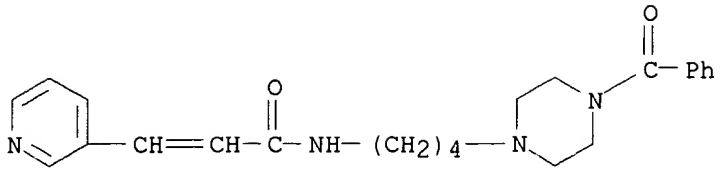
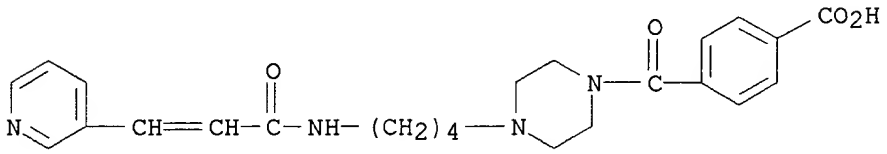


RN 227775-99-7 CAPLUS
CN Benzoic acid, 4-[[4-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 227776-00-3 CAPLUS
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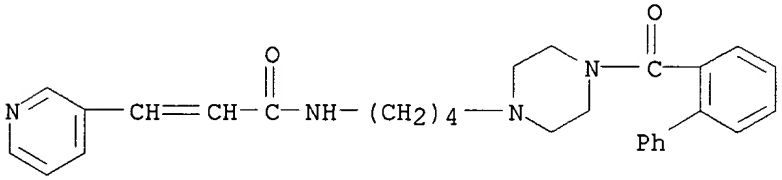

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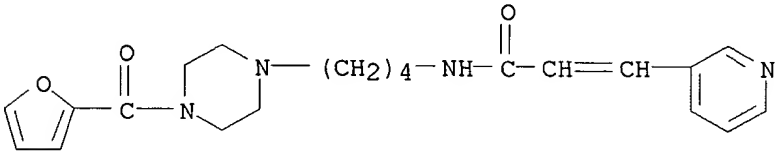
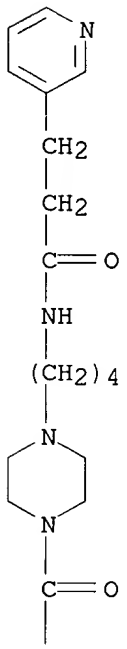
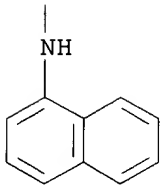


RN 227776-04-7 CAPLUS
CN 1-Piperazinecarboxamide, N-1-naphthalenyl-4-[4-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (9CI) (CA INDEX NAME)

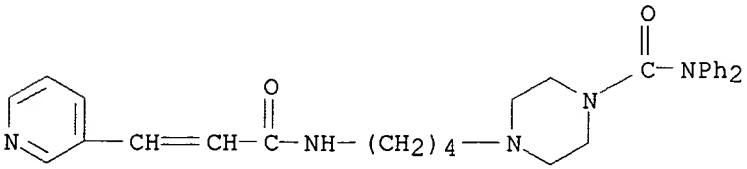
PAGE 1-A



PAGE 2-A



RN 227776-05-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



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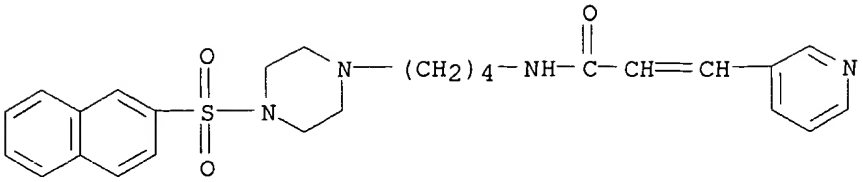

RN 247241-12-9 CAPLUS
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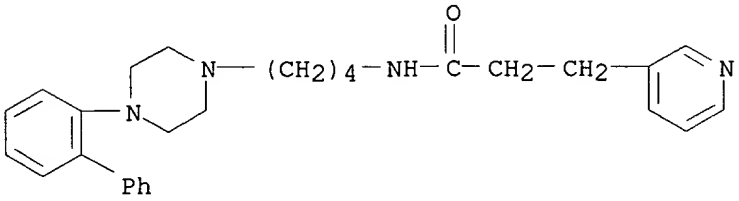
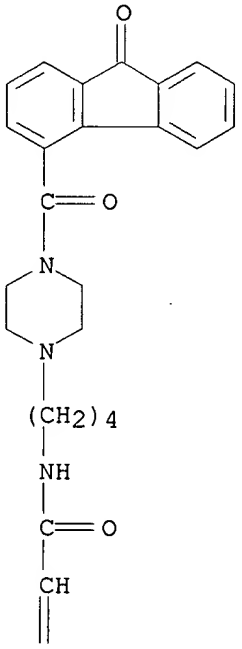
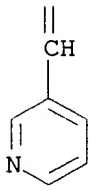


RN 247241-13-0 CAPLUS
CN 2-Propenamide, N-[4-[4-[(9-oxo-9H-fluoren-4-yl)carbonyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A





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REFERENCE(S):
(1) Klaidman, L; Neurosci Lett 1996, V206(1), P5 CAPLUS
(2) Pozzilli, P; Eur J Endocrinol 1997, V137(5), P558
(3) Shneider, A; Patologicheskaia Fiziologiia I Eksperimentalnaia Terapiia 1991, 1, P9 CAPLUS

L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:404952 CAPLUS
DOCUMENT NUMBER: 131:58758
TITLE: Cyclic imide-substituted pyridylalkanecarboxamides, pyridylalkenecarboxamides and pyridylalkynecarboxamides useful as cytostatic and immunosuppressive agents
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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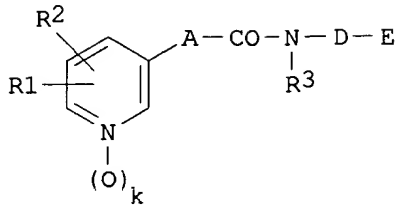
ZA 9811231	A	19990608	ZA 1998-11231	19981208
AU 9924146	A1	19990705	AU 1999-24146	19981216
EP 1042315	A1	20001011	EP 1998-966634	19981216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: DE 1997-19756212 A 19971217
WO 1998-EP8267 W 19981216

OTHER SOURCE(S): MARPAT 131:58758
GI

Applicant's



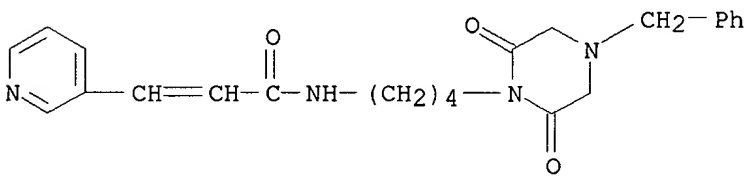
I

AB Pyridine derivs. I [R1 = H, OH, halo, CN, or org. group; R2 = H, halo, CN, alkyl, trifluoromethyl, OH, alkoxy, or aralkoxy; R3 = H, alkyl, alkenyl, alkynyl, OH, alkoxy, or aryloxy; A = (substituted) alkylene, 1,2-cyclopropylene, (substituted) alkenylene, (substituted) alkadienylene, (substituted) hexatrienylene, or ethynylene; D = (substituted) alkylene, (substituted) alkenylene, (substituted) alkynylene (in which 1-3 CH2 units is isosterically replaced by O, S, NR4, CO, SO, or SO2, R4 = H, alkyl, alkenyl, acyl, or alkanesulfonyl); E = N-substituted cyclic imide or N-substituted cyclic sulfonimide; k = 0 or 1] are manufd. for use as cytostatic agents and immunosuppressive agents. Thus, slowing adding 46.9 mmol oxalyl chloride to 20 mmol 3-(3-pyridyl)acrylic acid suspended in CH2Cl2, stirring the mixt. with ice-cooling for 30 min and then at room temp. overnight, suspending the resulting acid chloride in CH2Cl2, cooling to 0.degree. under anhyd. conditions, adding 17.6 mmol 4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butylamine-HCl in CH2Cl2 and 39.5 mmol Et3N dropwise, and stirring an addnl. 2 h at room temp. gave N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-3-pyridin-3-ylacrylamide.

IT **227473-28-1P**
RL: BAC (Biological activity or effector, except adverse); IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclic imide-substituted pyridyl carboxamides for cytostatic and immunosuppressive agents)

RN 227473-28-1 CAPLUS

CN 2-Propenamide, N-[4-[2,6-dioxo-4-(phenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2

REFERENCE(S):
(1) BYK Gulden Lomberg Chem FAB; WO 9115485 A 1991 CAPLUS
(2) Takeda Chemical Industries Ltd; EP 0522606 A 1993 CAPLUS

L4 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:404932 CAPLUS

DOCUMENT NUMBER: 131:58849

TITLE: New piperazinyl-substituted pyridylalkane, -alkene, and -alkyne carboxamides, with antitumor and immunosuppressive activities

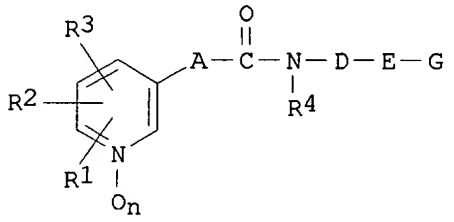
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel,

09/ 596,001

Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931063	A1	19990624	WO 1998-EP8268	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19756236	A1	19990701	DE 1997-19756236	19971217
ZA 9811235	A	19990608	ZA 1998-11235	19981208
AU 9920543	A1	19990705	AU 1999-20543	19981216
EP 1060163	A1	20001220	EP 1998-965275	19981216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: DE 1997-19756236 A 19971217
WO 1998-EP8268 W 19981216
OTHER SOURCE(S): MARPAT 131:58849
GI



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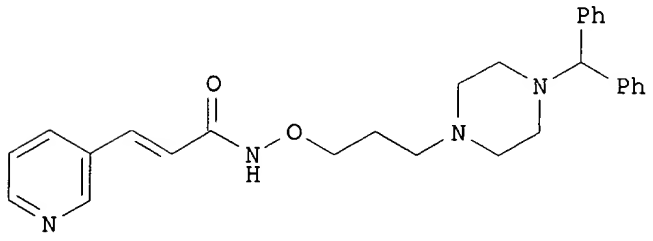


II

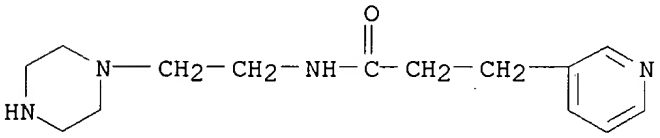
AB The invention relates to new piperazinyl-substituted pyridylalkanoic, -alkenoic, and alkynoic acid amides with a satd. or (poly)unsatd. hydrocarbon residue in the carboxylic acid group, and analogs, i.e., having formula I [R1 = H, OH, halo, cyano, CONH2, CO2H, (hetero)aryl, alkoxy, amino, (hetero)aryloxy, etc.; R2 = H, halo, cyano, alkyl, CF3, OH,

etc.; or R1R2 = (CH2)4, (CH:CH)2, or CH2OCH2O or its (di)alkyl derivs.; R3 = H, halo, alkyl, CF3, hydroxyalkyl, etc.; R4 = H, OH, alk(en/yn)yl, cycloalkyl, alkoxy, aralkoxy; n = 0, 1; A = (un)substituted alkylene or hetero-isosteres, cycloalkylene, alkenylene, alkadienylene, or ethynylene; D = (un)substituted alkylene, alkenylene, alkynylene, or hetero-isosteres of them; E = (un)substituted (bis)(homo)piperazine bound at the N atoms; G = variety of terminal chains]. Also disclosed are methods for the prodn. of the compds., medicaments contg. them, and their prodn., as well as their therapeutic use, esp. as cytostatic agents and immunosuppressive agents, for example, in the treatment or prevention of various types of tumors, and control of immune reactions such as autoimmune diseases. For example, 3-(3-pyridyl)acrylic acid was activated with oxalyl chloride and condensed with O-[3-[4-(diphenylmethyl)piperazin-1-yl]propyl]hydroxylamine to give title compd. II. Several representative compds. inhibited various human tumor cells in vitro at low concns., e.g., with IC50 values of 0.1 nM to 10 .mu.M, and also showed immunosuppressive activity against mouse lymphocytes with IC50 values of 0.03-0.09 .mu.M.

IT **227776-37-6**
RL: RCT (Reactant)
(starting material; prepn. of piperazinyl-substituted pyridylalkanecarboxamides and analogs as cytostatics and immunosuppressants)

RN 227776-37-6 CAPLUS

CN 3-Pyridinepropanamide, N-[2-(1-piperazinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

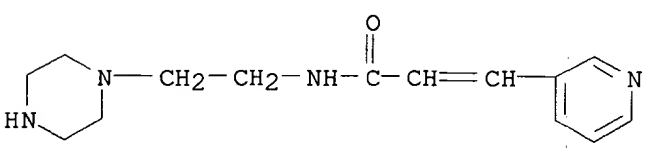


● 3 HCl

IT **227775-74-8P 227775-76-0P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinyl-substituted pyridylalkanecarboxamides and analogs as cytostatics and immunosuppressants)

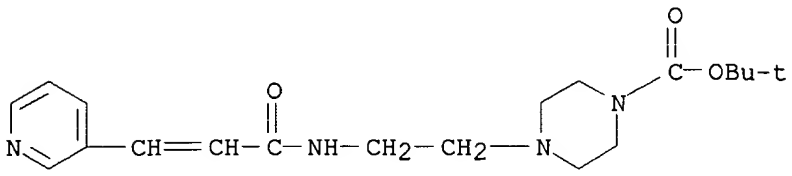
RN 227775-74-8 CAPLUS

CN 2-Propenamide, N-[2-(1-piperazinyl)ethyl]-3-(3-pyridinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



3 HCl

RN 227775-76-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **227775-32-8P 227775-33-9P 227775-36-2P**
227775-39-5P 227775-47-5P 227775-49-7P
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227775-56-6P 227775-57-7P 227775-58-8P
227775-59-9P 227775-60-2P 227775-61-3P
227775-63-5P 227775-64-6P 227775-65-7P
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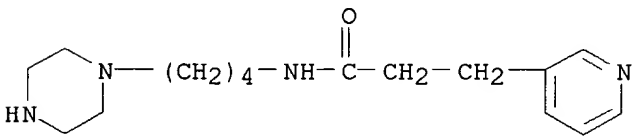
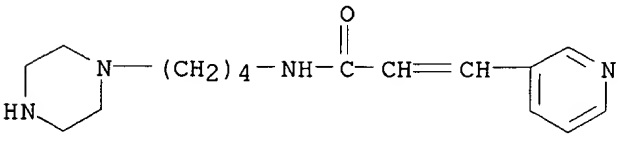
227776-00-3P 227776-02-5P 227776-03-6P
227776-04-7P 227776-05-8P 227776-06-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinyl-substituted pyridylalkanecarboxamides and analogs as cytostatics and immunosuppressants)

RN 227775-32-8 CAPLUS
CN 3-Pyridinepropanamide, N-[4-(1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)



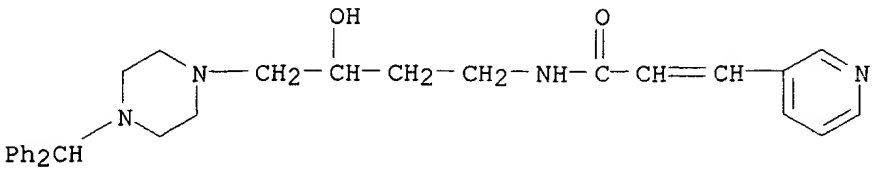
RN 227775-33-9 CAPLUS
CN 2-Propenamide, N-[4-(1-piperazinyl)butyl]-3-(3-pyridinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



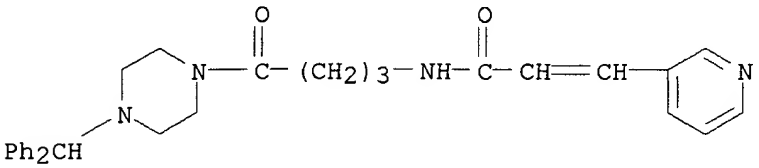
3 HCl

RN 227775-36-2 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]-3-hydroxybutyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

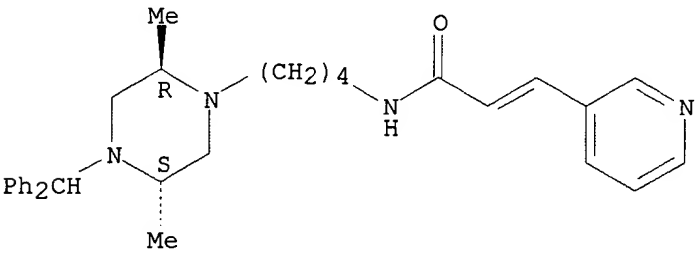


RN 227775-39-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]-4-oxobutyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



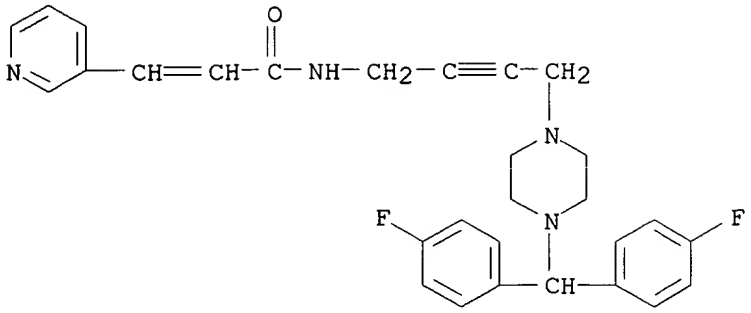
RN 227775-47-5 CAPLUS
CN 2-Propenamide, N-[4-[(2R,5S)-4-(diphenylmethyl)-2,5-dimethyl-1-piperazinyl]butyl]-3-(3-pyridinyl)-, trihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



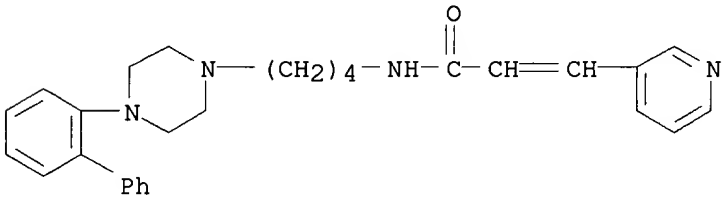
●3 HCl

RN 227775-49-7 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butynyl]-3-(3-pyridinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 227775-51-1 CAPLUS
CN 2-Propenamide, N-[4-(4-[1,1'-biphenyl]-2-yl-1-piperazinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-53-3 CAPLUS
CN 2-Propenamide, N-[4-(4-[1,1'-biphenyl]-2-yl-1-piperazinyl)butyl]-2-methyl-3-(3-pyridinyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

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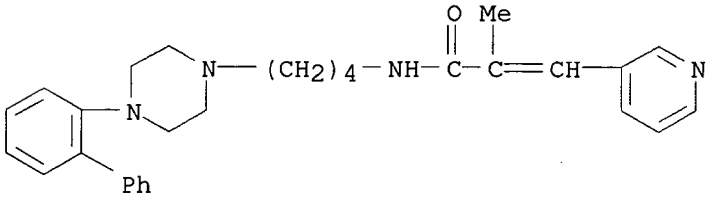
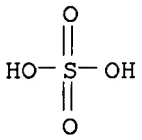
CRN 227775-52-2
CMF C29 H34 N4 O

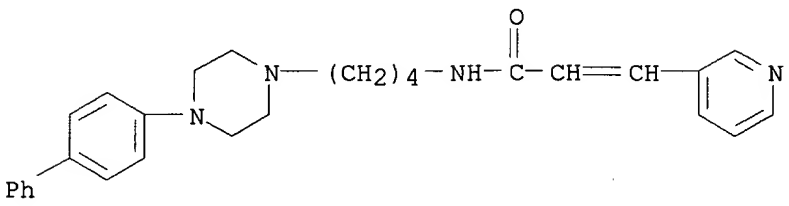


CM 2

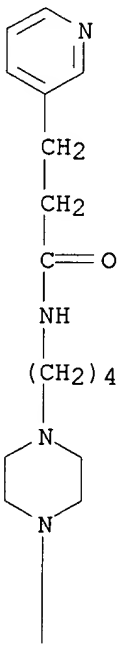
CRN 7664-93-9
CMF H2 O4 S



RN 227775-54-4 CAPLUS
CN 2-Propenamide, N-[4-(4-[1,1'-biphenyl]-4-yl-1-piperazinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-56-6 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(1,2,3,4-tetrahydro-1-naphthalenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



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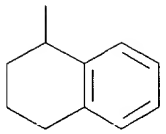
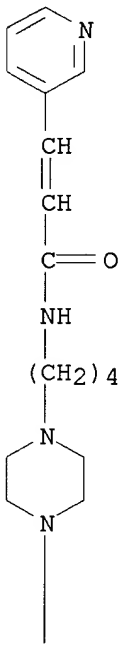


RN 227775-57-7 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[4-(1,2,3,4-tetrahydro-1-naphthalenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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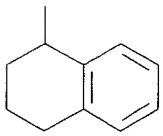
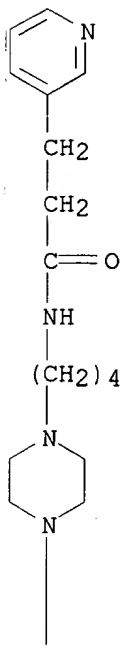


RN 227775-58-8 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(5,6,7,8-tetrahydro-1-naphthalenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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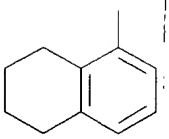
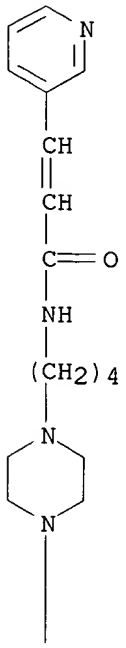
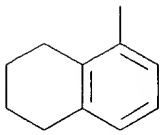


RN 227775-59-9 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[4-(5,6,7,8-tetrahydro-1-naphthalenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

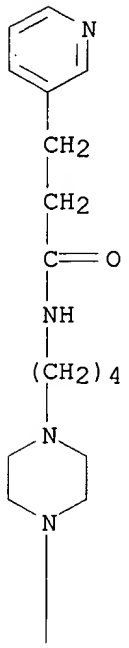


PAGE 2-A



RN 227775-60-2 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(1-naphthalenyl)-1-piperazinyl]butyl]-
(9CI) (CA INDEX NAME)

PAGE 1-A



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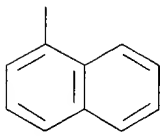
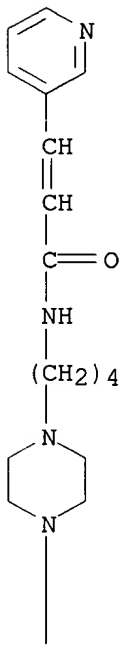
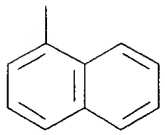


RN 227775-61-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(1-naphthalenyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

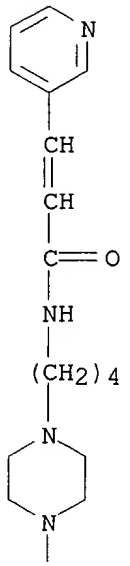


PAGE 2-A



RN 227775-63-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(9H-fluoren-9-yl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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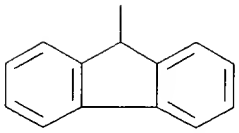
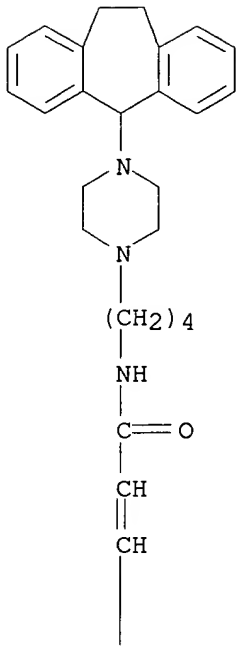


RN 227775-64-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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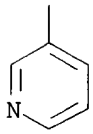
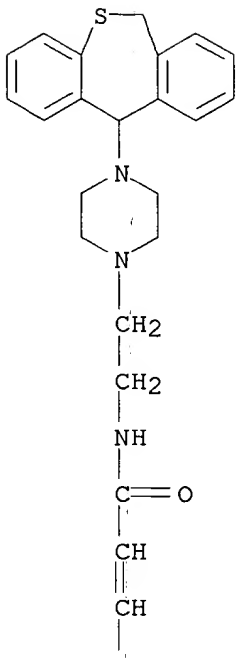


RN 227775-65-7 CAPLUS
CN 2-Propenamide, N-[2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-1-piperazinyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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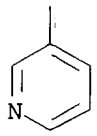
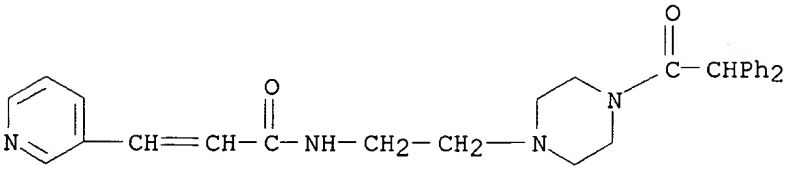


RN 227775-66-8 CAPLUS
CN 2-Propenamide, N-[2-[4-(diphenylacetyl)-1-piperazinyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-67-9 CAPLUS
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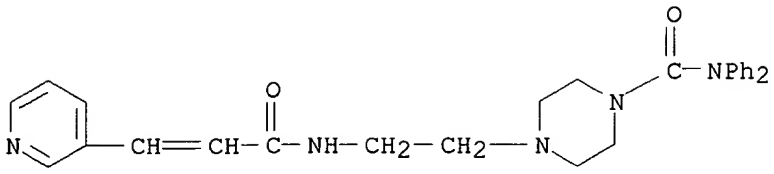
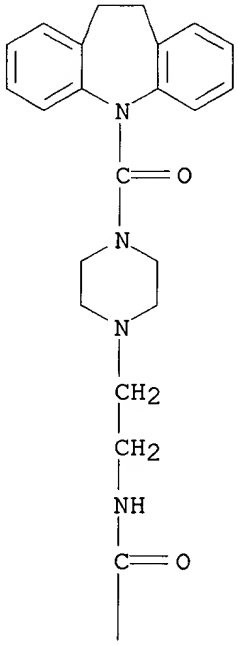
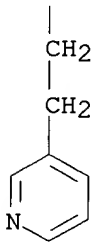


RN 227775-68-0 CAPLUS
CN 3-Pyridinepropanamide, N-[2-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 227775-69-1 CAPLUS
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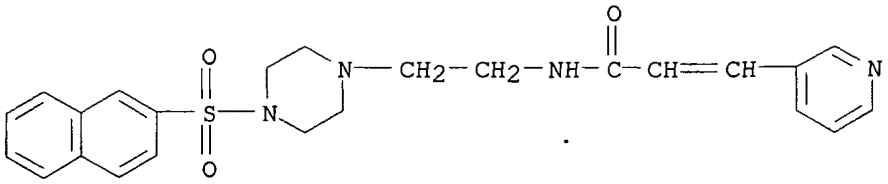

RN 227775-70-4 CAPLUS
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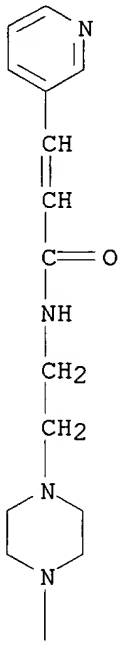
PAGE 1-A



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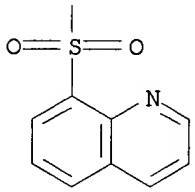

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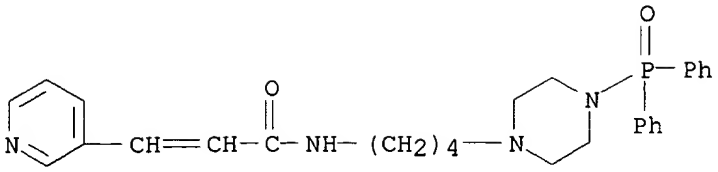
CN 2-Propenamide, N-[4-[4-(diphenylphosphinyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-75-9 CAPLUS
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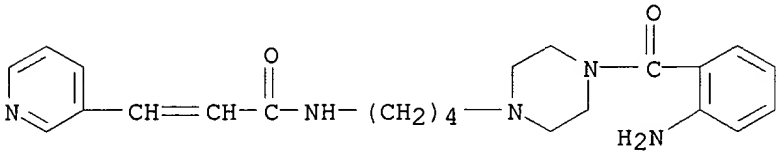

RN 227775-79-3 CAPLUS
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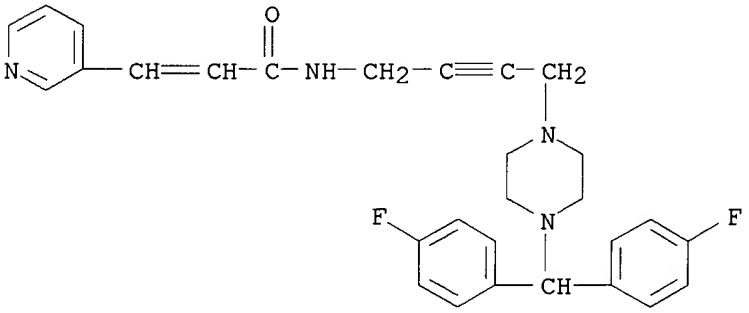

RN 227775-80-6 CAPLUS
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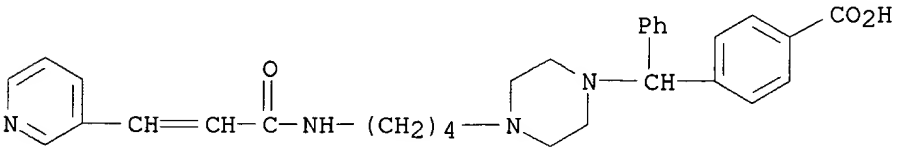
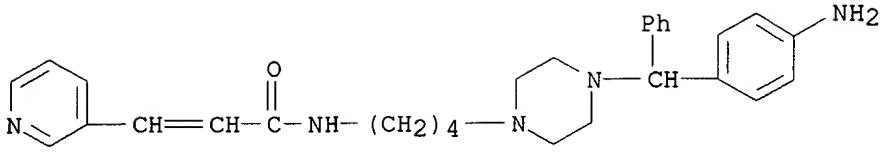


RN 227775-81-7 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-aminophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 227775-89-5 CAPLUS
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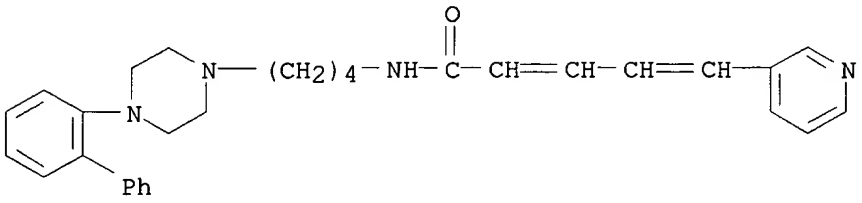

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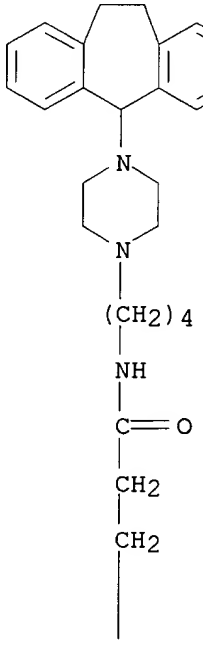
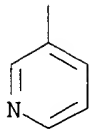
CN 3-Pyridinepropanamide, N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

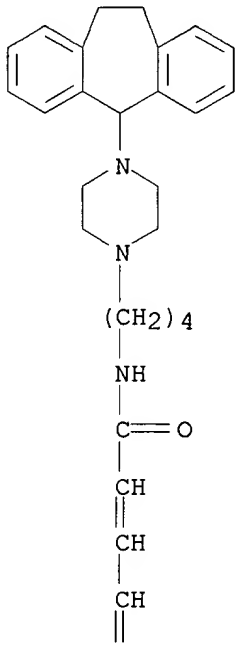


PAGE 2-A



RN 227775-94-2 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1-piperazinyl]butyl]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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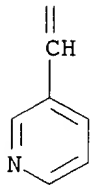
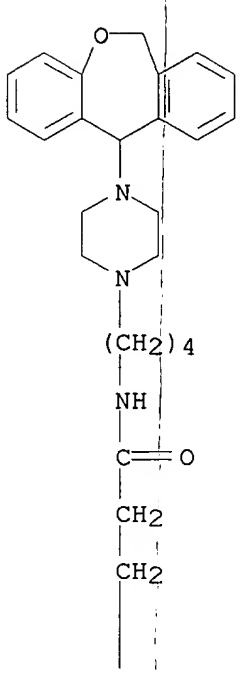
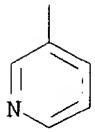


RN 227775-95-3 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(6,11-dihydrodibenz[b,e]oxepin-11-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

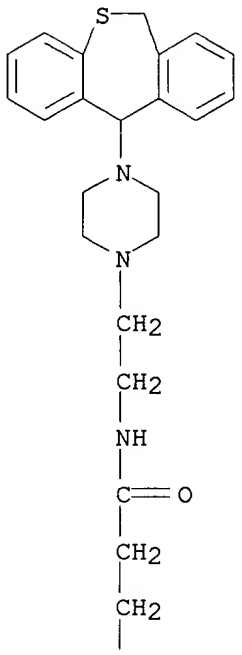


PAGE 2-A



RN 227775-96-4 CAPLUS
CN 3-Pyridinepropanamide, N-[2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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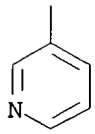
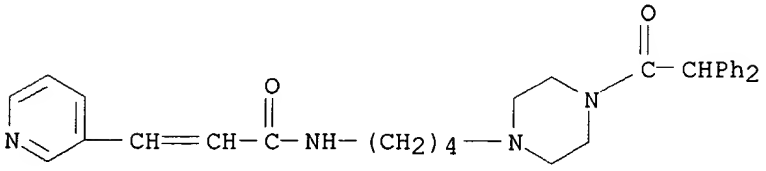


RN 227775-97-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylacetyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



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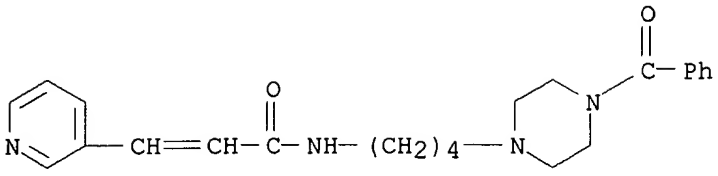

RN 227775-99-7 CAPLUS
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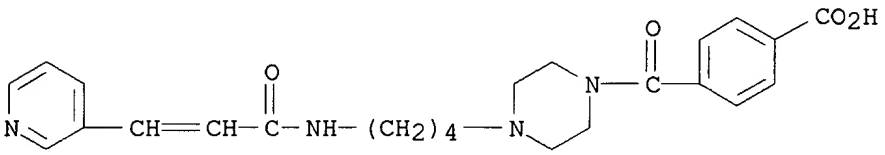

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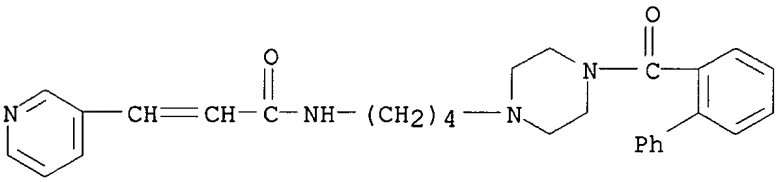

RN 227776-02-5 CAPLUS
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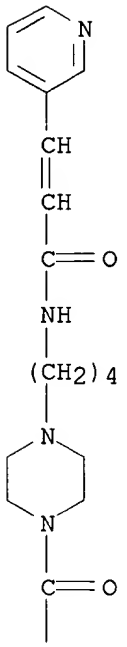
PAGE 1-A



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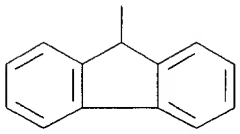

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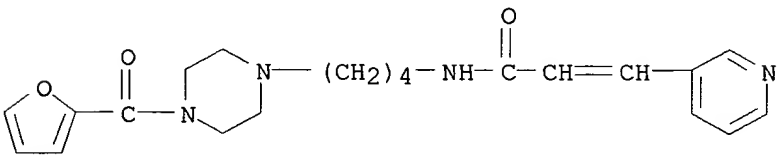
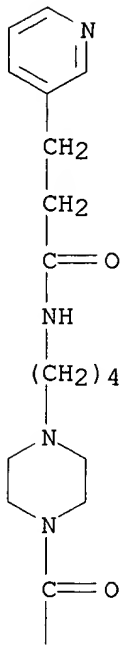


RN 227776-04-7 CAPLUS
CN 1-Piperazinecarboxamide, N-1-naphthalenyl-4-[4-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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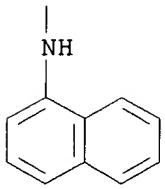

RN 227776-05-8 CAPLUS
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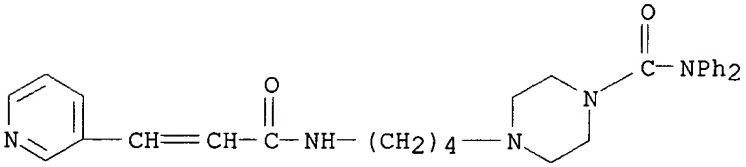

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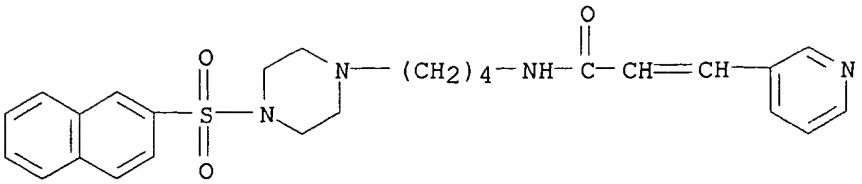

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REFERENCE(S): (1) Dainippon Pharmaceutical Co; EP 0210782 A 1987 CAPLUS
(2) Database WPI; JP 57136518 A 1982 CAPLUS
(3) Duphar Int Res; EP 0048045 A 1982 CAPLUS
(4) Mulshine, J; WO 9524894 A 1995 CAPLUS
(5) Nishikawa, Y; J Med Chem 1989, V32(3), P583 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:282212 CAPLUS
DOCUMENT NUMBER: 130:311818
TITLE: Preparation of arylpiperazines as serotonin reuptake inhibitors and 5-HT1D.alpha. antagonists
INVENTOR(S): Walker, Clint Duane; Wong, David Taiwai; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920621	A1	19990429	WO 1998-US22265	19981021
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9911931	A1	19990510	AU 1999-11931	19981021
EP 1028958	A1	20000823	EP 1998-955031	19981021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1997-63493 P 19971022
WO 1998-US22265 W 19981021
OTHER SOURCE(S): MARPAT 130:311818
GI

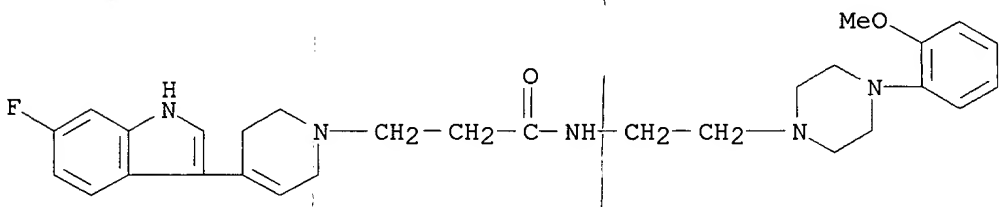
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = H, halo, alkyl, etc.; R3 = H, alkyl; Y = CO, CH2; Z = NH, C(COR), CH2; R = alkyl, cycloalkyl; n, m = 1-3] and their salts, serotonin reuptake inhibitors and 5-HT1D.alpha. receptor antagonists useful in the treatment of depression and anxiety, were prepd.

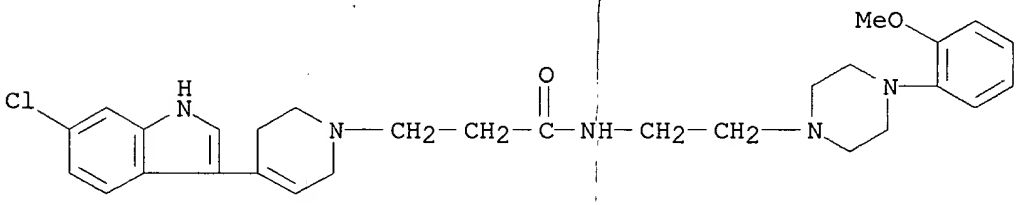
and formulated. E.g., a 4-step synthesis of piperazine II, starting with 1-(2-methoxyphenyl)piperazine, was given. Representative compds. I showed Ki at the 5-HT1A and 5-HT1D.alpha. receptors of at least 300 .mu.M.

IT **223521-24-2P 223521-25-3P 223521-26-4P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpiperazines as serotonin reuptake inhibitors and 5-HT1D.alpha. antagonists)

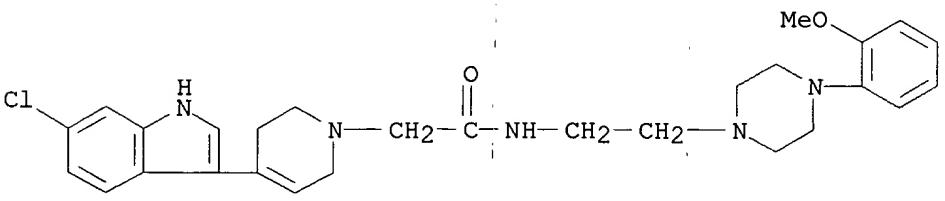
RN 223521-24-2 CAPLUS
CN 1(2H)-Pyridinepropanamide, 4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 223521-25-3 CAPLUS
CN 1(2H)-Pyridinepropanamide, 4-(6-chloro-1H-indol-3-yl)-3,6-dihydro-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 223521-26-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 4-(6-chloro-1H-indol-3-yl)-3,6-dihydro-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2
REFERENCE(S):
(1) Lattrell; US 4148895 A 1979 CAPLUS
(2) Pierre Fabre Medicament; WO 96/26936 A1 1996, P1 CAPLUS

L4 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:310434 CAPLUS
DOCUMENT NUMBER: 129:67677
TITLE: Peptidomimetic aminomethylene ketone inhibitors of interleukin-1.beta.-converting enzyme (ICE)

09/ 596,001

AUTHOR(S): Semple, Graeme; Ashworth, Doreen M.; Batt, Andrzej R.; Baxter, Andrew J.; Benzies, David W. M.; Elliot, Lucy H.; Evans, D. Michael; Franklin, Richard J.; Hudson, Peter; Jenkins, Paul D.; Pitt, Gary R.; Rooker, David P.; Yamamoto, Satoshi; Isomura, Yasuo

CORPORATE SOURCE: Department of Medicinal Chemistry, Chilworth Research Centre, Ferring Research Institute, Southampton, SO16 7NP, UK

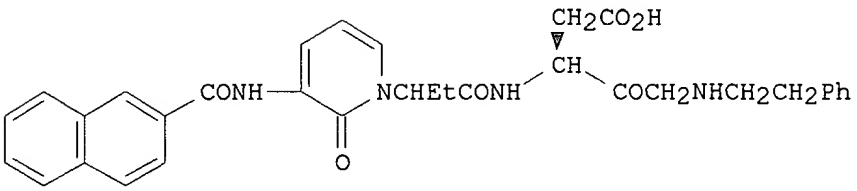
SOURCE: Bioorg. Med. Chem. Lett. (1998), 8(8), 959-964
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

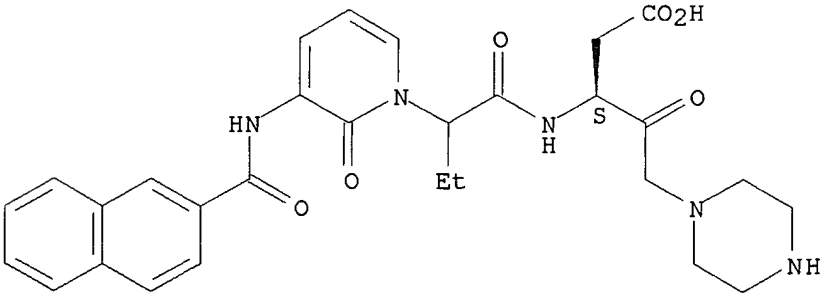
AB Pyridone-based peptidomimetic inhibitors of recombinant human interleukin-1.beta.-converting enzyme (ICE, caspase-1), e.g., I, with an aminomethylene ketone activating group in the P1 position, are described. Several analogs with subnanomolar Ki's vs. ICE and improved aq. soly. are reported.

IT **208829-73-6P 208829-74-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(peptidomimetic aminomethylene ketone inhibitors of interleukin-1.beta.-converting enzyme)

RN 208829-73-6 CAPLUS

CN 1-Piperazinepentanoic acid, .beta.-[[2-[3-[(2-naphthalenylcarbonyl)amino]-2-oxo-1(2H)-pyridinyl]-1-oxobutyl]amino]-.gamma.-oxo-, (.beta.S)- (9CI) (CA INDEX NAME)

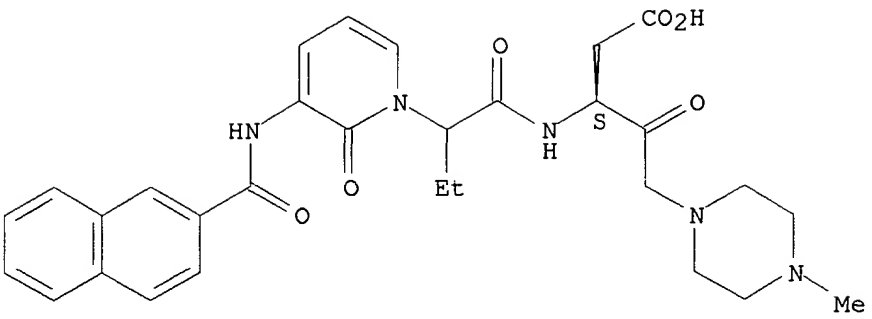
Absolute stereochemistry.



RN 208829-74-7 CAPLUS

CN 1-Piperazinepentanoic acid, 4-methyl-.beta.-[[2-[3-[(2-naphthalenylcarbonyl)amino]-2-oxo-1(2H)-pyridinyl]-1-oxobutyl]amino]-.gamma.-oxo-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:562996 CAPLUS
DOCUMENT NUMBER: 127:239123
TITLE: Combinations having immunosuppressive effects, containing cyclooxygenase-2-inhibitors and 5-lipoxygenase inhibitors
INVENTOR(S): Gregory, Susan A.; Isakson, Peter C.; Anderson, Gary
PATENT ASSIGNEE(S): G.D. Searle & Co., USA; Gregory, Susan A.; Isakson, Peter C.; Anderson, Gary
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729776	A1	19970821	WO 1997-US1558	19970212
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2246265	AA	19970821	CA 1997-2246265	19970212
AU 9718505	A1	19970902	AU 1997-18505	19970212
EP 888127	A1	19990107	EP 1997-904133	19970212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000504723	T2	20000418	JP 1997-529363	19970212

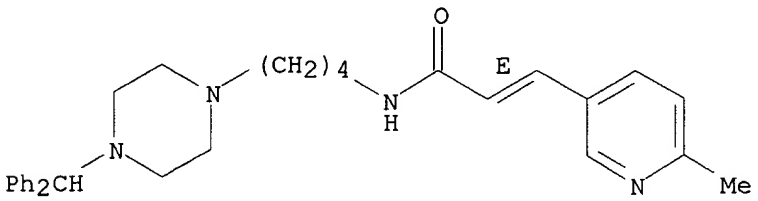
PRIORITY APPLN. INFO.: US 1996-600622 19960213
WO 1997-US1558 19970212
OTHER SOURCE(S): MARPAT 127:239123

AB Treatment with a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor is described as being useful in reducing recipient rejection of transplanted organs and for treatment of autoimmune diseases. 4-[5-(3-Fluoro-4-methoxyphenyl)-3-(difluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide and N'-[3-[5-(4-fluorophenoxy)-2-furyl]-1-methyl-2-propynyl]-N'-hydroxyurea were prepd. and a combination of these 2 compds. showed a delay in rejection time of skin grafts while treatment alone of each of these compds. resulted in no prolongation of graft survival.

IT **118420-47-6**, Tagorizine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase-2 and 5-lipoxygenase inhibitor combinations with

immunosuppressive effects)
RN 118420-47-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

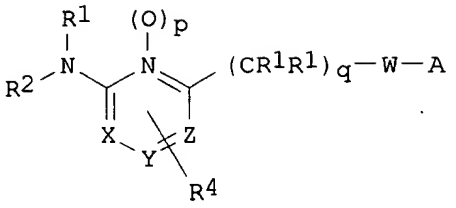
Double bond geometry as shown.



L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:547298 CAPLUS
DOCUMENT NUMBER: 127:149074
TITLE: Pyridine derivatives and analogs useful as vitronectin receptor antagonists
INVENTOR(S): Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724122	A1	19970710	WO 1996-US20744	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241724	AA	19970710	CA 1996-2241724	19961220
AU 9713538	A1	19970728	AU 1997-13538	19961220
EP 895475	A1	19990210	EP 1996-945085	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1209060	A	19990224	CN 1996-180099	19961220
BR 9612378	A	19990713	BR 1996-12378	19961220
JP 2000502708	T2	20000307	JP 1997-524556	19961220
NO 9803002	A	19980826	NO 1998-3002	19980626

PRIORITY APPLN. INFO.: US 1995-9532 19951229
WO 1996-US20744 19961220
OTHER SOURCE(S): MARPAT 127:149074
GI



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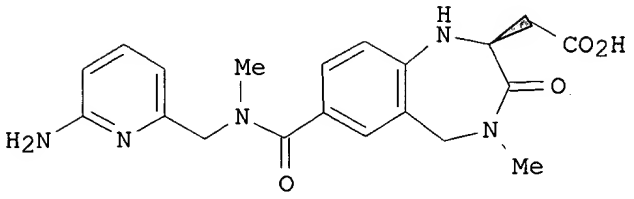


II

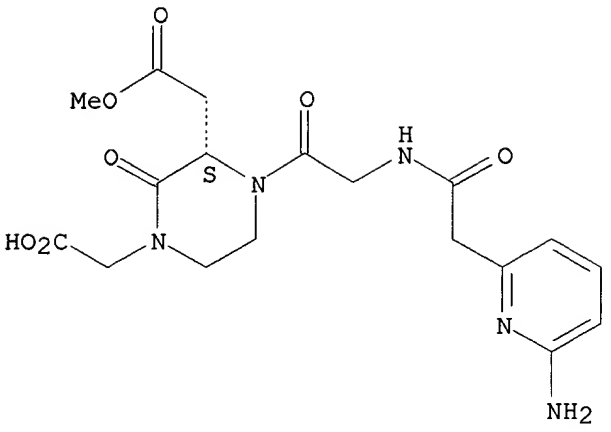
AB Title compds. I [A = fibrinogen antagonist template; W = (CHR3)nU(CHR3)mV; X, Y, Z = N or CR4, provided that at most one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = R1, COR1, CO2R1; R3 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R4 = H, halo, OR3, SR3, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR3R3, S, SO, SO2, O, NR3, etc.; n, m = 0, 1, 2; p, q = 0, 1; with addnl. provisos] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 .mu.M, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include prepns. of 35 title compds., with characterizing data for 4 of them. For instance, amidation of 6-[(methylamino)methyl]-2-pyridinamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

IT **193470-02-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

RN 193470-02-9 CAPLUS

CN 1,3-Piperazinediacetic acid, 4-[[[(6-amino-2-pyridinyl)acetyl]amino]acetyl]-2-oxo-, .alpha.3-methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:174992 CAPLUS
DOCUMENT NUMBER: 126:166479
TITLE: Compositions comprising a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor for treatment of inflammation and inflammation-related disorders
INVENTOR(S): Isakson, Peter C.; Anderson, Gary D.; Gregory, Susan A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9641626	A1	19961227	WO 1996-US10106	19960611
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2224517	AA	19961227	CA 1996-2224517	19960611
AU 9661117	A1	19970109	AU 1996-61117	19960611
EP 833622	A1	19980408	EP 1996-918465	19960611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11507670	T2	19990706	JP 1996-503273	19960611

PRIORITY APPLN. INFO.: US 1995-489472 A 19950612
WO 1996-US10106 W 19960611

OTHER SOURCE(S): MARPAT 126:166479

AB Combinations of a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor are described for treatment of inflammation and inflammation-related disorders. Prepn. of e.g. 4-[5-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide is described., as are pharmaceutical formulations and activity against collagen-induced arthritis in mice.

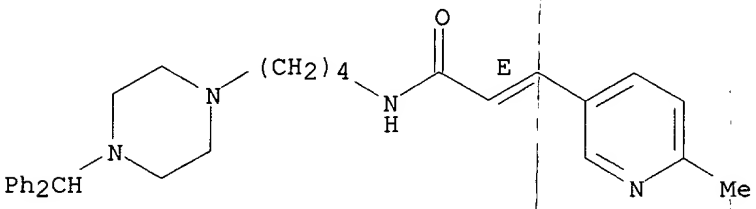
IT **118420-47-6**, AL-3264
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase-2 inhibitor combination with 5-lipoxygenase inhibitor

for treatment of inflammation and inflammation-related disorders, compd. prepn., antiarthritic activity and pharmaceutical compns.)

RN 118420-47-6 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:746040 CAPLUS

DOCUMENT NUMBER: 126:152608

TITLE: Relation between effects of a set of anti-allergic drugs on calcium pathways and membrane structure in Fc.epsilon.RI activated signal transduction

AUTHOR(S): Fischer, M. J. E.; Paulussen, J. J. C.; Roozendaal, R.; Tiemessen, R. C.; De Mol, N. J.; Janssen, L. H. M.

CORPORATE SOURCE: Fac. Pharmacy, Utrecht Univ., Utrecht, 3508 TB, Neth.

SOURCE: Inflammation Res. (1996), 45(11), 564-573
CODEN: INREFB; ISSN: 1023-3830

PUBLISHER: Birkhaeuser

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The antigen induced stimulation of mast cells by aggregation of Fc.epsilon.RI receptors activates a signal transduction cascade leading to release of mediators of inflammation like histamine, arachidonic acid metabolites and cytokines. A series of structurally related anti-allergic drugs, contg. a common lipophilic diphenylmethyl piperazinyl tail and head groups that differ in lipophilicity, was investigated.. Effects of these drugs on various steps of the signal transduction cascade was investigated to gain insight into the mechanism of action of these drugs. It appeared that addn. of the drugs to resting cells induced changes in the tyrosine phosphorylation of cellular proteins. The most active anti-allergics in inhibiting exocytosis, AL3264 and oxatomide, also induced the largest changes in phosphorylation. The effects of the drugs on tyrosine phosphorylation after cell activation was complex. Addnl., Ca2+ fluxes were investigated. Ca2+ efflux from the cells was negligibly influenced by the active drugs. However, the drugs inhibited influx from extracellular Ca2+, which was correlated with the effects of the drugs on inhibition of exocytosis and on membrane stabilization induced by the drugs, measured as hemolysis of erythrocytes. It is concluded that inhibition of Ca2+ influx is the major mechanism with which these drugs inhibit exocytosis and that for this effect drug-membrane interactions, possibly affecting the function of membrane embedded proteins, are of importance.

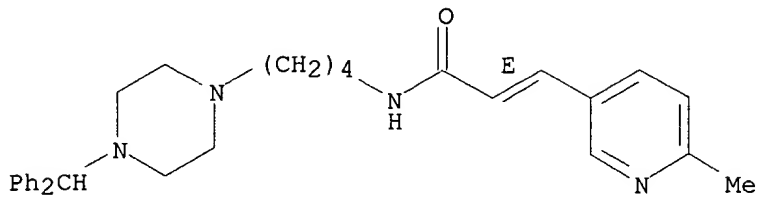
IT **118420-47-6**, AL3264
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-allergic drugs effect on calcium pathways and membrane structure in Fc.epsilon.RI activated signal transduction)

RN 118420-47-6 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-

pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

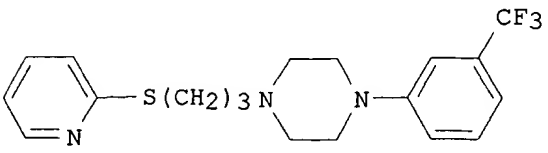
Double bond geometry as shown.



L4 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:170820 CAPLUS
DOCUMENT NUMBER: 124:194333
TITLE: Preparation of heterocyclic dopamine D3 receptor ligands for treatment of central nervous disorders
INVENTOR(S): Hellendahl, Beate; Lansky, Annegret; Rendenbach-Mueller, Beatrice; Bach, Alfred; Unger, Liliane; Teschendorf, Hans-Juergen; Wicke, Carsten
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4425146	A1	19960118	DE 1994-4425146	19940715
CA 2195242	AA	19960201	CA 1995-2195242	19950714
WO 9602246	A1	19960201	WO 1995-EP2782	19950714
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, RU, SI, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9531114	A1	19960216	AU 1995-31114	19950714
AU 704839	B2	19990506		
EP 771197	A1	19970507	EP 1995-926896	19950714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1152870	A	19970625	CN 1995-194149	19950714
JP 10502658	T2	19980310	JP 1995-504701	19950714
BR 9508296	A	19980519	BR 1995-8296	19950714
HU 77608	A2	19980629	HU 1997-111	19950714
FI 9700148	A	19970114	FI 1997-148	19970114
NO 9700163	A	19970314	NO 1997-163	19970114
US 6090807	A	20000718	US 1997-765181	19970114

PRIORITY APPLN. INFO.: DE 1994-4425146 A 19940715
WO 1995-EP2782 W 19950714
OTHER SOURCE(S): MARPAT 124:194333
GI



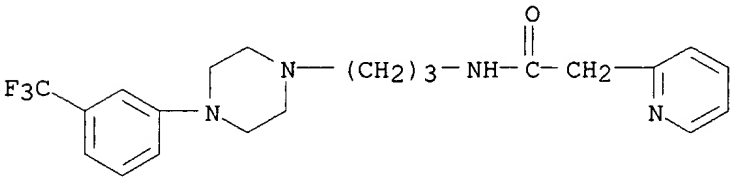
I

AB Heterocyclic compds. Het-A-B-Ar [Het = (substituted) N-, O-, and/or S-contg. 5- or 6-membered heterocycle, purine, benzofuran; A = C1-18 alkylene which may contain .gtoreq.1 O, S, or N atom or a double or triple bond; B = piperazinediyl, piperidinediyl, tetrahydropyridinediyl; Ar = (substituted) Ph, pyridyl, pyrimidinyl, triazinyl] have a high affinity for dopamine D3 receptors and are useful in treatment of central nervous disorders which respond to dopamine D3 receptor agonists and antagonists, e.g. schizophrenia, depression, neurosis, and psychosis, as well as of sleep disturbances and nausea and as antihistaminics. Thus, 1-(3-trifluoromethylphenyl)piperazine was condensed with 1-bromo-3-chloropropane and then with 2-mercaptopyridine to form 2-[3-[4-(3-trifluoromethylphenyl)piperazinyl]propylthio]pyridine (I). Tablets were prepd. contg. I 40, corn starch 120, gelatin 13.5, lactose 45, Aerosil 2.25, and potato starch (as 6% paste) 6.75 mg. The compds. showed good selectivity for the D3 receptor relative to the D2 receptor.

IT **174528-65-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic dopamine D3 receptor ligands for treatment of central nervous disorders)

RN 174528-65-5 CAPLUS

CN 2-Pyridineacetamide, N-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

IT **174528-86-0**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of heterocyclic dopamine D3 receptor ligands for treatment of central nervous disorders)

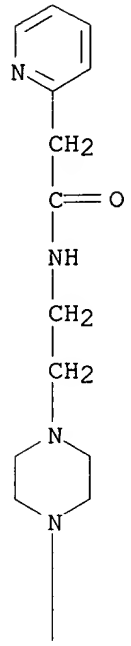
RN 174528-86-0 CAPLUS

CN 2-Pyridineacetamide, N-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

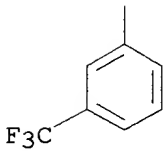
CM 1

CRN 82278-40-8
CMF C20 H23 F3 N4 O

PAGE 1-A



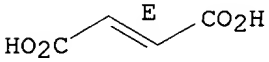
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L4 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:465083 CAPLUS
DOCUMENT NUMBER: 122:230485
TITLE: Inhibitory effect of AL-3264, a new antiallergic agent, on the Prausnitz-Kuestner reaction and leukotriene production in monkeys
AUTHOR(S): Yakuo, Ikuhisa; Ishii, Katsumi; Sakaki, Chikako; Nakamura, Hideo; Takeyama, Kunihiko
CORPORATE SOURCE: Dep. of Pharmacology, Dainippon Pharmaceutical Co.,

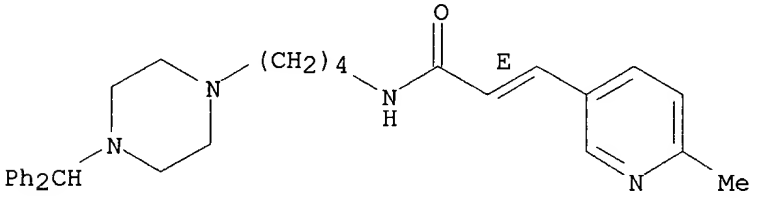
Ltd., Osaka, 564, Japan
SOURCE: Jpn. J. Pharmacol. (1995), 67(3), 263-6
CODEN: JJPAAZ; ISSN: 0021-5198
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To clarify the antiallergic effect and antiallergic mechanism of AL-3264 (N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridyl)acrylamide) in monkeys, its effects on the Prausnitz-Kuestner (P-K) reaction, the histamine skin reaction and leukotriene prodn. were examd. In contrast to ketotifen and mepyramine, AL-3264 inhibited the P-K reaction, which is mainly mediated by leukotriene and histamine, more clearly than the skin reaction evoked by histamine alone. AL-3264 also inhibited the leukotriene (LT) prodn. in the broncho-alveolar cells, suggesting that the inhibition of LT prodn. actually contributes to the antiallergic effect of AL-3264.

IT **118420-47-6**, AL-3264
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitory effect of antiallergic agent AL-3264 on Prausnitz-Kuestner reaction and leukotriene prodn. in monkeys)

RN 118420-47-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:449007 CAPLUS
DOCUMENT NUMBER: 122:230483
TITLE: Effect of a 5-lipoxygenase inhibitor, AL-3264, on propranolol-induced bronchoconstriction in guinea pigs
AUTHOR(S): Songuer, Nejla; Fujimura, Masaki; Mizuhashi, Keiichi; Saito, Motoyasu; Myou, Shigeharu; Kamio, Yumie; Matsuda, Tamotsu
CORPORATE SOURCE: The Third Department of Internal Medicine, Kanazawa University School of Medicine, 13-1 Takara-machi, Kanazawa, 920, Japan
SOURCE: J. Lipid Mediators Cell Signalling (1995), 11(2), 175-85
CODEN: JLMSEO; ISSN: 0929-7855
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The administration of propranolol can provoke bronchoconstriction in asthmatic patients. We hypothesized that such bronchoconstriction may result from the inflammatory mediators released by an allergic reaction. We investigated the effect of AL-3264, a 5-lipoxygenase inhibitor, on propranolol-induced bronchoconstriction (PIB) after antigen inhalation in passively sensitized and artificially ventilated guinea-pigs. Our goal was to det. whether products of arachidonate 5-lipoxygenase are involved in such PIB. Bronchoconstriction occurred when 10 mg/mL of propranolol was inhaled 20 min after antigen challenge. Pretreatment with AL-3264

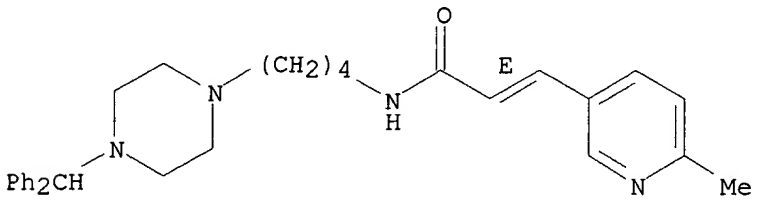
given in i.v. doses of 0.01 and 0.1 mg/kg 15 min after the antigen challenge significantly reduced PIB in a dose-dependent manner. Pretreatment with 0.1 mg/kg of AL-3264 10 min before antigen challenge significantly inhibited both the immediate allergic bronchoconstriction and PIB, although the effect was minimal. Results suggest that arachidonate 5-lipoxygenase products (such as leukotriene B4, C4, D4 or E4) are involved in the pathophysiol. of PIB but their contribution may be small. Further studies using selective antagonists for each of these leukotrienes are needed to clarify their role.

IT **118420-47-6**, AL-3264
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(effect of a 5-lipoxygenase inhibitor, AL-3264, on propranolol-induced bronchoconstriction in guinea pigs)

RN 118420-47-6 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:426493 CAPLUS

DOCUMENT NUMBER: 121:26493

TITLE: Inhibition of leukotriene production of N-[4-[4-(Diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridyl)acrylamide (AL-3264), a new antiallergic agent

AUTHOR(S): Ishii, Katsumi; Yakuo, Iluhisa; Motoyoshi, Satoru; Nakagawa, Hiroyo; Nakamura, Hideo

CORPORATE SOURCE: Dep. Pharmacol., Dainippon Pharm. Co., Ltd., Suita, 564, Japan

SOURCE: Jpn. J. Pharmacol. (1994), 65(1), 19-25
CODEN: JJPAAZ; ISSN: 0021-5198

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of AL-3264, which exhibits a 5-lipoxygenase (5-LO)-inhibiting property by blocking histamine H1-receptors and inhibiting histamine release, were examd. on leukotriene (LT) prodn. and LT-mediated responses. AL-3264 (1-30 .mu.M) inhibited the A23,187-induced LT prodn. from human leukocytes with almost the same potency as that of nordihydroguaiaretic acid. AL-3264 (30-100 mg/kg, p.o.) inhibited the antigen-induced LT prodn. in the abdominal cavity of passively sensitized rats; its effect was as potent as that of AA-861, a 5-LO inhibitor. AL-3264 (30 .mu.M) suppressed both the initial and sustained phases of the antigen-induced contractions in isolated trachea from actively sensitized guinea pig. Phenidone (3 .mu.M), a dual inhibitor of 5-LO and cyclooxygenase (CO), suppressed the sustained phase, while indomethacin was without effect on either phase. AL-3264 (40-160 mg/kg, p.o.) suppressed the arachidonic acid-induced ear edema in mice, for which 5-LO inhibitors were effective but antihistamines were not. The anti-edematous effect of AL-3264 (160 mg/kg) was reduced b.gamma. intradermal administration of LTC4 (0.1

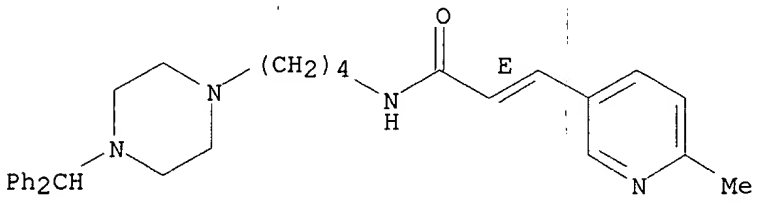
.mu.g). These results suggest that AL-3264 suppresses LT prodn. in vivo and in vitro by inhibiting 5-LO activity, and this property may contribute to the antiallergic effect of AL-3264.

IT **118420-47-6**, AL-3264
RL: BIOL (Biological study)
(leukotriene formation inhibition by, in human cells and lab. animals, antiallergic activity in relation to)

RN 118420-47-6 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:182366 CAPLUS

DOCUMENT NUMBER: 120:182366

TITLE: QSAR, diagnostic statistics, and molecular modeling of antiallergic acrylamide derivatives

AUTHOR(S): Mager, Peter P.

CORPORATE SOURCE: Fac. Med., Univ. Leipzig, Leipzig, 0-7010, Germany

SOURCE: Drug Des. Discovery (1992), 9(2), 107-18
CODEN: DDDIEV; ISSN: 1055-9612

DOCUMENT TYPE: Journal

LANGUAGE: English

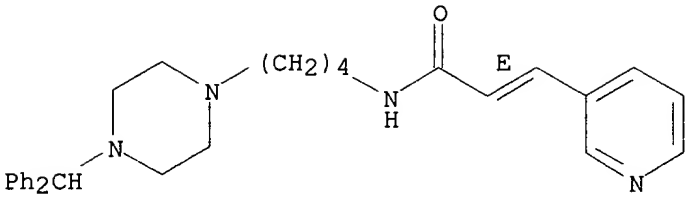
AB Quant. structure-activity relationships of antiallergic N-[4-[4-(diphenylmethyl)-1-piperazinyl]-butyl]-3-(3-pyridyl)acryl-amides were studied. It was shown that the biol. action depends on lipophilic and steric substituent features; apolar but small groups improve activity. Diagnostic statistics indicated that the QSAR equation is relatively robust. It was hypothesized from mol. modeling that the substituents influence primarily the pharmacokinetic-toxokinetic behavior of the compds.

IT **120301-55-5D**, deriv **153880-79-6 153880-80-9**
153880-81-0 153880-82-1 153880-83-2
153880-84-3 153880-85-4 153880-86-5
153880-87-6 153880-88-7 153880-89-8
153880-90-1 153880-91-2 153880-92-3
153880-93-4 153880-94-5 153880-95-6
153880-96-7 153880-97-8 153880-98-9
RL: BIOL (Biological study)
(QSAR of, as allergy inhibitors)

RN 120301-55-5 CAPLUS

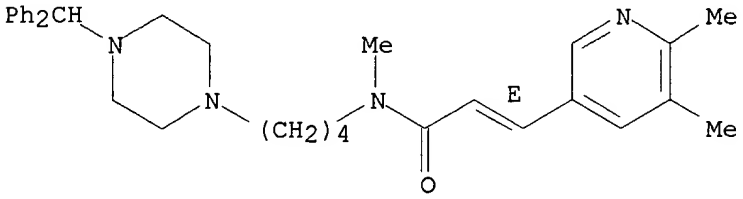
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



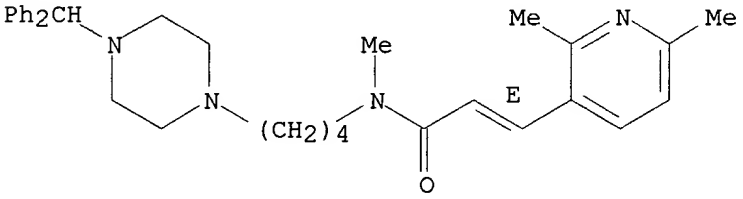
RN 153880-79-6 CAPLUS
CN 2-Propenamide, 3-(5,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



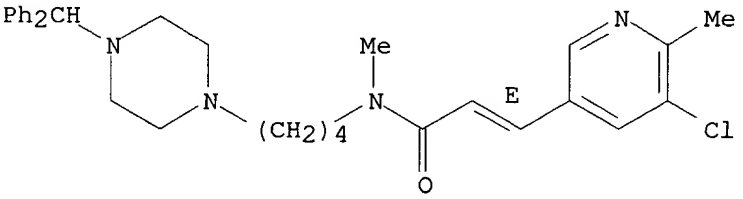
RN 153880-80-9 CAPLUS
CN 2-Propenamide, 3-(2,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



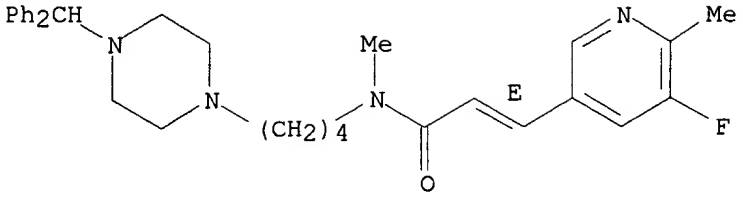
RN 153880-81-0 CAPLUS
CN 2-Propenamide, 3-(5-chloro-6-methyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



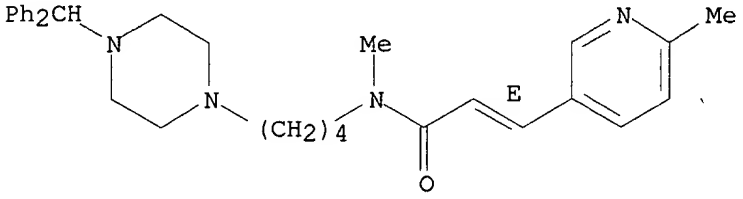
RN 153880-82-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-fluoro-6-methyl-3-pyridinyl)-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



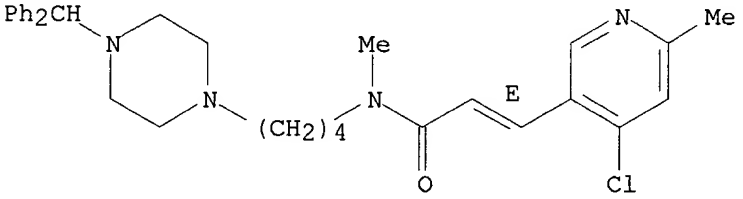
RN 153880-83-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-(6-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



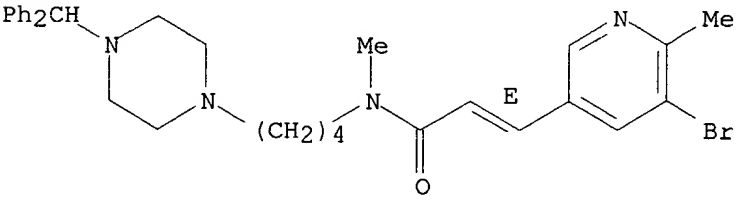
RN 153880-84-3 CAPLUS
CN 2-Propenamide, 3-(4-chloro-6-methyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



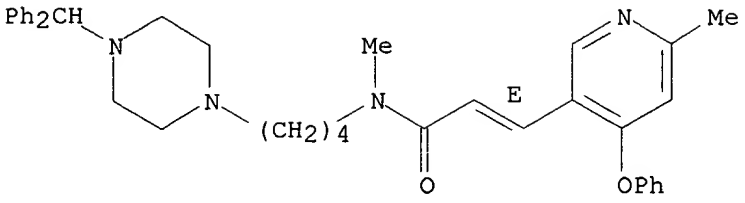
RN 153880-85-4 CAPLUS
CN 2-Propenamide, 3-(5-bromo-6-methyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



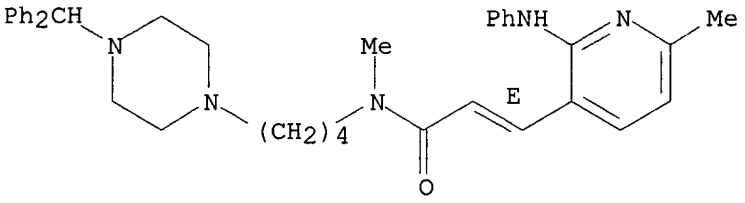
RN 153880-86-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-(6-methyl-4-phenoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



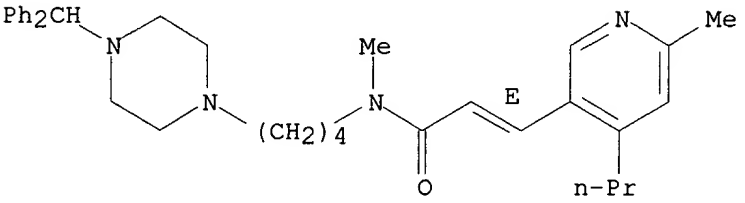
RN 153880-87-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-[6-methyl-2-(phenylamino)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



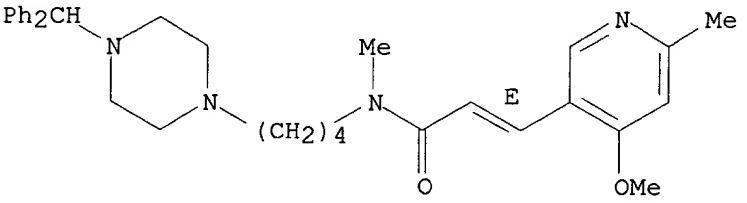
RN 153880-88-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-(6-methyl-4-propyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



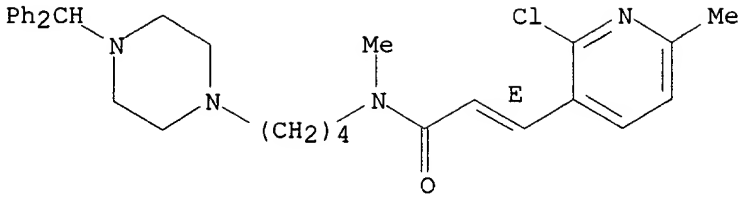
RN 153880-89-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(4-methoxy-6-methyl-3-pyridinyl)-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



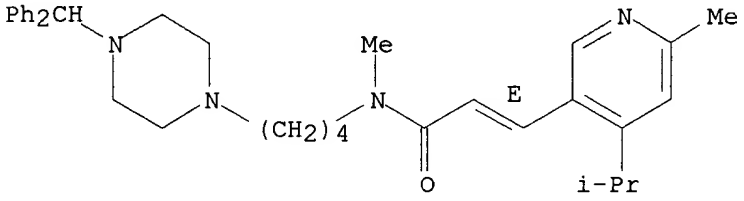
RN 153880-90-1 CAPLUS
CN 2-Propenamide, 3-(2-chloro-6-methyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



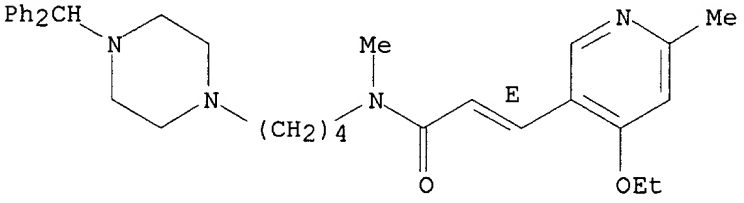
RN 153880-91-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-[6-methyl-4-(1-methylethyl)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



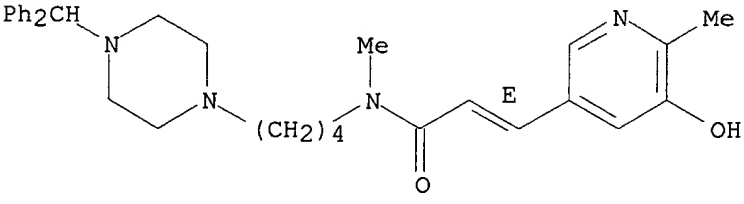
RN 153880-92-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(4-ethoxy-6-methyl-3-pyridinyl)-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



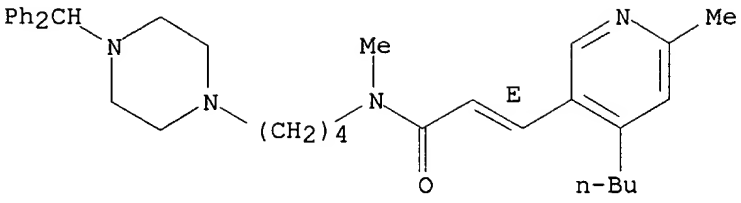
RN 153880-93-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-hydroxy-6-methyl-3-pyridinyl)-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



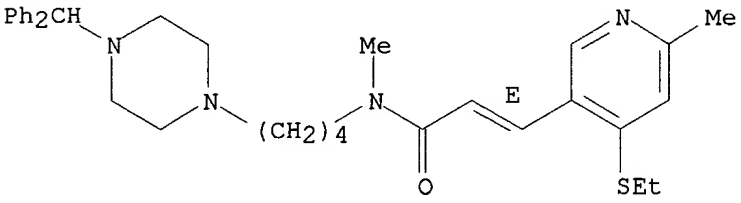
RN 153880-94-5 CAPLUS
CN 2-Propenamide, 3-(4-butyl-6-methyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



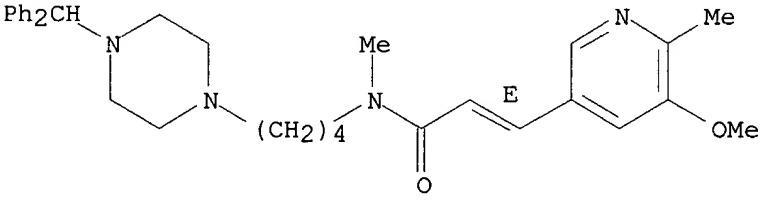
RN 153880-95-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[4-(ethylthio)-6-methyl-3-pyridinyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



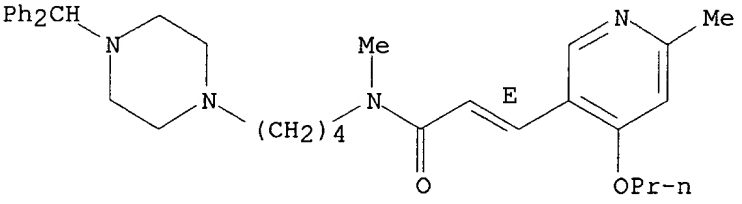
RN 153880-96-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-methoxy-6-methyl-3-pyridinyl)-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



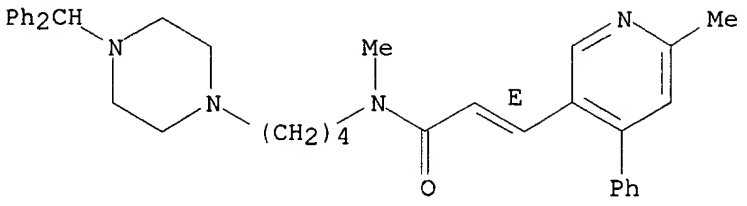
RN 153880-97-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-(6-methyl-4-propoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

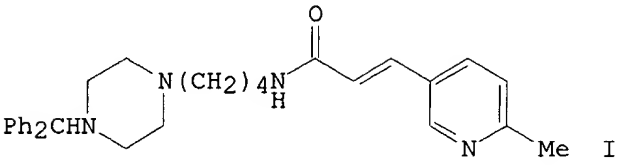


RN 153880-98-9 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-N-methyl-3-(6-methyl-4-phenyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:530869 CAPLUS
DOCUMENT NUMBER: 119:130869
TITLE: Dissociation between central and peripheral antihistamine activities of the new antiallergic agent N-[4-[4-(diphenylmethyl)-1-piperazinyl]-butyl]-3-(6-methyl-3-pyridyl)acrylamide in rats and monkeys
AUTHOR(S): Ishii, K.; Yakuo, I.; Nakagawa, H.; Nakamura, H.
CORPORATE SOURCE: Dep. Pharmacol., Dainippon Pharm. Co., Ltd., Suita, Japan
SOURCE: Arzneim.-Forsch. (1993), 43(6), 668-71
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



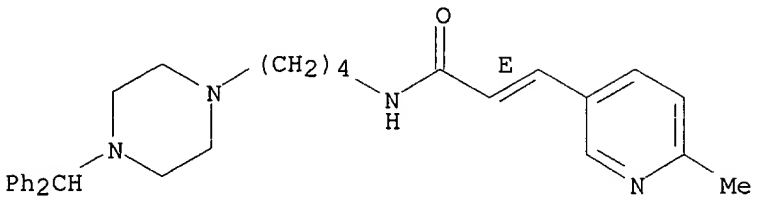
I

AB In order to examine the penetration of AL-3264 (I), a new antiallergic agent, into the brain, the antihistamine activities in the central and peripheral tissues from rats and monkeys orally treated with AL-3264 were measured in comparison with those of ketotifen, oxatomide, mequitazine and terfenadine. These 5 drugs dose-relatedly suppressed the histamine-induced dye leakage in the rat skin and, except terfenadine, inhibited the binding of 3H-mepyramine to brain homogenates obtained from the rats treated orally with the drugs. The ratio (0.07) of AL-3264 for the central (3H-mepyramine binding) to peripheral (dye leakage) antihistamine activities was lower than that of ketotifen, oxatomide and mequitazine, and higher than that of terfenadine. The serum and cerebrospinal fluid (CSF) samples, which were collected from the monkeys treated with 80 mg/kg p.o. of AL-3264, terfenadine or oxatomide, inhibited the histamine-induced contractions in isolated guinea pig trachea. The ratio (0.003) of AL-3264 for the central (CSF) to peripheral (serum) antihistamine activities was lower than that of terfenadine and oxatomide. These results suggest that AL-3264 is poorly accessible to the brain, and may be regarded as a non-sedative antiallergic agent.

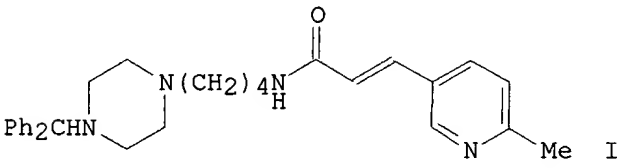
IT **118420-47-6**, AL 3264
RL: BIOL (Biological study)
(brain penetration by, as non-sedative antiallergic agent)

RN 118420-47-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:204881 CAPLUS
DOCUMENT NUMBER: 118:204881
TITLE: Antiallergic activity and mode of action of N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridyl)acrylamide in experimental animals
AUTHOR(S): Ishii, K.; Yakuo, I.; Seto, Y.; Kita, A.; Nakamura, H.; Nishikawa, Y.
CORPORATE SOURCE: Dep. Pharmacol., Dainippon Pharm. Co., Ltd., Suita, Japan
SOURCE: Arzneim.-Forsch. (1993), 43(2), 148-54
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

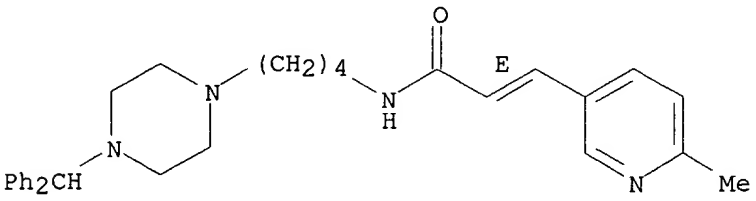
AB The antiallergic effects of AL-3264 (I) were compared with those of ketotifen, oxatomide, azelastine and tranilast in exptl. animals. AL-3264 inhibited passive cutaneous anaphylaxis (PCA) in rats with an ED50 value of 6.1 mg/kg p.o. In inhibiting PCA, AL-3264 was the most potent among the antiallergic drugs examd. The anti-PCA effect on AL-3264 was long-lasting. Tolerance was not produced by repeated administration of AL-3264. AL-3264 inhibited antigen-induced bronchoconstriction in actively sensitized rats and in passively sensitized guinea pigs, with ED50 values of 14.5 and 0.44 mg/kg p.o., resp. In the in vitro expts., AL-3264 inhibited 5-lipoxygenase activity of guinea pig leukocytes with an IC50 value of 4.9 .mu.M, being the most potent among antiallergic drugs examd., and suppressed the antigen-induced histamine release from rat peritoneal mast cells with an IC50 value of 12.2 .mu.M. AL-3264 antagonized histamine-induced contractions in isolated guinea pig trachea with an IC50 value of 0.16 .mu.M. These results suggest that AL-3264 is an orally active, potent and long-lasting antiallergic compd. which inhibits 5-lipoxygenase activity, histamine release and histamine H1 receptors at the similar concns.

IT **118420-47-6**, AL 3264
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiallergic activity of, mechanism of)

RN 118420-47-6 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:147582 CAPLUS
DOCUMENT NUMBER: 118:147582
TITLE: (E)-N-[4-(4-Diphenylmethyl-1-piperazinyl)butyl]-3-(6-carboxy-3-pyridyl)acrylamide and its salts and precursors
INVENTOR(S): Nishikawa, Yoshinori; Terauchi, Hideo; Nakamura, Hideo; Ishii, Katsumi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

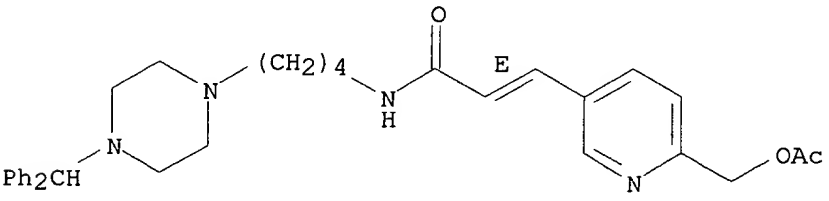
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04275274	A2	19920930	JP 1991-64023	19910304

AB Title compd. (I) and its derivs., useful for antihistaminics, are prepd. Thus, (E)-3-(6-methyl-3-pyridyl)acrylic acid was oxidized to its N-oxide with m-chloroperbenzoic acid, acetoxylated at 6-Me with Ac2O, amidated with 4-(4-diphenylmethyl-1-piperazinyl)butylamine in the presence of N-hydroxysuccinimide and dicyclohexylcarbodiimide, and hydrolyzed with ethanolic NaOH to give (E)-N-[4-(4-diphenylmethyl-1-piperazinyl)butyl]-3-(6-hydroxymethyl-3-pyridyl)acrylamide, which was oxidized with AgNO3 and NaOH to I.

IT **146465-19-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of, with sodium hydroxide)

RN 146465-19-2 CAPLUS
CN 2-Propenamide, 3-[6-[(acetyloxy)methyl]-3-pyridinyl]-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



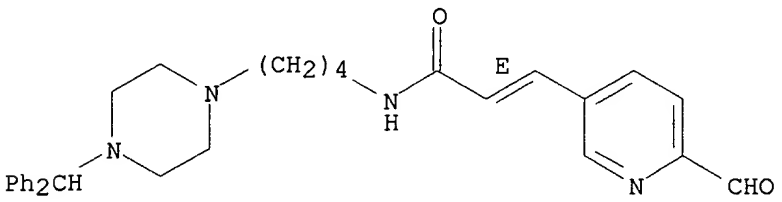
IT **146465-22-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as precursor for antihistaminics, and oxidn. of, with silver nitrate and sodium hydroxide)

RN 146465-22-7 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-formyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



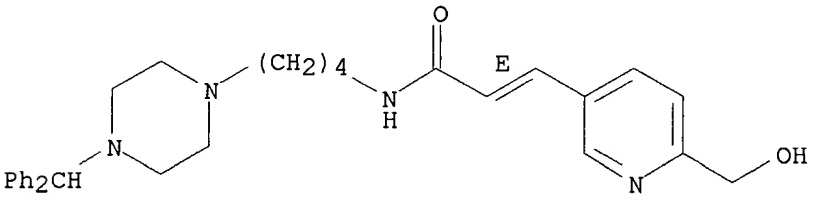
IT **146465-20-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as precursor for antihistaminics, and oxidn. of, with silver nitrate and sodium hydroxide or with manganese dioxide)

RN 146465-20-5 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(hydroxymethyl)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



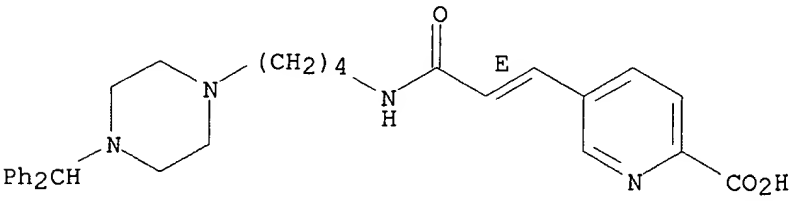
IT **146465-21-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for antihistaminics)

RN 146465-21-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]amino]-3-oxo-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:181401 CAPLUS

DOCUMENT NUMBER: 112:181401

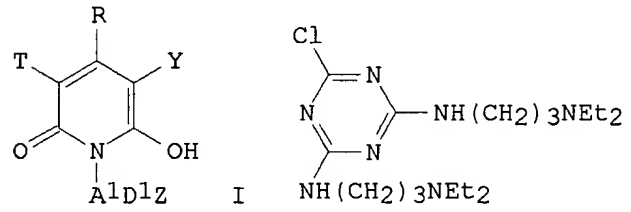
TITLE: Basic 6-hydroxypyrid-2-one coupling components for azo dyes, and dyeing process therewith

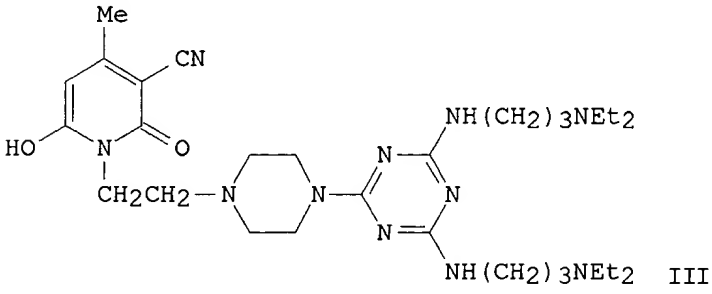
09/ 596,001

INVENTOR(S): Moser, Helmut Anton; Wald, Roland
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 341214	A2	19891108	EP 1989-810334	19890501
EP 341214	A3	19911002		
R: CH, DE, FR, GB, IT, LI, SE				
DE 3815481	A1	19891116	DE 1988-3815481	19880506
US 5059683	A	19911022	US 1989-348340	19890505
JP 02049067	A2	19900219	JP 1989-112847	19890506

PRIORITY APPLN. INFO.: DE 1988-3815481 19880506
OTHER SOURCE(S): MARPAT 112:181401
GI



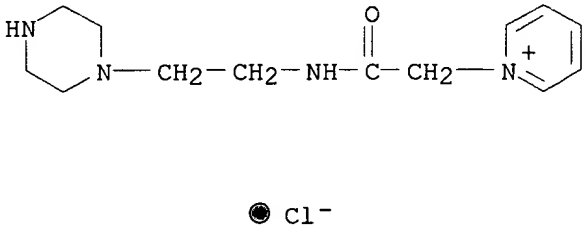


AB The title coupling agents I [A1 = (un)substituted C2-8 alkylene, CH2, C2-8 alkenylene, (un)substituted cyclohexylene, (un)substituted phenylene; D1 = 1,4-piperazinediyl or its monoquaternary salt moiety (with quaternary group bound to A1); R = H, C1-4 alkyl, C5-6 cycloalkyl, Ph, PhCH2, Ph(CH2)2; T = H, CN, CO2R1, CONR22, SO2NR22, (un)substituted pyridinium salt mmoiety, N-alkylimidazolium salt moiety, bicyclic heterocyclic salt moiety; R1 = C1-6 alkyl, phenyl-C1-3 alkyl; R2 = H, C1-4 alkyl, NR22 (un)substituted satd. ring contg. 1-3 hetero atoms; Y = N:ND2, XG; D2 = radical of a diazo component; G = chromophoric residue; X = S, O, NR5; R5 = H, C1-4 alkyl], useful in their basic or salt form as coupling components in the manuf. of azo dyes for paper or paper products, are prepd. Thus, cyanoacetic acid Me ester reacted with 1-(2-aminoethyl)piperazine and acetoacetic acid Me ester, and the intermediate reacted with II, producing III, which could be used without isolation as a coupling component for azo dye manuf.

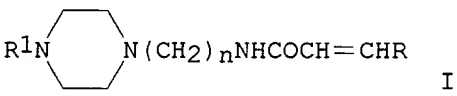
IT **126488-41-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation)
(prepn. and cyclization of, with Me acetoacetate)

09/ 596,001

RN 126488-41-3 CAPLUS
CN Pyridinium, 1-[2-oxo-2-[[2-(1-piperazinyl)ethyl]amino]ethyl]-, chloride (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1989:185338 CAPLUS
DOCUMENT NUMBER: 110:185338
TITLE: Acrylamide derivatives as antiallergic agents. I. Synthesis and structure-activity relationships of N-[(4-substituted 1-piperazinyl)alkyl]-3-(aryl and heteroaryl)acrylamides
AUTHOR(S): Nishikawa, Yoshinori; Shindo, Tokuhiko; Ishii, Katsumi; Nakamura, Hideo; Kon, Tatsuya; Uno, Hitoshi
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SOURCE: Chem. Pharm. Bull. (1989), 37(1), 100-5
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



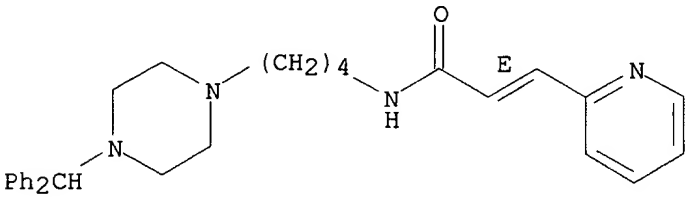
I

AB A new series of acrylamide derivs. (I, R = e.g., Ph or substituted Ph, naphthyl, pyridyl, or furyl; R1 = CHPh2, Ph, 4-fluorophenyl, or benzyl; n = 2-6) were prepd. by the reaction of piperazine derivs. with bromoalkylphthalimides followed by cleavage of the phthalimido groups with N2H4, and treatment of the resulting piperazines with substituted acryloyl chlorides, or with acrylic acids in the presence of ClCO2Et in anhyd. THF or with acrylic acids in the presence of dicyclohexylcarbodiimide. Antiallergic activity of these compds. was evaluated and their structure-activity relations were examd. I (R = 3-pyridyl, R1 = CHPh2) (II) showed antiallergic activity equiv. or superior to that of ketotifen in the rat passive cutaneous anaphylaxis test by oral administration. II, unlike ketotifen, had more potent in vitro 5-lipoxygenase inhibitory activity than caffeic acid, whereas its in vitro antihistaminic activity was weaker than that of ketotifen. In addn., its inhibitory activity against histamine release from rat mast cells was approx. 2/3 as potent as that of disodium cromoglycate. II is a promising agent for treating a variety of allergic diseases.

IT **120301-54-4P 120301-55-5P 120301-56-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

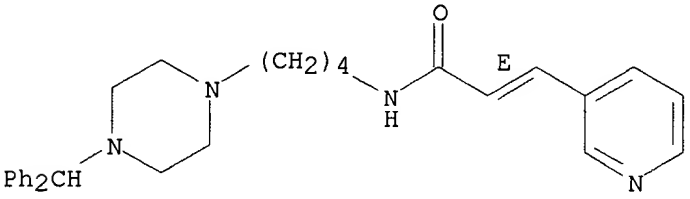
(prepn. and antiallergic activity of)
RN 120301-54-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(2-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



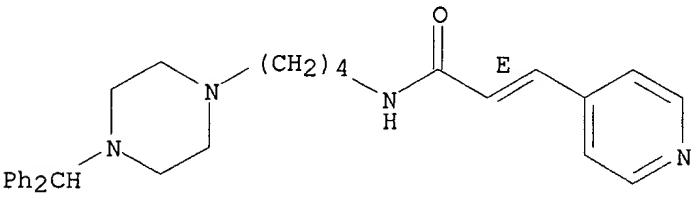
RN 120301-55-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 120301-56-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(4-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

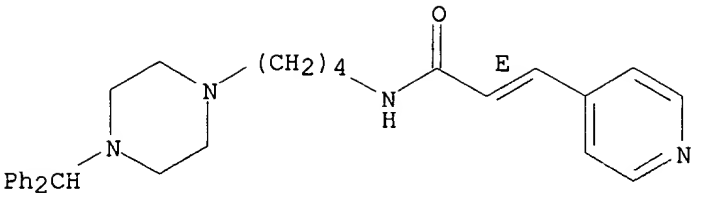


IT **120301-73-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 120301-73-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(4-pyridinyl)-, (E)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

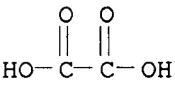
CRN 120301-56-6
CMF C29 H34 N4 O
CDES 2:E

Double bond geometry as shown.

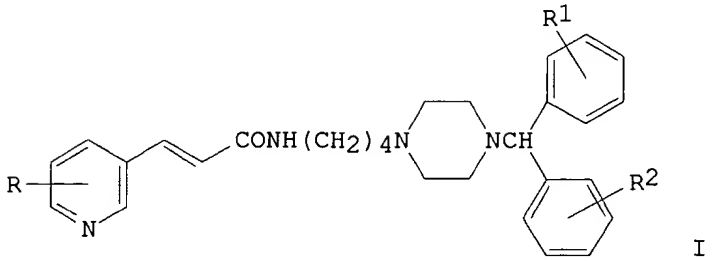


CM 2

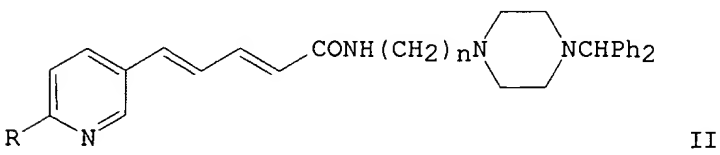
CRN 144-62-7
CMF C2 H2 O4



L4 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1989:114792 CAPLUS
DOCUMENT NUMBER: 110:114792
TITLE: Acrylamide derivatives as antiallergic agents. 2. Synthesis and structure activity relationships of N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridyl)acrylamides
AUTHOR(S): Nishikawa, Yoshinori; Shindo, Tokuhiko; Ishii, Katsumi; Nakamura, Hideo; Kon, Tatsuya; Uno, Hitoshi
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SOURCE: J. Med. Chem. (1989), 32(3), 583-93
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:114792
GI



I



II

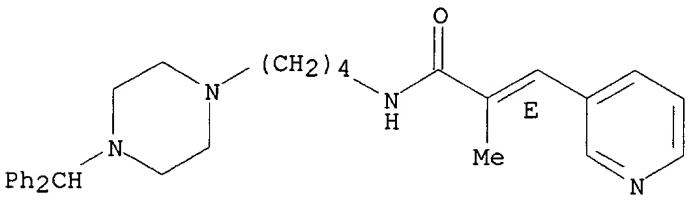
AB A new series of 3-(3-pyridyl)acrylamides, e.g., I (R = H, R1 = 4-F, 4-Cl, 4-OMe, 3-Me, 4-Me, R2 = H, 4-Cl, 4-Me; R = 2-Cl, 2-NHMe, 2-Me, 5-F, 5-Cl, 5-Br, 5-OMe, 5-OH, 6-Cl, 6-OMe, 6-Me, 6-Bu, R1 = R2 = H), and 5-(3-pyridyl)-2,4-pentadienamides, e.g., II (R = H, Me, n = 3,4) were prepd. and evaluated for antiallergic activity. Several of these compds. exhibited more potent inhibitory activities than the parent compd. I (R = R1 = R2 = H) against the rat passive cutaneous anaphylaxis (PCA) reaction and the enzyme 5-lipoxygenase. Particularly, I (R = 6-Me, R1 = R2 = H) (III) showed an ED50 value of 3.3 mg/kg po in the rat PCA test, which was one-fifth of ketotifen and oxatomide. As compared with ketotifen and oxatomide, III showed a better balance of antiallergic properties due to inhibition of chem. mediator release, inhibition of 5-lipoxygenase, and antagonism of histamine.

IT **107755-60-2P 107755-61-3P 107755-62-4P**
107755-64-6P 107755-68-0P 107755-78-2P
107755-79-3P 118420-24-9P 118420-25-0P
118420-26-1P 118420-27-2P 118420-28-3P
118420-29-4P 118420-30-7P 118420-31-8P
118420-32-9P 118420-33-0P 118420-34-1P
118420-35-2P 118420-36-3P 118420-37-4P
118420-38-5P 118420-39-6P 118420-40-9P
118420-41-0P 118420-42-1P 118420-43-2P
118420-44-3P 118420-45-4P 118420-46-5P
118420-47-6P 118420-48-7P 118420-49-8P
118420-50-1P 118420-51-2P 118420-52-3P
118420-53-4P 118420-55-6P 118420-56-7P
118420-57-8P 118420-58-9P 118420-59-0P
118420-60-3P 118420-61-4P 118437-09-5P
118437-10-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiallergic activity of)

RN 107755-60-2 CAPLUS

CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-methyl-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

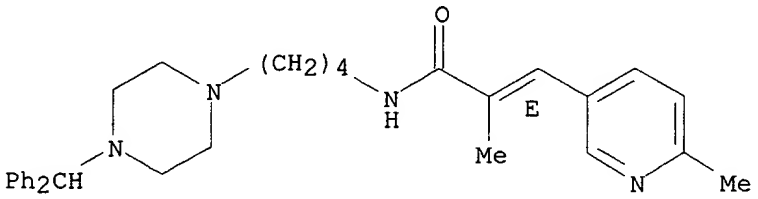
Double bond geometry as shown.



RN 107755-61-3 CAPLUS

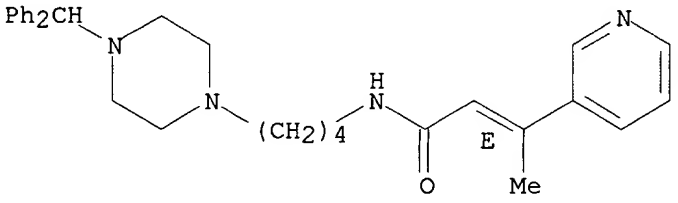
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-methyl-3-(6-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



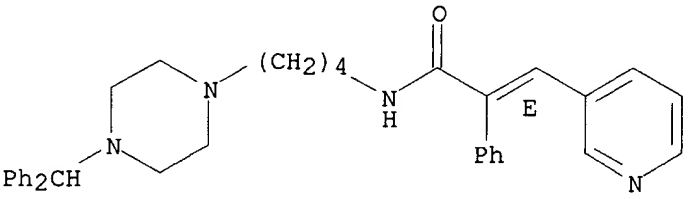
RN 107755-62-4 CAPLUS
CN 2-Butenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



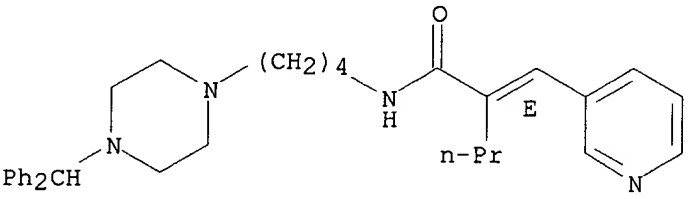
RN 107755-64-6 CAPLUS
CN Benzeneacetamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-.alpha.-(3-pyridinylmethylene)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

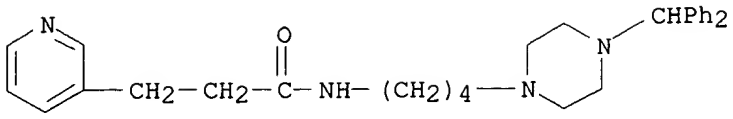


RN 107755-68-0 CAPLUS
CN Pentanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-(3-pyridinylmethylene)-, (E)- (9CI) (CA INDEX NAME)

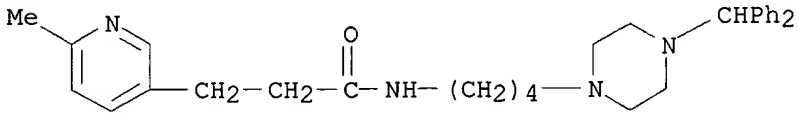
Double bond geometry as shown.



RN 107755-78-2 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

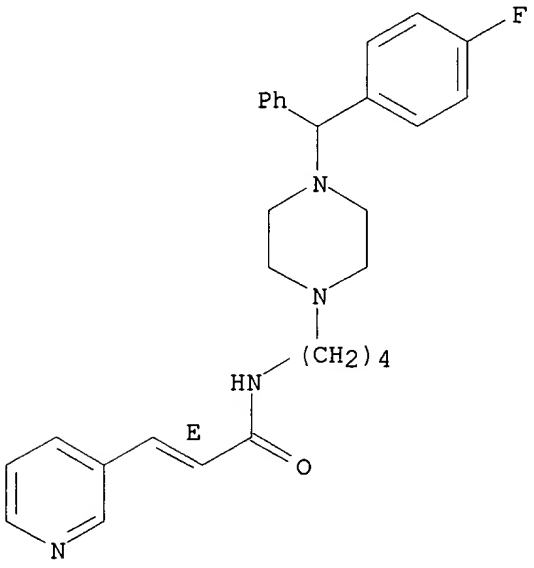


RN 107755-79-3 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-6-methyl- (9CI) (CA INDEX NAME)



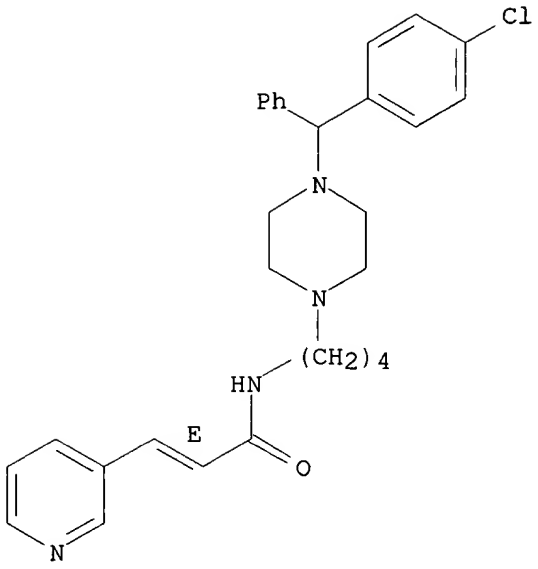
RN 118420-24-9 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-fluorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



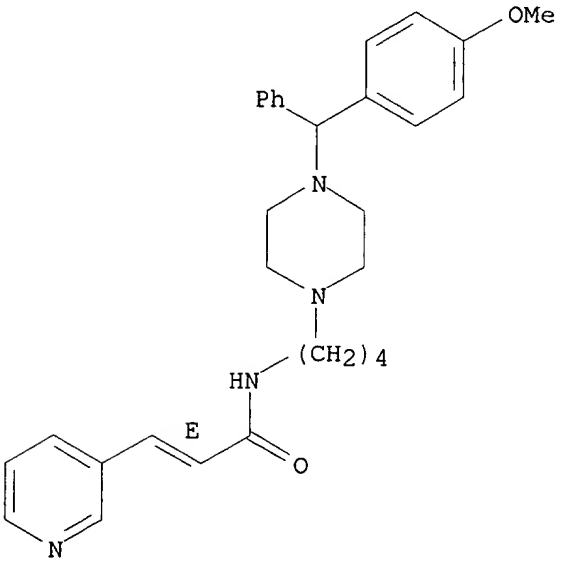
RN 118420-25-0 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



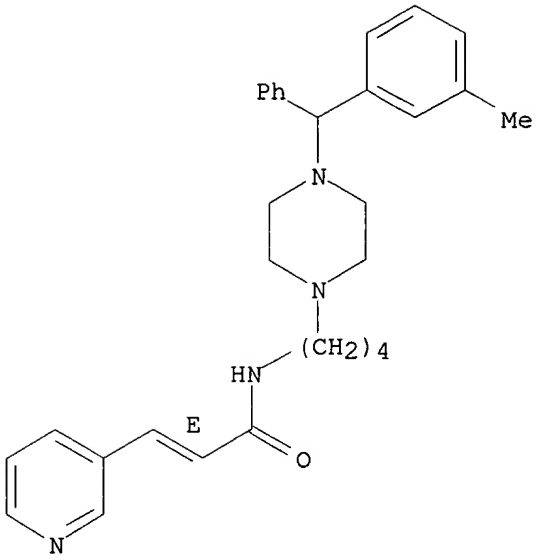
RN 118420-26-1 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methoxyphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



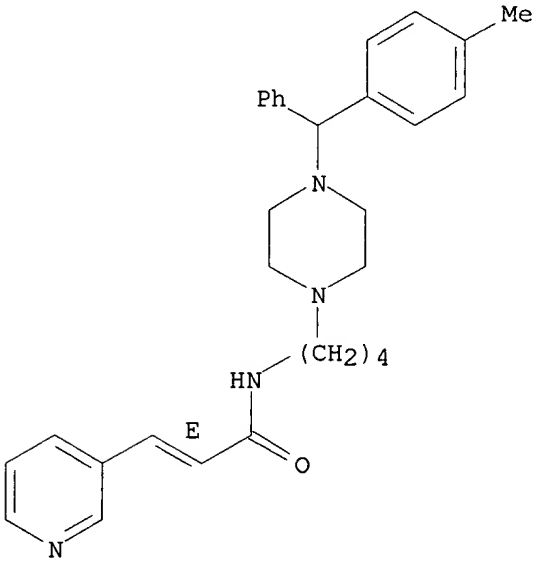
RN 118420-27-2 CAPLUS
CN 2-Propenamide, N-[4-[4-[(3-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



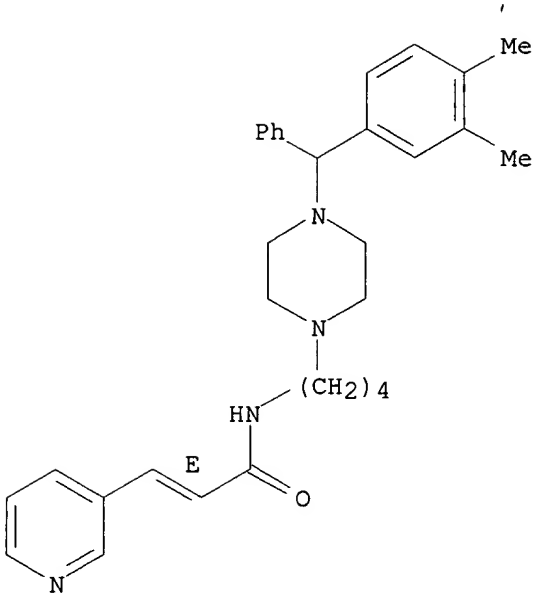
RN 118420-28-3 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



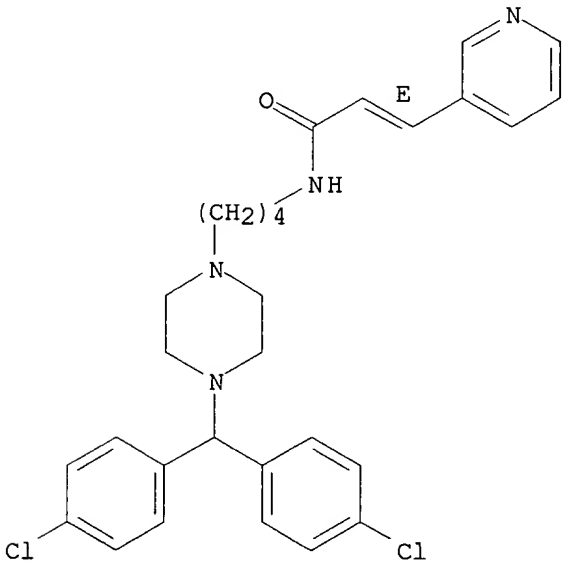
RN 118420-29-4 CAPLUS
CN 2-Propenamide, N-[4-[4-[(3,4-dimethylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



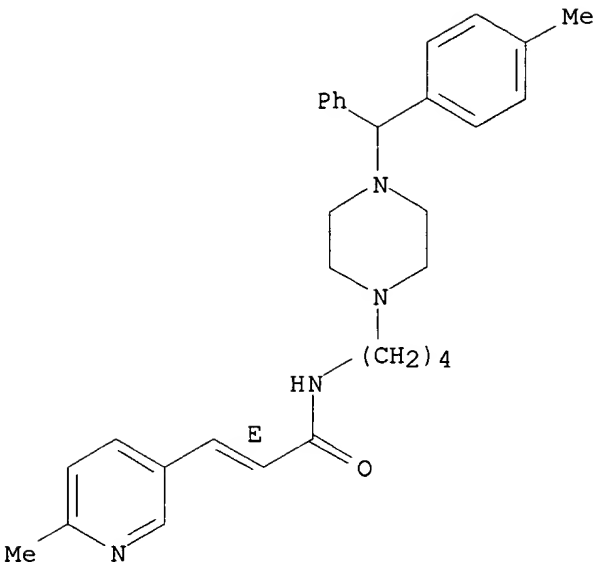
RN 118420-30-7 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-chlorophenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



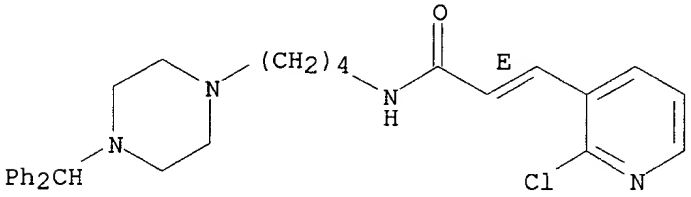
RN 118420-31-8 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



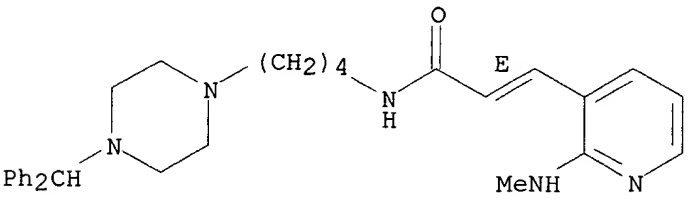
RN 118420-32-9 CAPLUS
CN 2-Propenamide, 3-(2-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



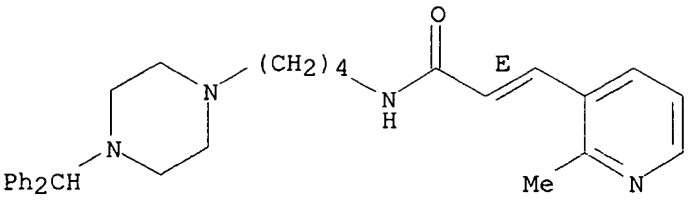
RN 118420-33-0 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[2-(methylamino)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



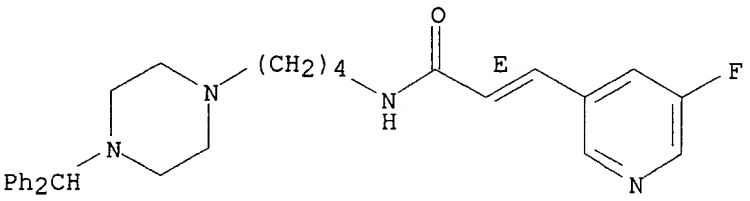
RN 118420-34-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(2-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



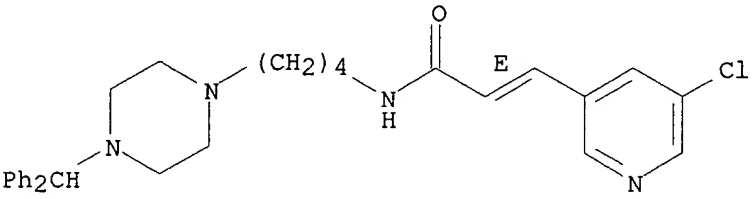
RN 118420-35-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-fluoro-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



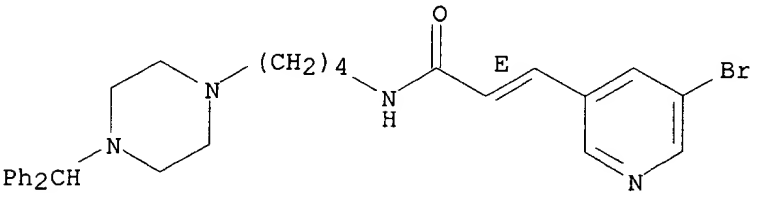
RN 118420-36-3 CAPLUS
CN 2-Propenamide, 3-(5-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



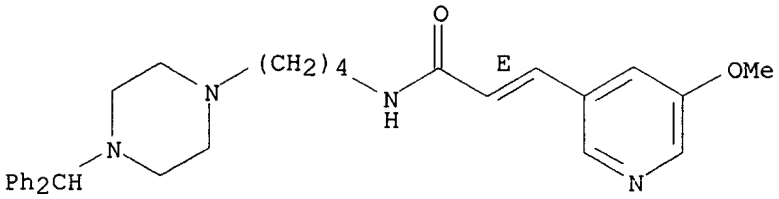
RN 118420-37-4 CAPLUS
CN 2-Propenamide, 3-(5-bromo-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



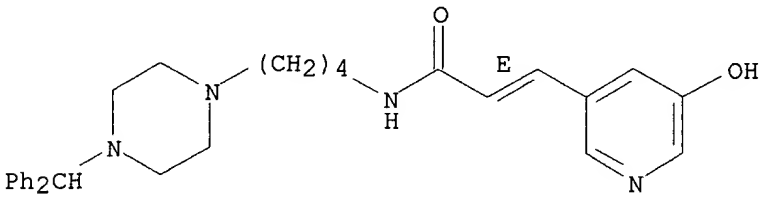
RN 118420-38-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-methoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



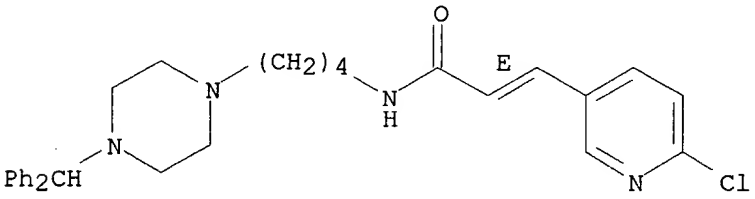
RN 118420-39-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-hydroxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



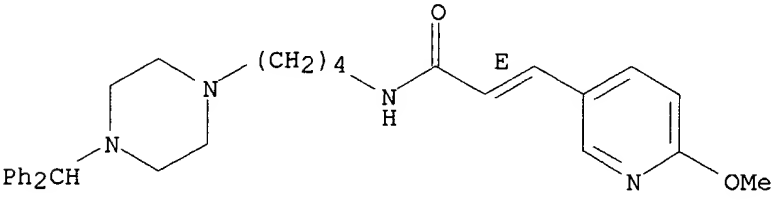
RN 118420-40-9 CAPLUS
CN 2-Propenamide, 3-(6-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



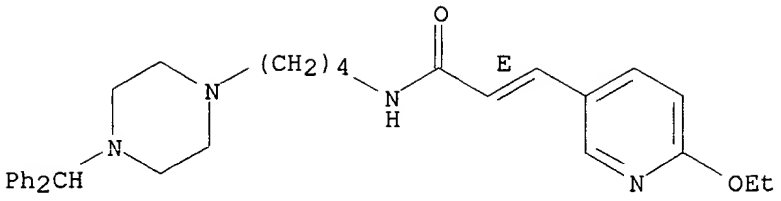
RN 118420-41-0 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



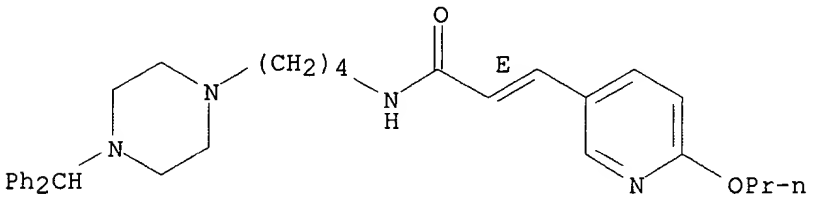
RN 118420-42-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-ethoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



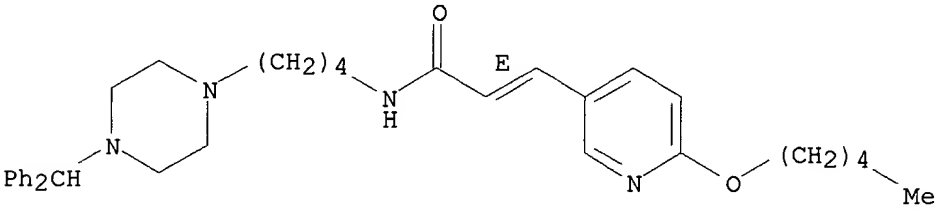
RN 118420-43-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-propoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



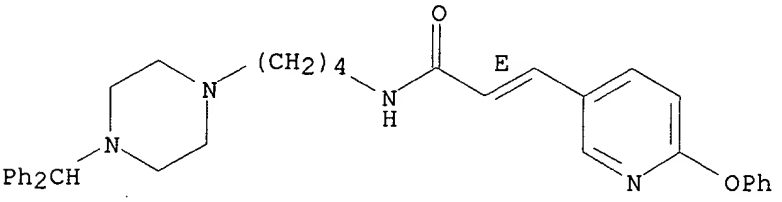
RN 118420-44-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(pentyloxy)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



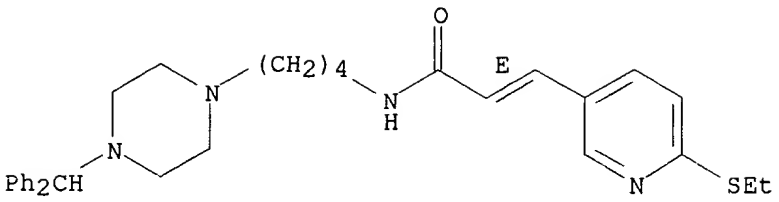
RN 118420-45-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-phenoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



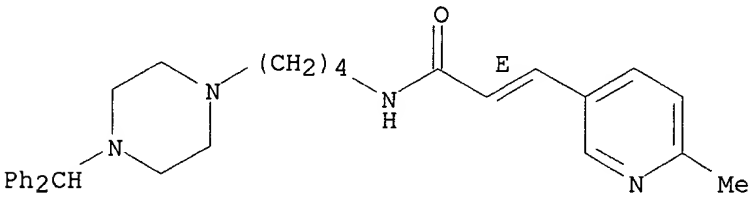
RN 118420-46-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(ethylthio)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



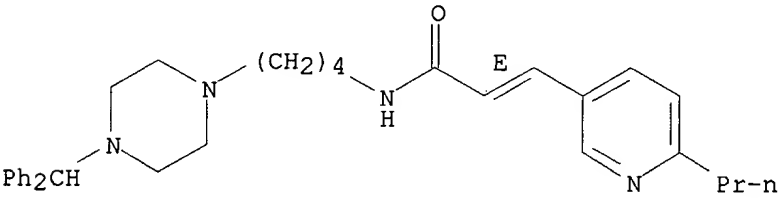
RN 118420-47-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



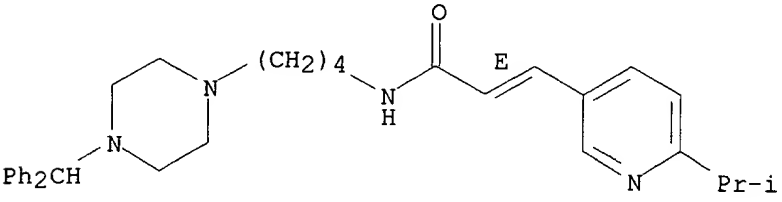
RN 118420-48-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-propyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



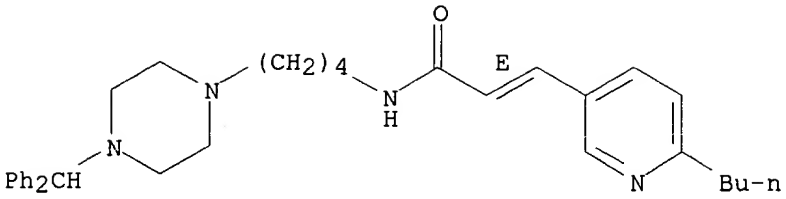
RN 118420-49-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(1-methylethyl)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



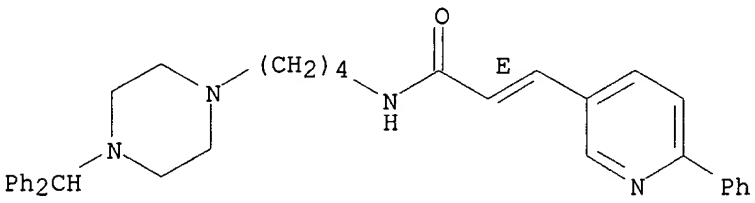
RN 118420-50-1 CAPLUS
CN 2-Propenamide, 3-(6-butyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



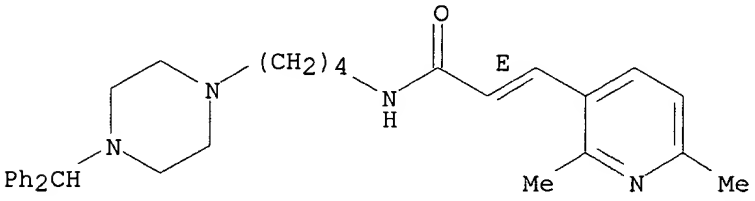
RN 118420-51-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-phenyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



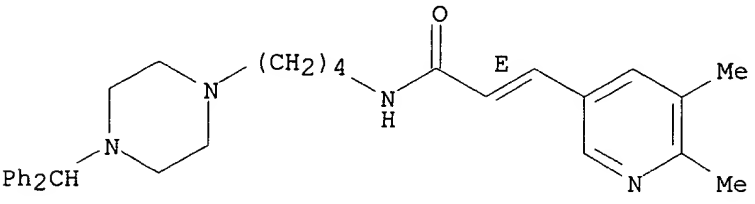
RN 118420-52-3 CAPLUS
CN 2-Propenamide, 3-(2,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



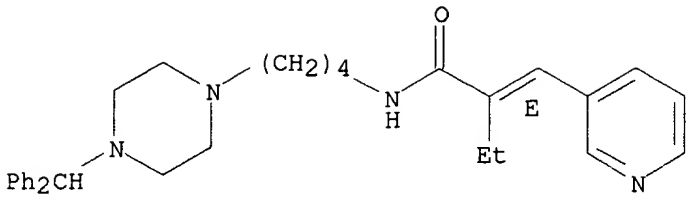
RN 118420-53-4 CAPLUS
CN 2-Propenamide, 3-(5,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



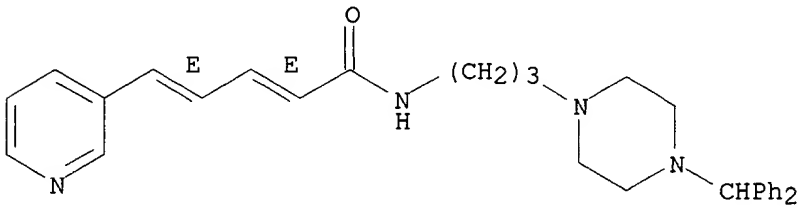
RN 118420-55-6 CAPLUS
CN Butanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-(3-pyridinylmethylene)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



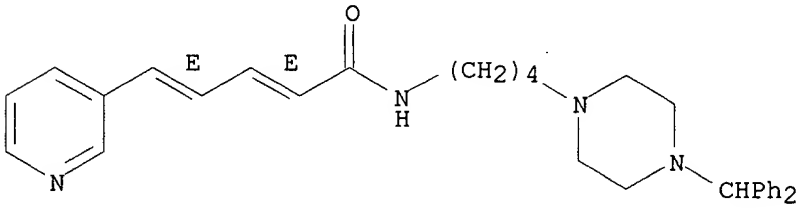
RN 118420-56-7 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-(3-pyridinyl)-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



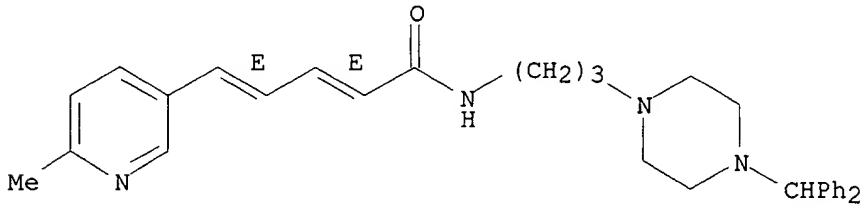
RN 118420-57-8 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-5-(3-pyridinyl)-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



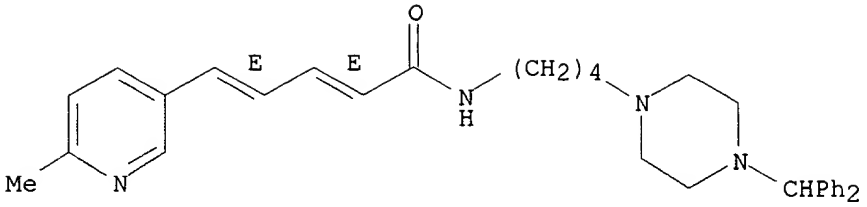
RN 118420-58-9 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-(6-methyl-3-pyridinyl)-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



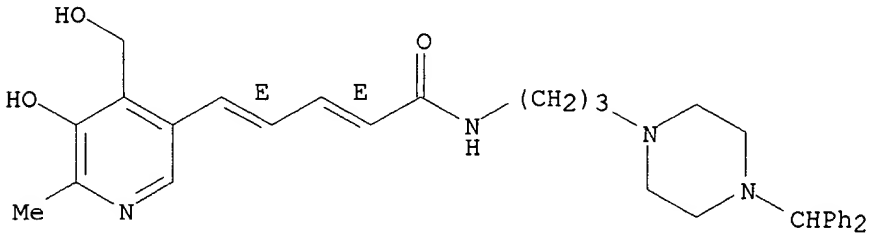
RN 118420-59-0 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-5-(6-methyl-3-pyridinyl)-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



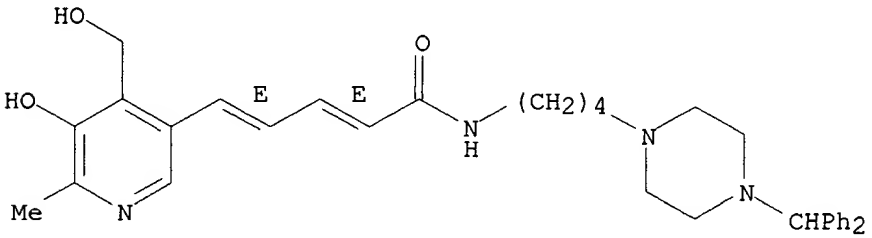
RN 118420-60-3 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-[5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



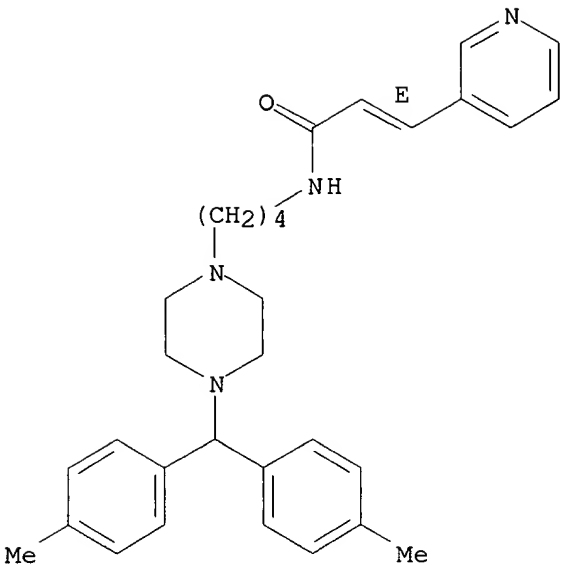
RN 118420-61-4 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-5-[5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



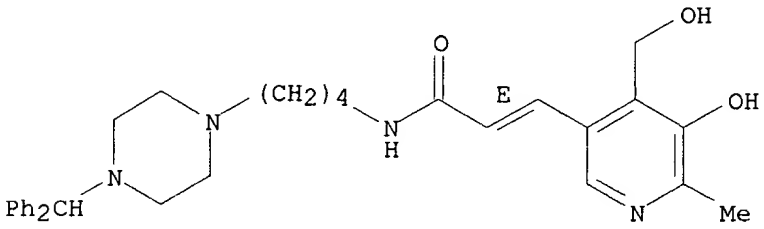
RN 118437-09-5 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-methylphenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 118437-10-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

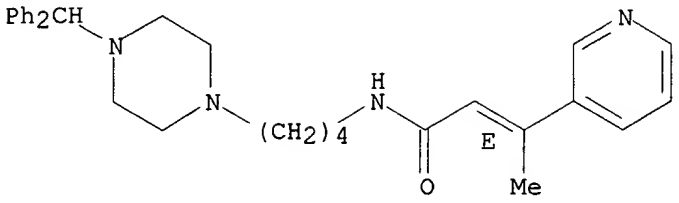


IT **107755-63-5P 107755-65-7P 118420-65-8P**
118420-66-9P 118420-67-0P 118420-68-1P
118420-69-2P 118420-70-5P 118420-71-6P
118420-72-7P 118420-75-0P 118420-76-1P
118420-77-2P 118420-78-3P 118420-79-4P
118420-80-7P 118420-81-8P 118420-82-9P
118420-84-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 107755-63-5 CAPLUS
CN 2-Butenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (2E)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 107755-62-4
CMF C30 H36 N4 O
CDES 2:E

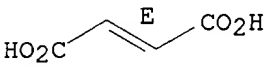
Double bond geometry as shown.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

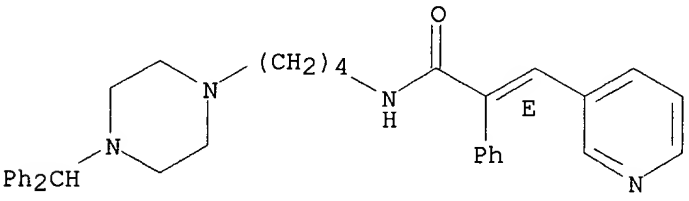


RN 107755-65-7 CAPLUS
CN Benzeneacetamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-.alpha.-(3-pyridinylmethylene)-, (E)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

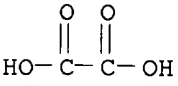
CRN 107755-64-6
CMF C35 H38 N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

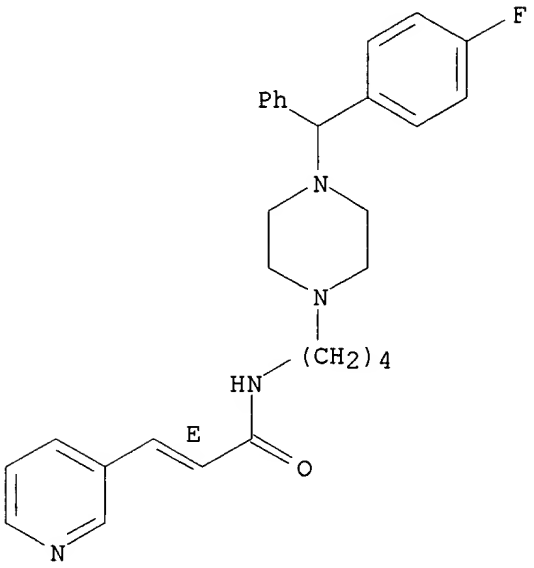


RN 118420-65-8 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-fluorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

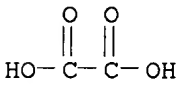
CRN 118420-24-9
CMF C29 H33 F N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

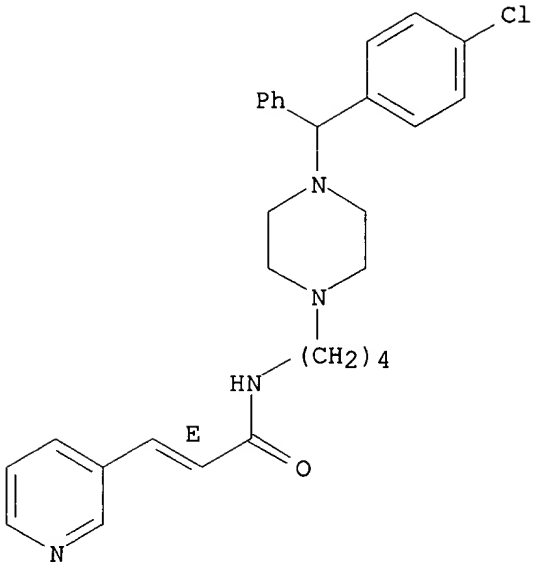


RN 118420-66-9 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

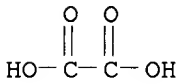
CRN 118420-25-0
CMF C29 H33 Cl N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

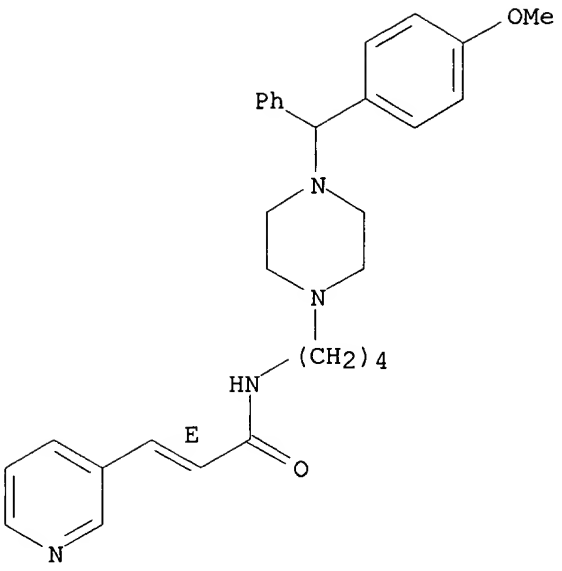


RN 118420-67-0 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methoxyphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

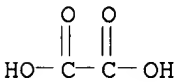
CRN 118420-26-1
CMF C30 H36 N4 O2
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

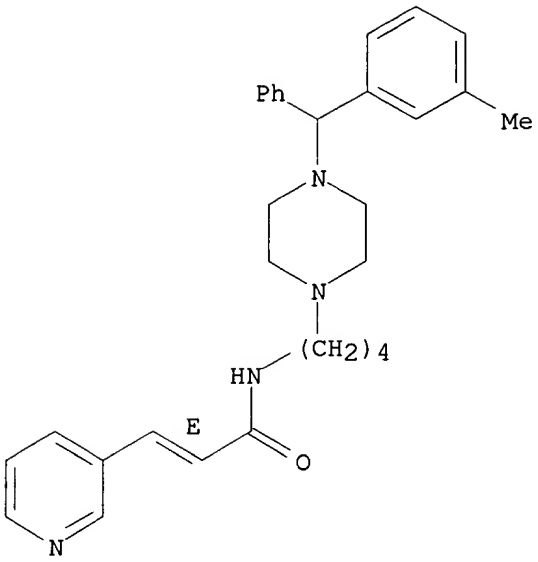


RN 118420-68-1 CAPLUS
CN 2-Propenamide, N-[4-[4-[(3-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

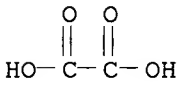
CRN 118420-27-2
CMF C30 H36 N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

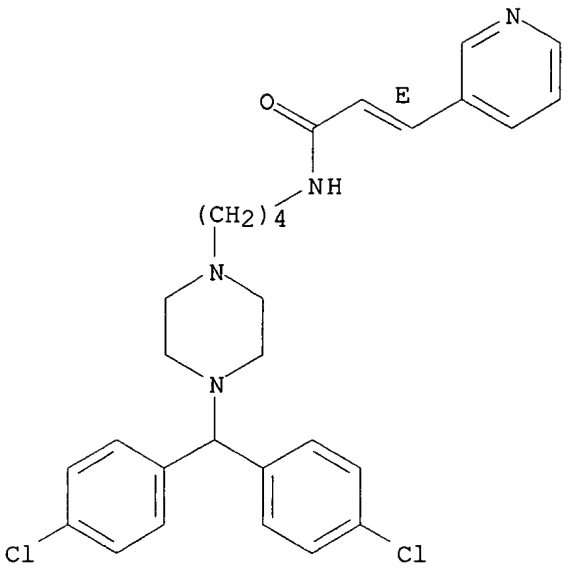


RN 118420-69-2 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-chlorophenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

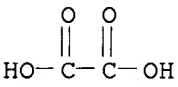
CRN 118420-30-7
CMF C29 H32 Cl2 N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

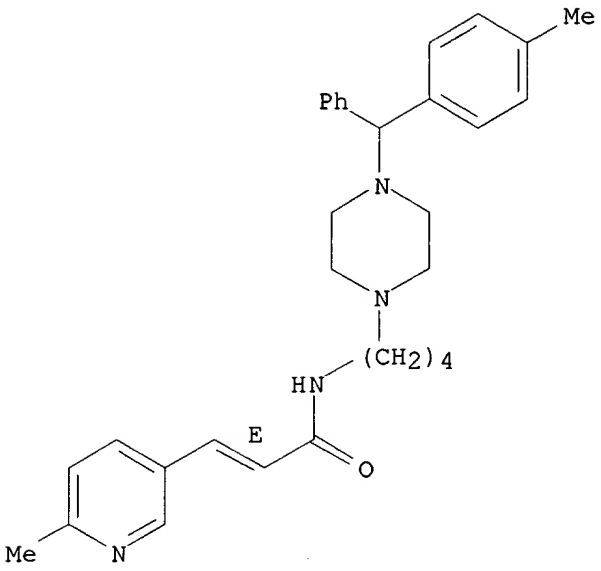


RN 118420-70-5 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, (E)-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

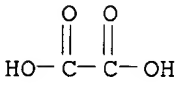
CRN 118420-31-8
CMF C31 H38 N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

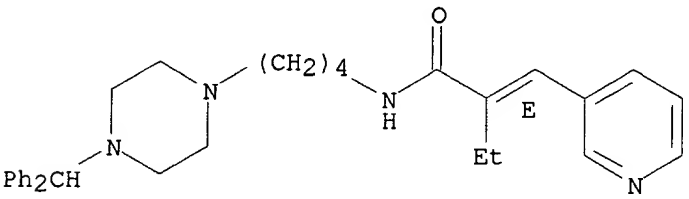


RN 118420-71-6 CAPLUS
CN Butanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-(3-pyridinylmethylene)-, (2E)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-55-6
CMF C31 H38 N4 O
CDES 2:E

Double bond geometry as shown.

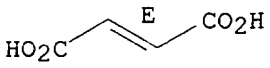


CM 2

CRN 110-17-8

CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

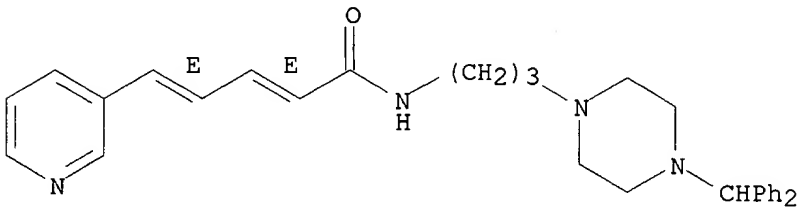


RN 118420-72-7 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-(3-pyridinyl)-, (2E,4E)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-56-7
CMF C30 H34 N4 O
CDES 2:E,E

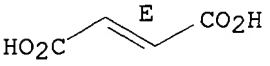
Double bond geometry as shown.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

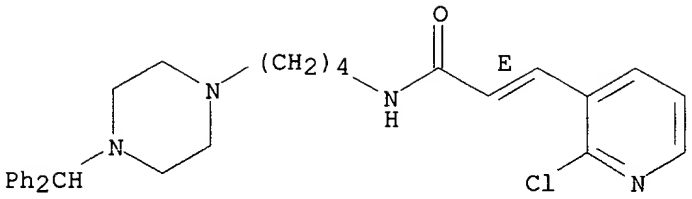


RN 118420-75-0 CAPLUS
CN 2-Propenamide, 3-(2-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

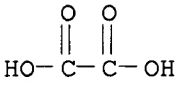
CRN 118420-32-9
CMF C29 H33 Cl N4 O
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

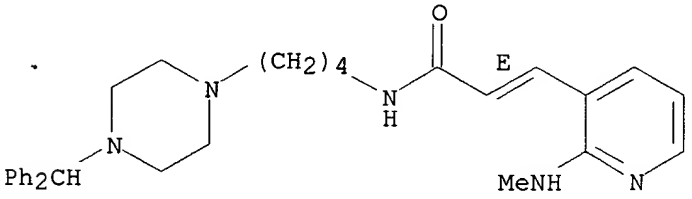


RN 118420-76-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[2-(methylamino)-3-pyridinyl]-, (E)-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-33-0
CMF C30 H37 N5 O
CDES 2:E

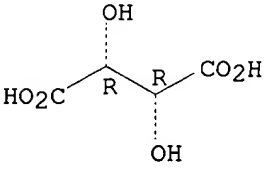
Double bond geometry as shown.



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

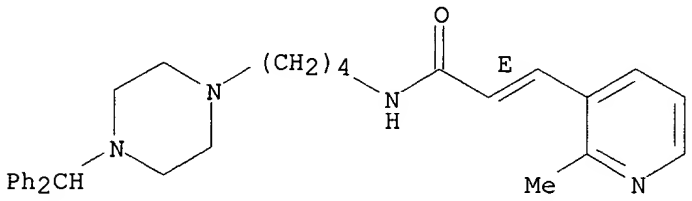


RN 118420-77-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(2-methyl-3-pyridinyl)-, (E)-, (2R,3R)-2,3-dihydroxybutanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-34-1
CMF C30 H36 N4 O
CDES 2:E

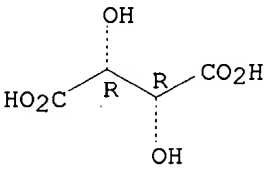
Double bond geometry as shown.



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

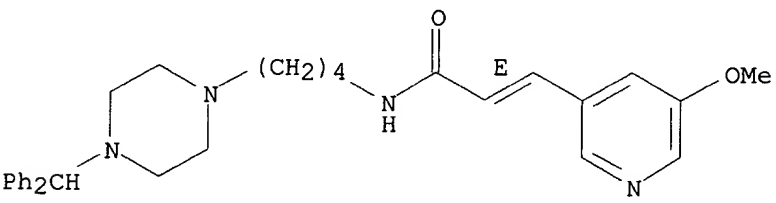


RN 118420-78-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-methoxy-3-pyridinyl)-, (E)-, (2R,3R)-2,3-dihydroxybutanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-38-5
CMF C30 H36 N4 O2
CDES 2:E

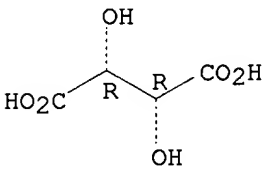
Double bond geometry as shown.



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

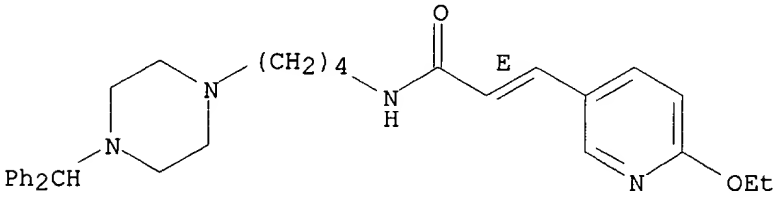


RN 118420-79-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-ethoxy-3-pyridinyl)-, (E)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

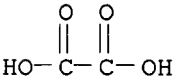
CRN 118420-42-1
CMF C31 H38 N4 O2
CDES 2:E

Double bond geometry as shown.



CM 2

CRN 144-62-7
CMF C2 H2 O4

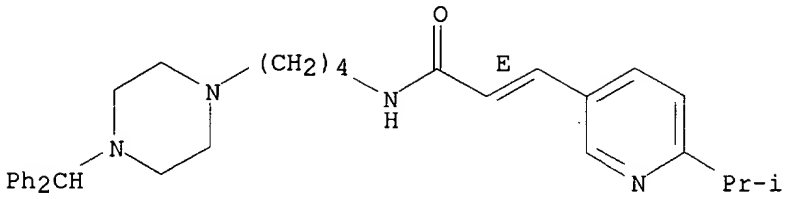


RN 118420-80-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(1-methylethyl)-3-pyridinyl]-, (E)-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-49-8
CMF C32 H40 N4 O
CDES 2:E

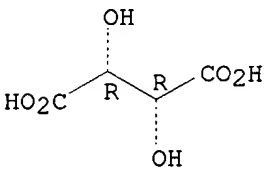
Double bond geometry as shown.



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

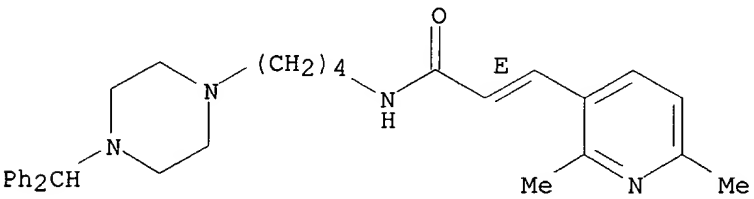


RN 118420-81-8 CAPLUS
CN 2-Propenamide, 3-(2,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-52-3
CMF C31 H38 N4 O
CDES 2:E

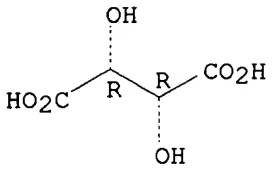
Double bond geometry as shown.



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

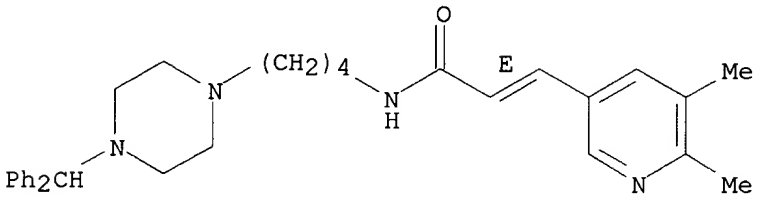


RN 118420-82-9 CAPLUS
CN 2-Propenamide, 3-(5,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (E)-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 118420-53-4
CMF C31 H38 N4 O
CDES 2:E

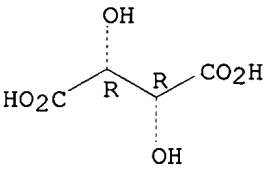
Double bond geometry as shown.



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

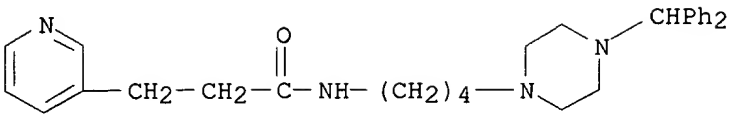
Absolute stereochemistry.



RN 118420-84-1 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

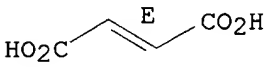
CRN 107755-78-2
CMF C29 H36 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

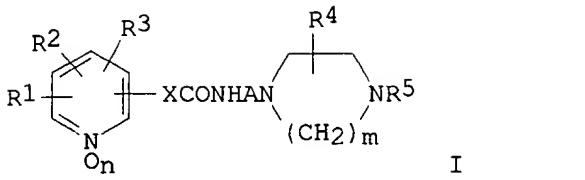


L4 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1987:176422 CAPLUS
DOCUMENT NUMBER: 106:176422
TITLE: Preparation of pyridylacrylamidoalkylpiperazines as allergy inhibitors
INVENTOR(S): Uno, Hitoshi; Nishikawa, Yoshinori; Shindo, Tokuhiko; Nakamura, Hideo; Ishii, Katsumi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210782	A2	19870204	EP 1986-305421	19860715
EP 210782	A3	19890329		
EP 210782	B1	19910417		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4778796	A	19881018	US 1986-884717	19860711
CA 1262726	A1	19891107	CA 1986-513710	19860714
AT 62676	E	19910515	AT 1986-305421	19860715
ES 2000534	A6	19880301	ES 1986-423	19860718
JP 62103065	A2	19870513	JP 1986-170458	19860719
JP 02033705	B4	19900730		

PRIORITY APPLN. INFO.: JP 1985-160783 19850719
EP 1986-305421 19860715

GI



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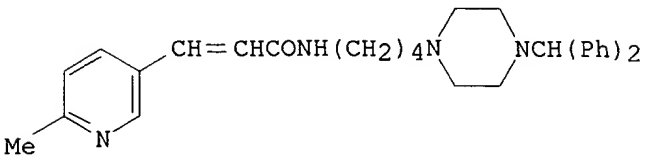


II

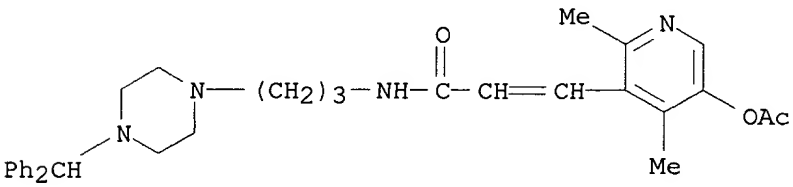
AB The title compds. [I; X = alkylene, (substituted) (CH:CH)x; A = alkylene, alkylene contg. .gtoreq.1 double bond; R1 = H, halo, alkyl, alkoxy, alkylthio, cycloalkoxy, cycloalkylthio, CO2H, Ph, PhO, 3-pyridyloxy, etc.; R2 = H, OH, acyloxy, alkoxycarbonyloxy; R1R2 = (CH2)4, (substituted) CH2OCH2O; R3 = H, alkyl, hydroxyalkyl; R4 = H, alkyl; R5 = Ph, N-contg. heteroaryl, substituted alkyl; m = 2, 3; n = 0, 1; x = 1, 2] were prepd. as lipoxygenase inhibitors. A suspension of 3-(6-methyl-3-pyridyl)acrylic acid (prepn. given) was amidated with 4-[4-(diphenylmethyl)-1-piperazinyl]butylamine to give piperazinylbutylacrylamide II. In the passive cutaneous anaphylaxis test in rats 20 mg II/kg orally gave 81.9% inhibition, vs. 54.7% inhibition with Ketotifen fumarate. 1000 Capsules were prepd. contg. II 1, corn starch 107, lactose 65, hydroxypropylcellulose 5, silicic acid 1, and Mg stearate 1 g.

IT **107787-03-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)

RN 107787-03-1 CAPLUS

CN 2-Propenamide, 3-[5-(acetyloxy)-2,4-dimethyl-3-pyridinyl]-N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



IT **107754-63-2P 107754-64-3P 107754-66-5P**
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107754-76-7P 107754-77-8P 107754-78-9P
107754-80-3P 107754-81-4P 107754-82-5P
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107755-56-6P 107755-57-7P 107755-59-9P
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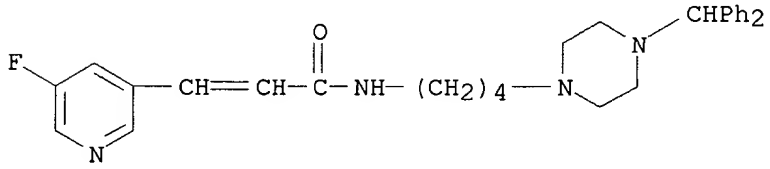
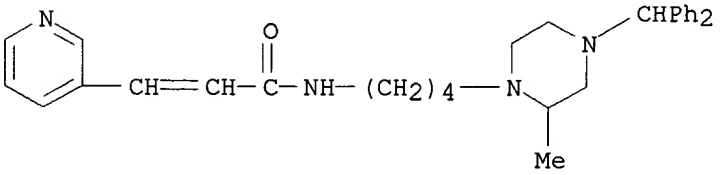
107755-65-7P 107755-66-8P 107755-67-9P
107755-68-0P 107755-69-1P 107755-71-5P
107755-72-6P 107755-73-7P 107755-74-8P
107755-75-9P 107755-76-0P 107755-77-1P
107755-78-2P 107755-79-3P 107755-80-6P
107755-81-7P 107755-82-8P 107755-84-0P
107755-85-1P 107755-86-2P 107756-21-8P
107756-22-9P 107786-96-9P 107787-00-8P
107787-01-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic agent)

RN 107754-63-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-fluoro-3-pyridinyl)- (9CI) (CA INDEX NAME)



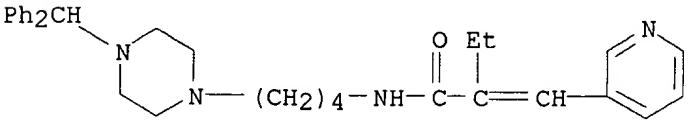
RN 107754-64-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-2-methyl-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107754-66-5 CAPLUS
CN Butanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-(3-pyridinylmethylene)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

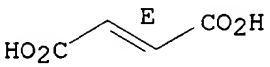
CRN 107754-65-4
CMF C31 H38 N4 O



CM 2

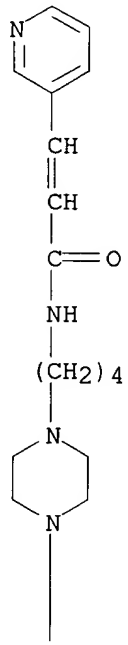
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

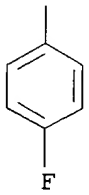


RN 107754-68-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(4-fluorophenyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



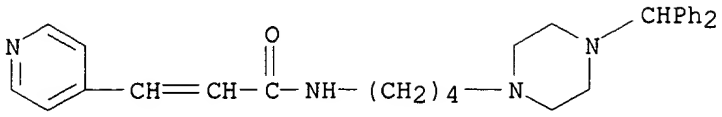
PAGE 2-A



RN 107754-70-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(4-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

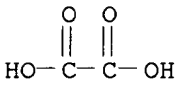
CM 1

CRN 107754-69-8
CMF C29 H34 N4 O

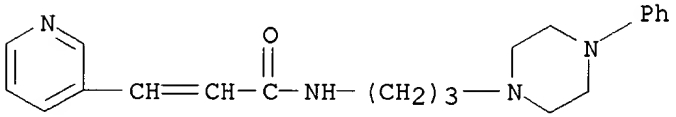


CM 2

CRN 144-62-7
CMF C2 H2 O4

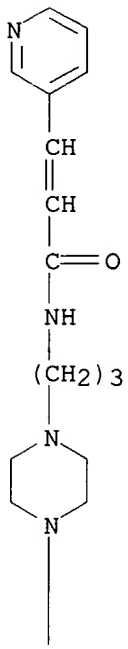


RN 107754-71-2 CAPLUS
CN 2-Propenamide, N-[3-(4-phenyl-1-piperazinyl)propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

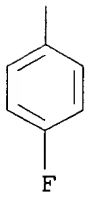


RN 107754-72-3 CAPLUS
CN 2-Propenamide, N-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

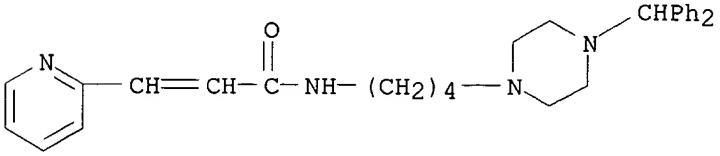
PAGE 1-A



PAGE 2-A



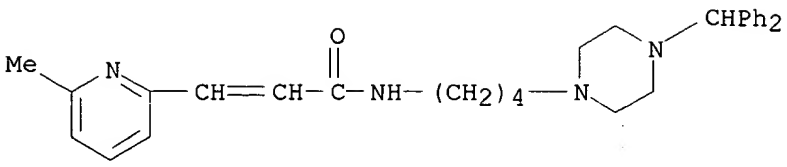
RN 107754-73-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107754-75-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-2-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

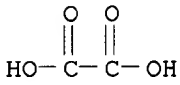
CM 1

CRN 107754-74-5
CMF C30 H36 N4 O

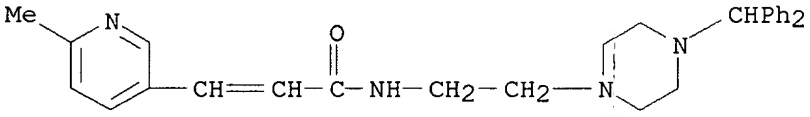


CM 2

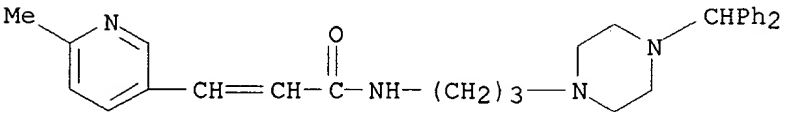
CRN 144-62-7
CMF C2 H2 O4



RN 107754-76-7 CAPLUS
CN 2-Propenamide, N-[2-[4-(diphenylmethyl)-1-piperazinyl]ethyl]-3-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



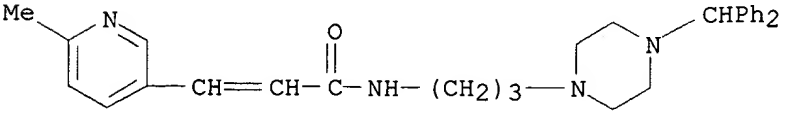
RN 107754-77-8 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107754-78-9 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(6-methyl-3-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

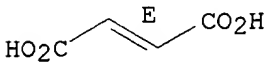
CRN 107754-77-8
CMF C29 H34 N4 O



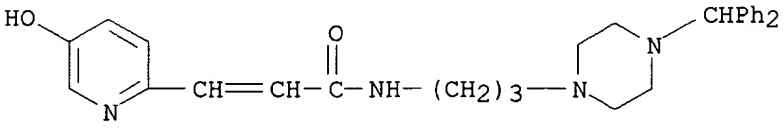
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

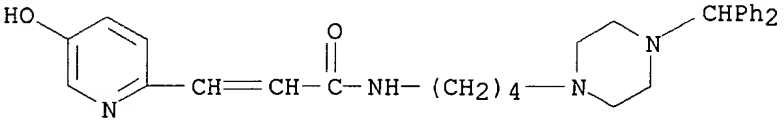
Double bond geometry as shown.



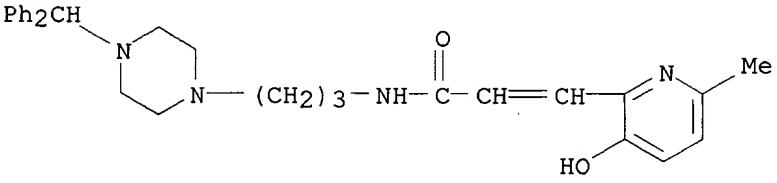
RN 107754-80-3 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(5-hydroxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



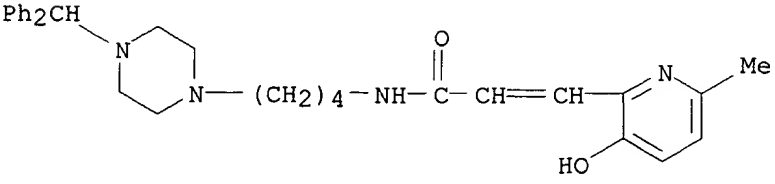
RN 107754-81-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-hydroxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107754-82-5 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(3-hydroxy-6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



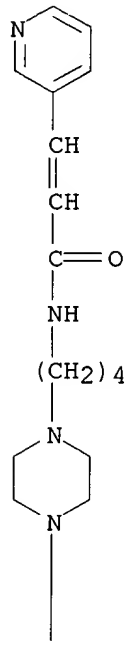
RN 107754-83-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-hydroxy-6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



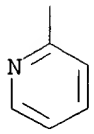
RN 107754-84-7 CAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[4-(2-pyridinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

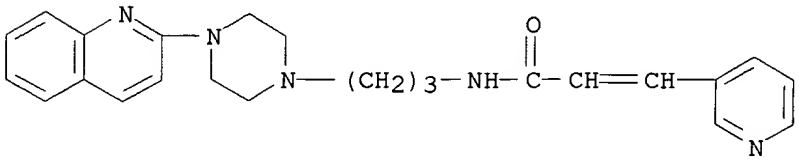
PAGE 1-A



PAGE 2-A



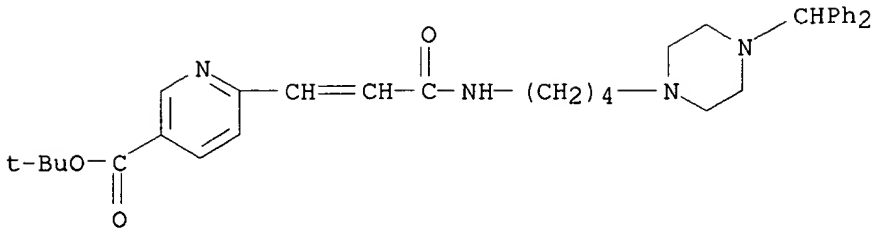
RN 107754-85-8 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-[3-[4-(2-quinolinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



RN 107754-87-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[3-[[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]amino]-3-oxo-1-propenyl]-, 1,1-dimethylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

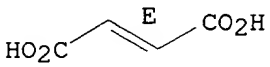
CRN 107754-86-9
CMF C34 H42 N4 O3



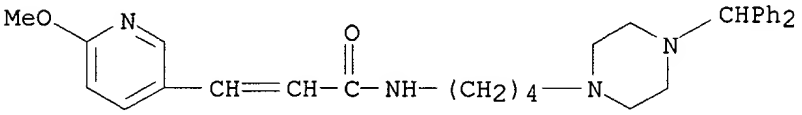
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

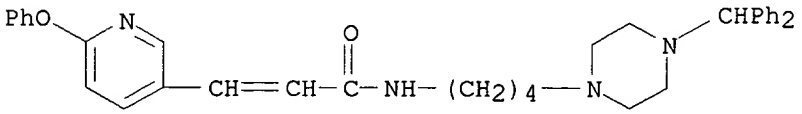
Double bond geometry as shown.



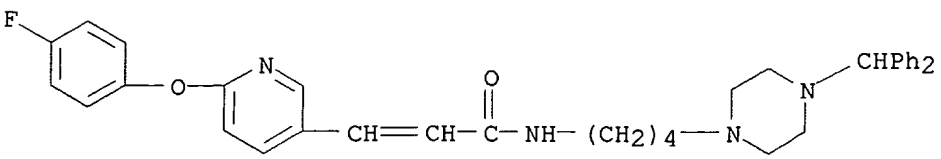
RN 107754-88-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



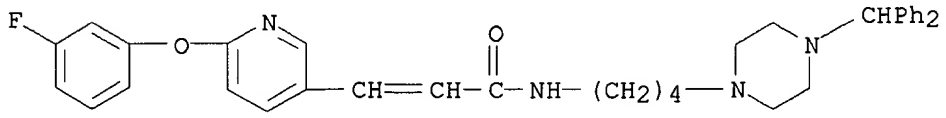
RN 107754-89-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-phenoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



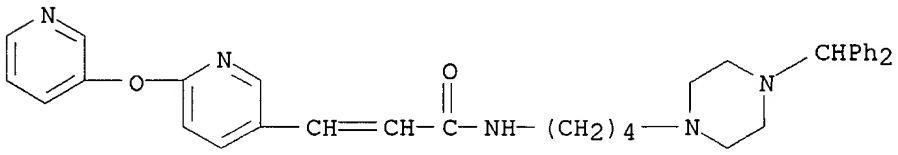
RN 107754-90-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(4-fluorophenoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 107754-91-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(3-fluorophenoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



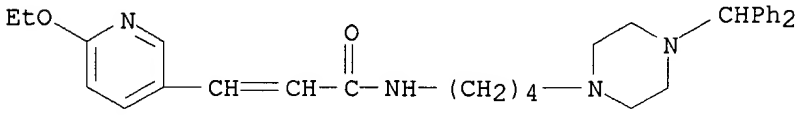
RN 107754-92-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(3-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 107754-94-9 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-ethoxy-3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

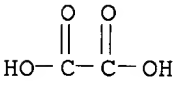
CM 1

CRN 107754-93-8
CMF C31 H38 N4 O2

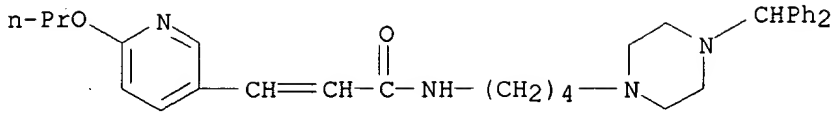


CM 2

CRN 144-62-7
CMF C2 H2 O4



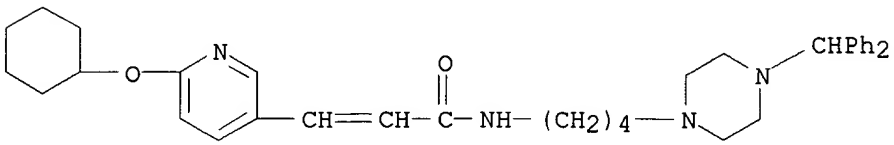
RN 107754-95-0 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-propoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107754-97-2 CAPLUS
CN 2-Propenamide, 3-[6-(cyclohexyloxy)-3-pyridinyl]-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

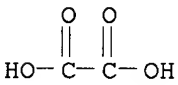
CM 1

CRN 107754-96-1
CMF C35 H44 N4 O2



CM 2

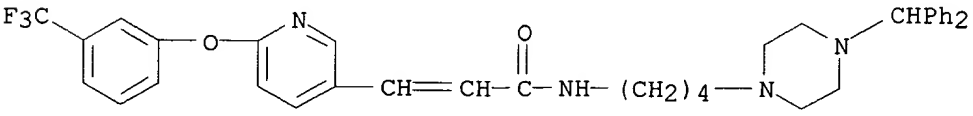
CRN 144-62-7
CMF C2 H2 O4



RN 107754-99-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-[3-(trifluoromethyl)phenoxy]-3-pyridinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

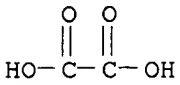
CM 1

CRN 107754-98-3
CMF C36 H37 F3 N4 O2



CM 2

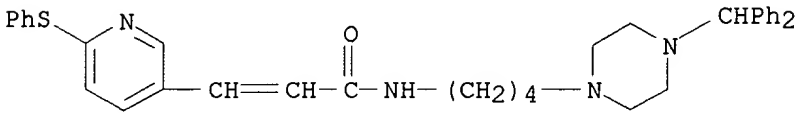
CRN 144-62-7
CMF C2 H2 O4



RN 107755-01-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(phenylthio)-3-pyridinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

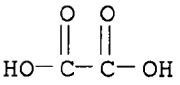
CM 1

CRN 107755-00-0
CMF C35 H38 N4 O S



CM 2

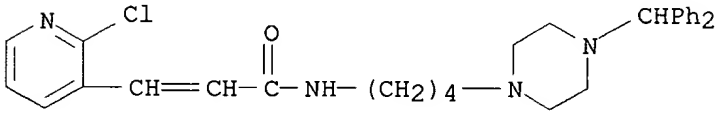
CRN 144-62-7
CMF C2 H2 O4



RN 107755-03-3 CAPLUS
CN 2-Propenamide, 3-(2-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

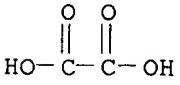
CM 1

CRN 107755-02-2
CMF C29 H33 Cl N4 O

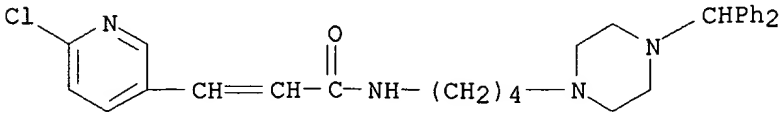


CM 2

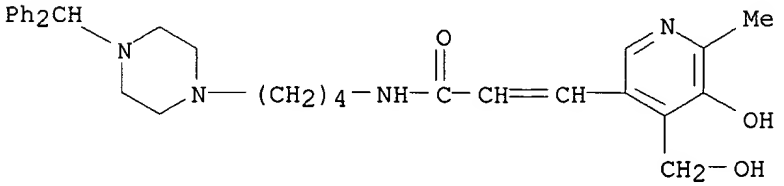
CRN 144-62-7
CMF C2 H2 O4



RN 107755-04-4 CAPLUS
CN 2-Propenamide, 3-(6-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



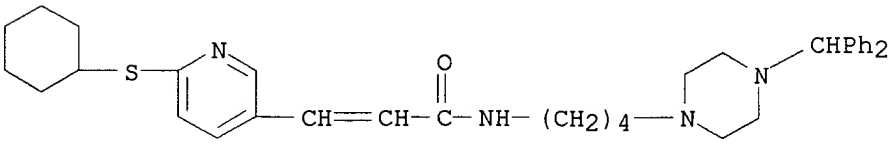
RN 107755-05-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 107755-07-7 CAPLUS
CN 2-Propenamide, 3-[6-(cyclohexylthio)-3-pyridinyl]-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

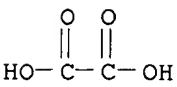
CM 1

CRN 107755-06-6
CMF C35 H44 N4 O S



CM 2

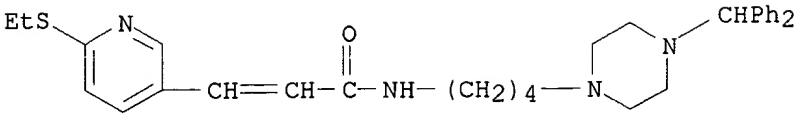
CRN 144-62-7
CMF C2 H2 O4



RN 107755-09-9 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(ethylthio)-3-pyridinyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

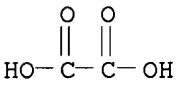
CM 1

CRN 107755-08-8
CMF C31 H38 N4 O S



CM 2

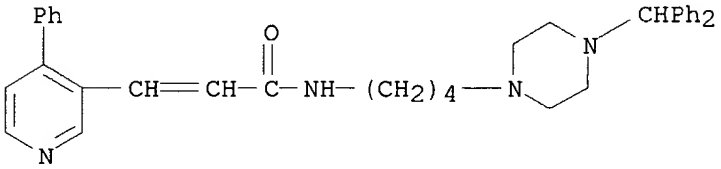
CRN 144-62-7
CMF C2 H2 O4



RN 107755-11-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(4-phenyl-3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

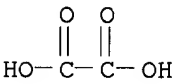
CM 1

CRN 107755-10-2
CMF C35 H38 N4 O



CM 2

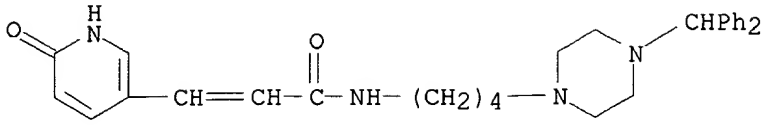
CRN 144-62-7
CMF C2 H2 O4



RN 107755-13-5 CAPLUS
CN 2-Propenamide, 3-(1,6-dihydro-6-oxo-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

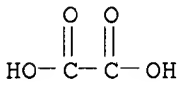
CM 1

CRN 107755-12-4
CMF C29 H34 N4 O2

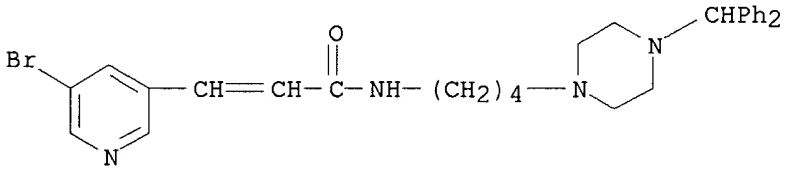


CM 2

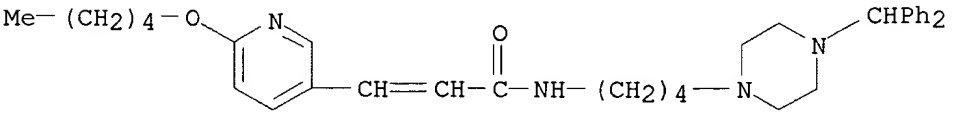
CRN 144-62-7
CMF C2 H2 O4



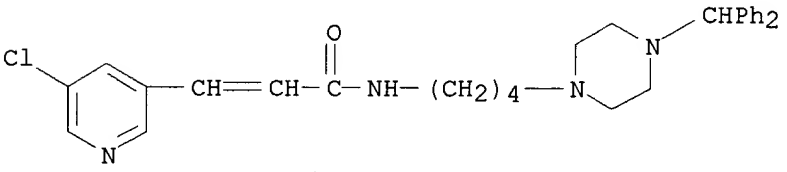
RN 107755-14-6 CAPLUS
CN 2-Propenamide, 3-(5-bromo-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



RN 107755-15-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(pentyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 107755-16-8 CAPLUS
CN 2-Propenamide, 3-(5-chloro-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

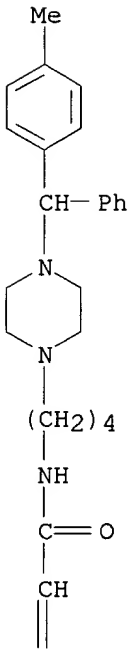


RN 107755-18-0 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

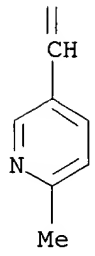
CM 1

CRN 107755-17-9
CMF C31 H38 N4 O

PAGE 1-A

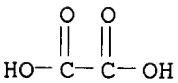


PAGE 2-A

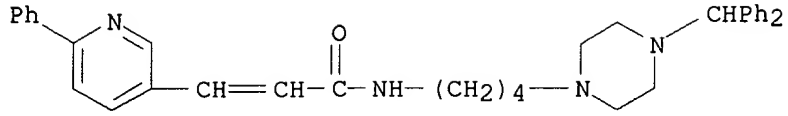


CM 2

CRN 144-62-7
CMF C2 H2 O4



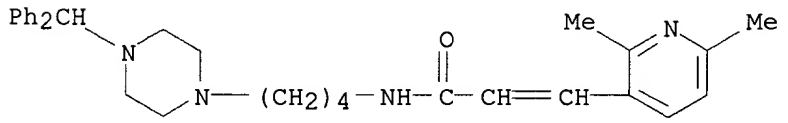
RN 107755-19-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-phenyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107755-21-5 CAPLUS
CN 2-Propenamide, 3-(2,6-dimethyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

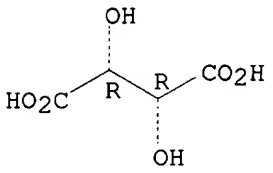
CRN 107755-20-4
CMF C31 H38 N4 O



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

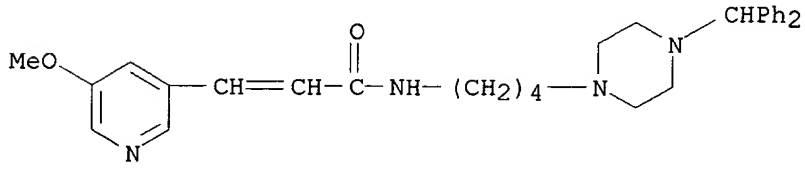
Absolute stereochemistry.



RN 107755-24-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-methoxy-3-pyridinyl)-, (2R,3R)-2,3-dihydroxybutanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

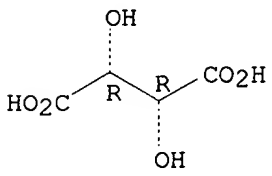
CRN 107755-23-7
CMF C30 H36 N4 O2



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

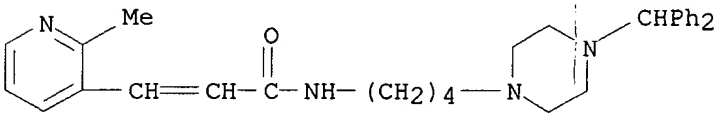
Absolute stereochemistry.



RN 107755-26-0 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(2-methyl-3-pyridinyl)-, (2R,3R)-2,3-dihydroxybutanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

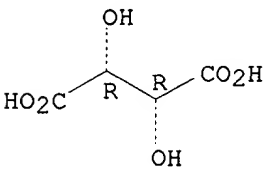
CRN 107755-25-9
CMF C30 H36 N4 O



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

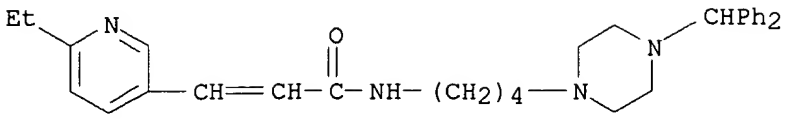
Absolute stereochemistry.



RN 107755-28-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-ethyl-3-pyridinyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

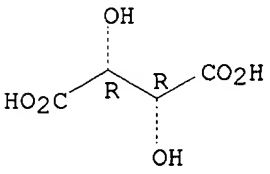
CRN 107755-27-1
CMF C31 H38 N4 O



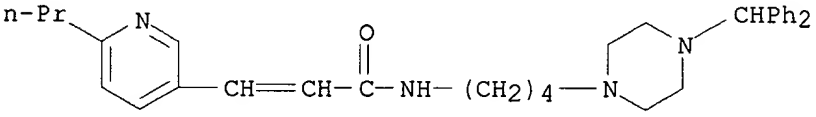
CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.



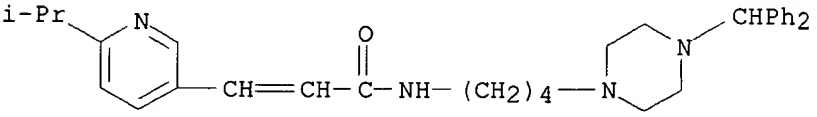
RN 107755-29-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-propyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107755-31-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(1-methylethyl)-3-pyridinyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

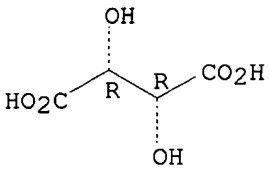
CRN 107755-30-6
CMF C32 H40 N4 O



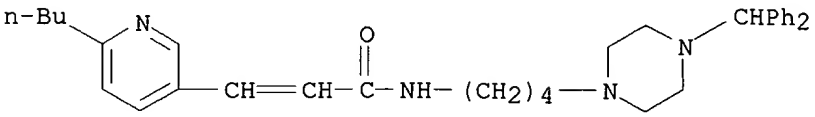
CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

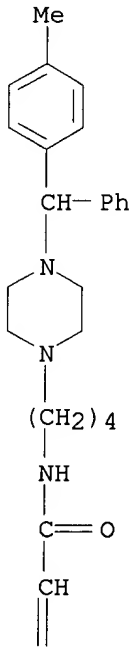


RN 107755-32-8 CAPLUS
CN 2-Propenamide, 3-(6-butyl-3-pyridinyl)-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

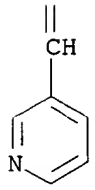


RN 107755-33-9 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

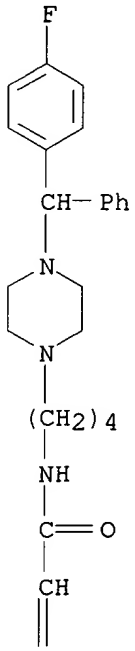


RN 107755-35-1 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-fluorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

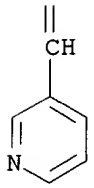
CM 1

CRN 107755-34-0
CMF C29 H33 F N4 O

PAGE 1-A

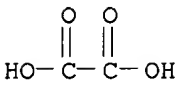


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

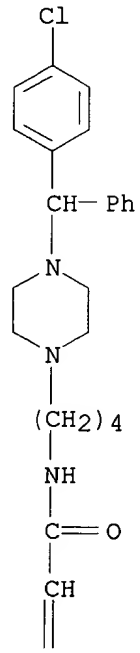


RN 107755-37-3 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

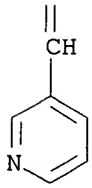
CM 1

CRN 107755-36-2
CMF C29 H33 Cl N4 O

PAGE 1-A

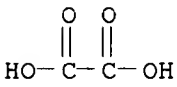


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

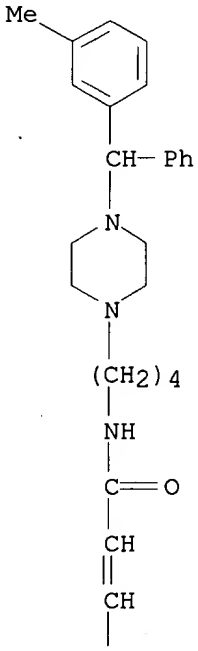


RN 107755-39-5 CAPLUS
CN 2-Propenamide, N-[4-[4-[(3-methylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

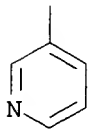
CM 1

CRN 107755-38-4
CMF C30 H36 N4 O

PAGE 1-A

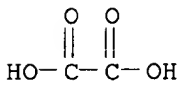


PAGE 2-A



CM 2

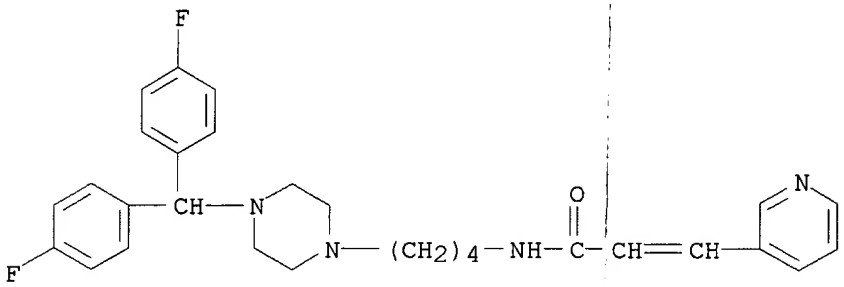
CRN 144-62-7
CMF C2 H2 O4



RN 107755-41-9 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

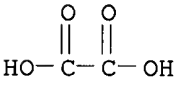
CM 1

CRN 107755-40-8
CMF C29 H32 F2 N4 O



CM 2

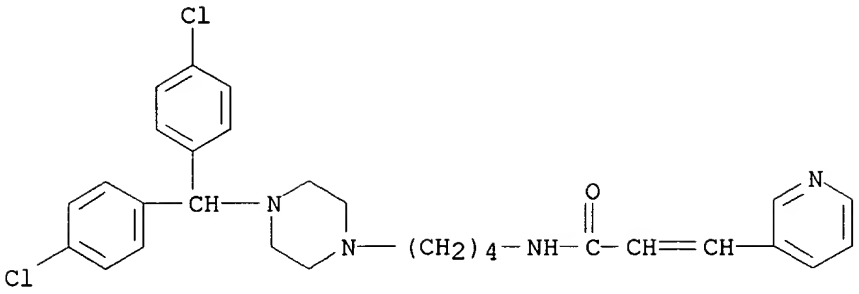
CRN 144-62-7
CMF C2 H2 O4



RN 107755-43-1 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-chlorophenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

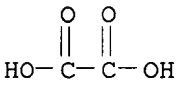
CM 1

CRN 107755-42-0
CMF C29 H32 Cl2 N4 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

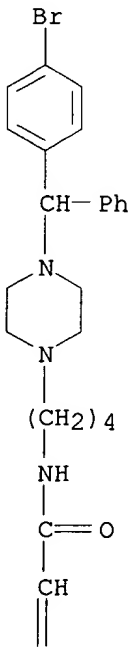


RN 107755-45-3 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-bromophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

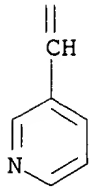
CM 1

CRN 107755-44-2
CMF C29 H33 Br N4 O

PAGE 1-A

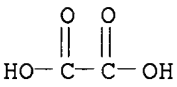


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

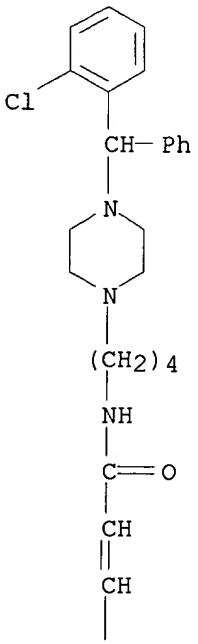


RN 107755-47-5 CAPLUS
CN 2-Propenamide, N-[4-[4-[(2-chlorophenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

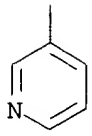
CM 1

CRN 107755-46-4
CMF C29 H33 Cl N4 O

PAGE 1-A

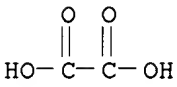


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

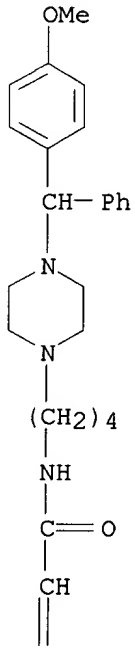


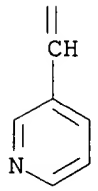
RN 107755-49-7 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-methoxyphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 107755-48-6
CMF C30 H36 N4 O2

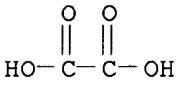
PAGE 1-A



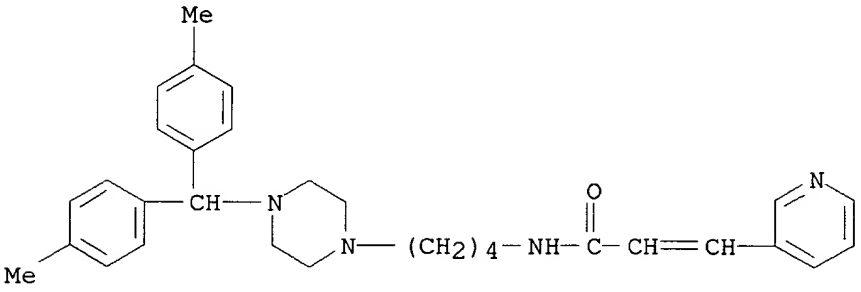


CM 2

CRN 144-62-7
CMF C2 H2 O4

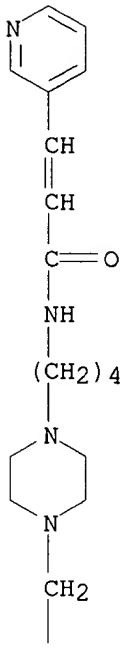


RN 107755-50-0 CAPLUS
CN 2-Propenamide, N-[4-[4-[bis(4-methylphenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

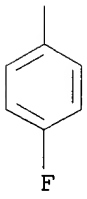


RN 107755-51-1 CAPLUS
CN 2-Propenamide, N-[4-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

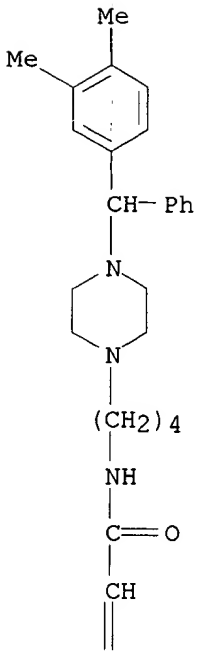


PAGE 2-A

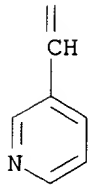


RN 107755-52-2 CAPLUS
CN 2-Propenamide, N-[4-[4-[(3,4-dimethylphenyl)phenylmethyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

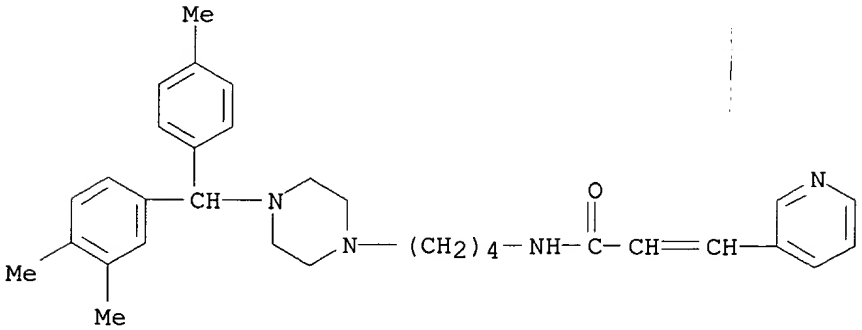
PAGE 1-A



PAGE 2-A



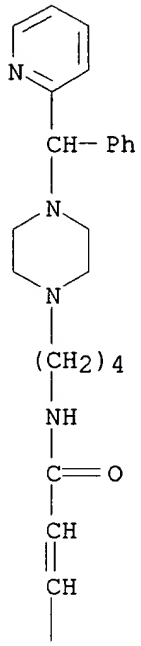
RN 107755-53-3 CAPLUS
CN 2-Propenamide, N-[4-[4-[(3,4-dimethylphenyl)(4-methylphenyl)methyl]-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



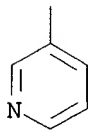
RN 107755-54-4 CAPLUS
CN 2-Propenamide, N-[4-[4-(phenyl-2-pyridinylmethyl)-1-piperazinyl]butyl]-3-

(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

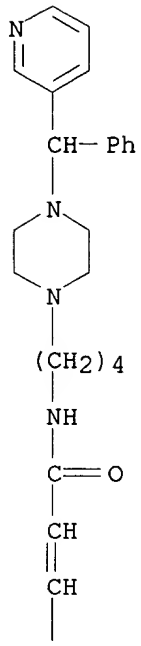


PAGE 2-A

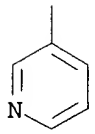


RN 107755-55-5 CAPLUS
CN 2-Propenamide, N-[4-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

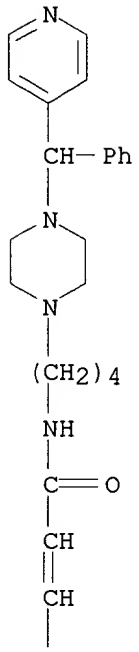


PAGE 2-A

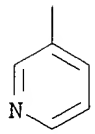


RN 107755-56-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(phenyl-4-pyridinylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

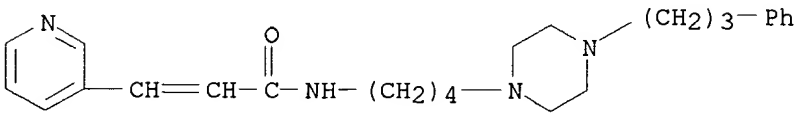
PAGE 1-A



PAGE 2-A



RN 107755-57-7 CAPLUS
CN 2-Propenamide, N-[4-[4-(3-phenylpropyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

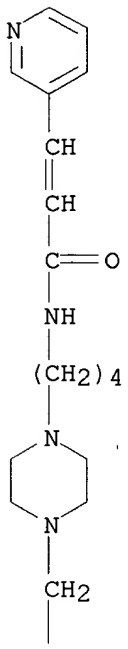


RN 107755-59-9 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[4-(3-pyridinylmethyl)-1-piperazinyl]butyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

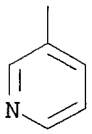
CM 1

CRN 107755-58-8
CMF C22 H29 N5 O

PAGE 1-A



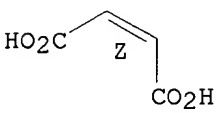
PAGE 2-A



CM 2

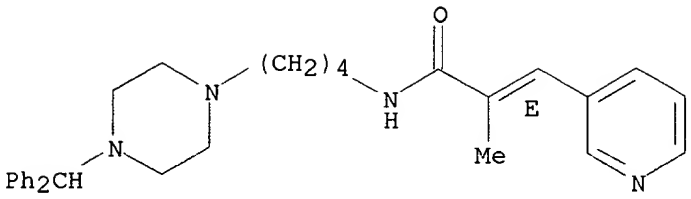
CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



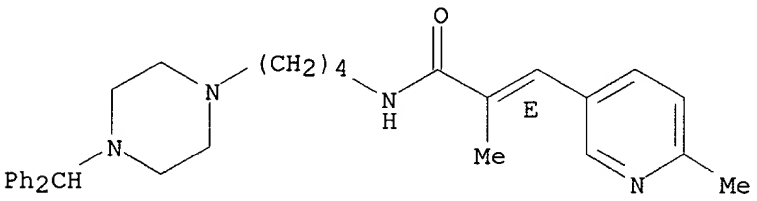
RN 107755-60-2 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-methyl-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 107755-61-3 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-methyl-3-(6-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

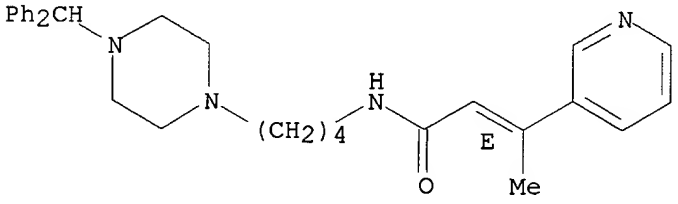


RN 107755-63-5 CAPLUS
CN 2-Butenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (2E)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 107755-62-4
CMF C30 H36 N4 O
CDES 2:E

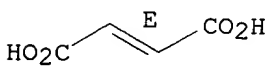
Double bond geometry as shown.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



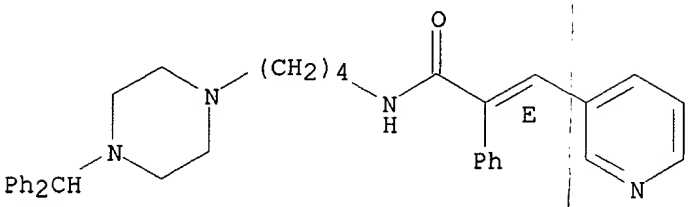
RN 107755-65-7 CAPLUS
CN Benzeneacetamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-.alpha.-(3-

pyridinylmethylene)-, (E)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

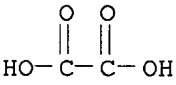
CRN 107755-64-6
CMF C35 H38 N4 O
CDES 2:E

Double bond geometry as shown.



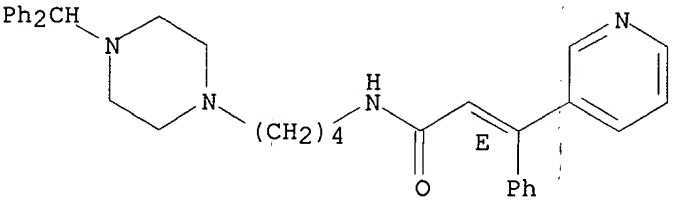
CM 2

CRN 144-62-7
CMF C2 H2 O4



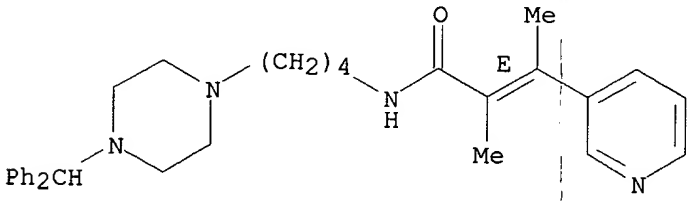
RN 107755-66-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-phenyl-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



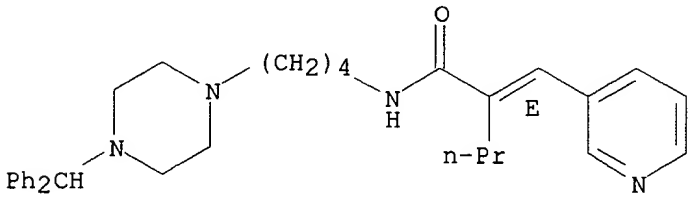
RN 107755-67-9 CAPLUS
CN 2-Butenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-methyl-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



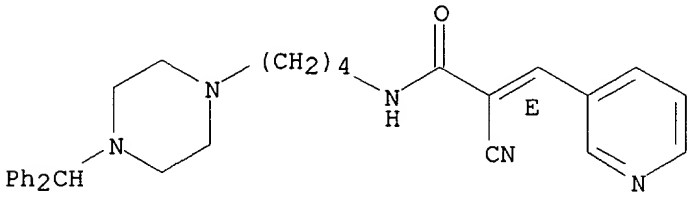
RN 107755-68-0 CAPLUS
CN Pentanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-(3-pyridinylmethylene)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 107755-69-1 CAPLUS
CN 2-Propenamide, 2-cyano-N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

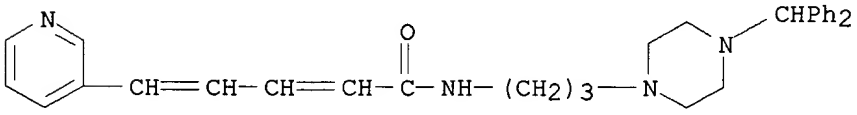
Double bond geometry as shown.



RN 107755-71-5 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-(3-pyridinyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

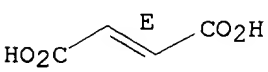
CRN 107755-70-4
CMF C30 H34 N4 O



CM 2

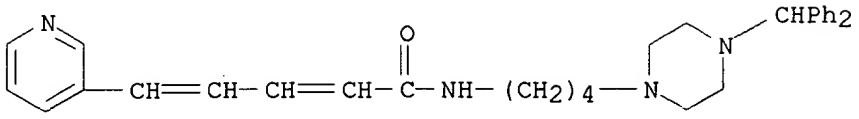
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

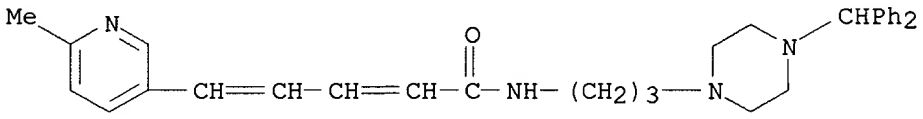


RN 107755-72-6 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-5-(3-

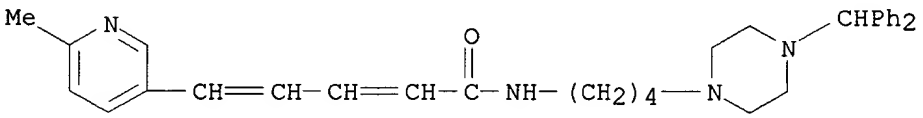
pyridinyl)- (9CI) (CA INDEX NAME)



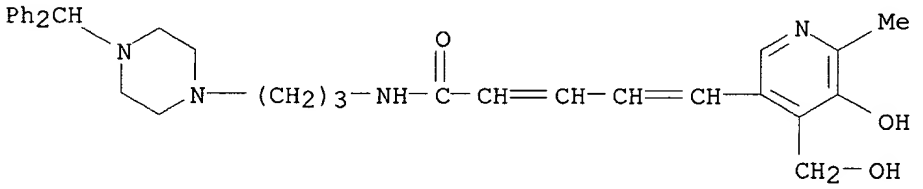
RN 107755-73-7 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



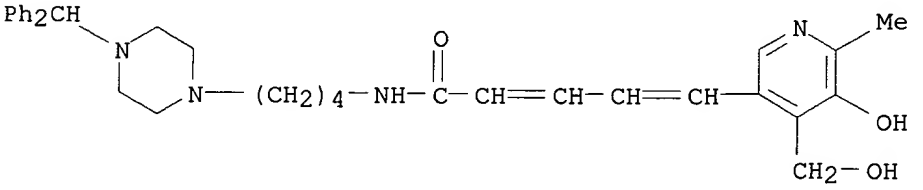
RN 107755-74-8 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-5-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 107755-75-9 CAPLUS
CN 2,4-Pentadienamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-5-[5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

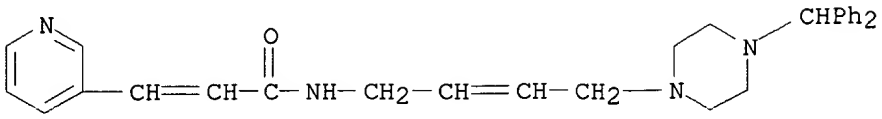


RN 107755-76-0 CAPLUS
CN 2,4-Pentadienamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-5-[5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

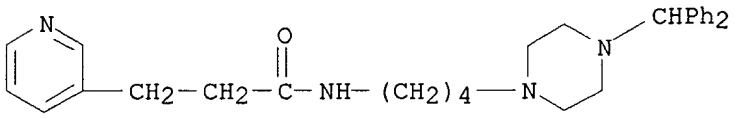


RN 107755-77-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]-2-butenyl]-3-(3-

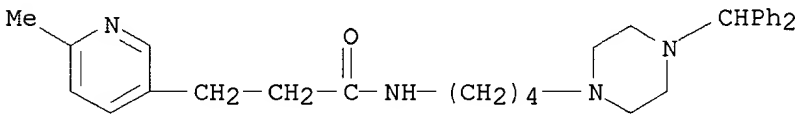
pyridinyl)- (9CI) (CA INDEX NAME)



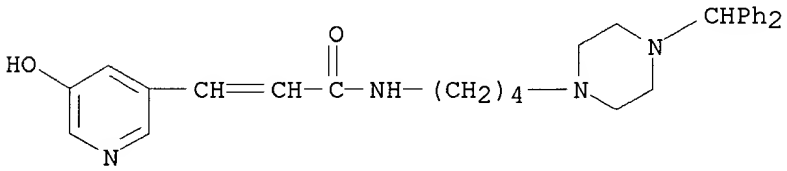
RN 107755-78-2 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



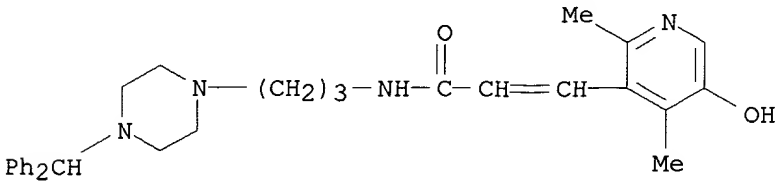
RN 107755-79-3 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-6-methyl- (9CI) (CA INDEX NAME)



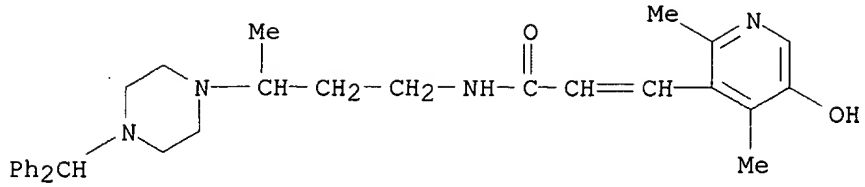
RN 107755-80-6 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-hydroxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



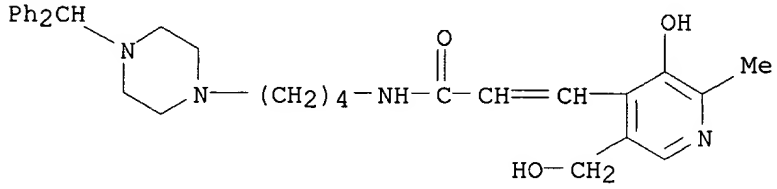
RN 107755-81-7 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(5-hydroxy-2,4-dimethyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



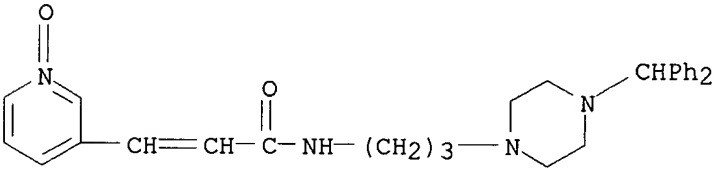
RN 107755-82-8 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(5-hydroxy-2,4-dimethyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



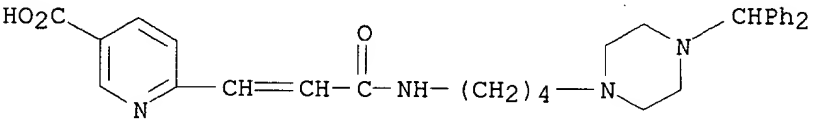
RN 107755-84-0 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[3-hydroxy-5-(hydroxymethyl)-2-methyl-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 107755-85-1 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

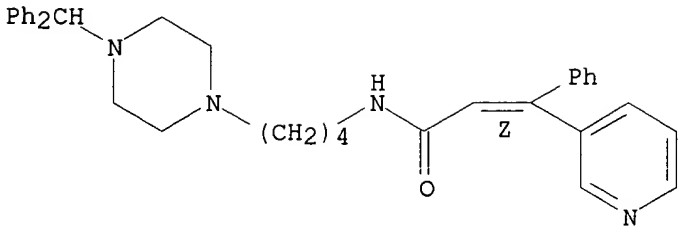


RN 107755-86-2 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[3-[[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)



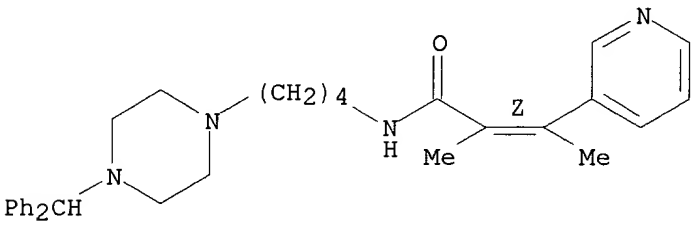
RN 107756-21-8 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-phenyl-3-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

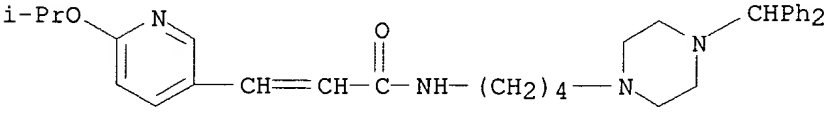


RN 107756-22-9 CAPLUS
CN 2-Butenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-2-methyl-3-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



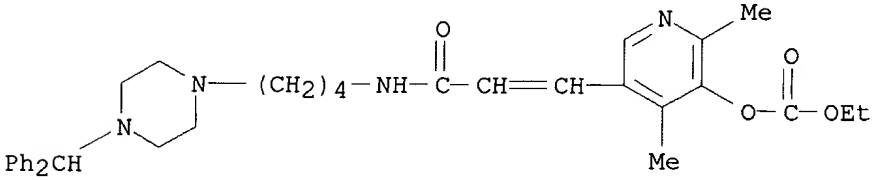
RN 107786-96-9 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-[6-(1-methylethoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 107787-00-8 CAPLUS
CN Carbonic acid, 5-[3-[[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]amino]-3-oxo-1-propenyl]-2,4-dimethyl-3-pyridinyl ethyl ester, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

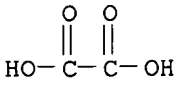
CRN 107786-99-2
CMF C34 H42 N4 O4



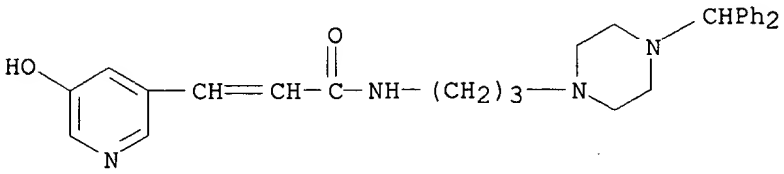
CM 2

09/ 596,001

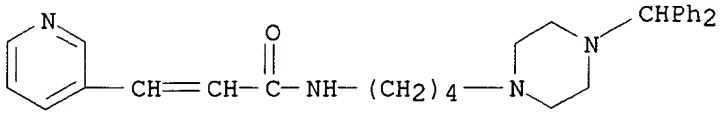
CRN 144-62-7
CMF C2 H2 O4



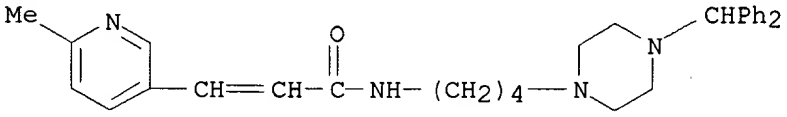
RN 107787-01-9 CAPLUS
CN 2-Propenamide, N-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-(5-hydroxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



IT **107754-61-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergy agent)
RN 107754-61-0 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



IT **107754-62-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergy tablet)
RN 107754-62-1 CAPLUS
CN 2-Propenamide, N-[4-[4-(diphenylmethyl)-1-piperazinyl]butyl]-3-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



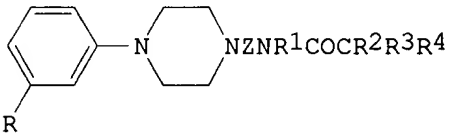
L4 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1982:438956 CAPLUS
DOCUMENT NUMBER: 97:38956
TITLE: Phenyl piperazine derivatives having antiagressive activity
INVENTOR(S): Haeck, Hans Heinz; Hillen, Feddo Cornelius
PATENT ASSIGNEE(S): Duphar International Research B. V., Neth.
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

09/ 596,001

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 48045	A1	19820324	EP 1981-200929	19810825
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
NL 8005133	A	19820401	NL 1980-5133	19800912
AU 8175029	A1	19820318	AU 1981-75029	19810908
HU 27588	O	19831028	HU 1981-2582	19810908
HU 184966	B	19841128		
FI 8102808	A	19820313	FI 1981-2808	19810909
DK 8104000	A	19820313	DK 1981-4000	19810909
JP 57081464	A2	19820521	JP 1981-141150	19810909
ZA 8106256	A	19820825	ZA 1981-6256	19810909
DD 202152	A5	19830831	DD 1981-233166	19810909
CS 228532	P	19840514	CS 1981-6656	19810909
ES 505373	A1	19821201	ES 1981-505373	19810910
CA 1155116	A1	19831011	CA 1981-385649	19810911

PRIORITY APPLN. INFO.: NL 1980-5133 19800912
GI



I

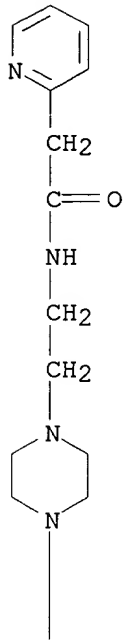
AB N-(Aminoalkyl)piperazines were N-acylated to yield N-(alkanamido)piperazines I [R = CF3; Z = (un)branched C1-4 alkylene; R1 = H, Me, Et; R2 = alkyl, Ph, alkyl-, alkoxy-, halo-, or (trifluoromethyl)phenyl, furyl, pyridyl, pyrimidyl, PhCH2, aryloxy, arylthio, arylsulfonyl, arylsulfinyl, heteroaryloxy; R3 = H, Me, aryl; R4 = H, Me, or R3R4 = alkylene], useful in the treatment of aggressive behavior (on data). 1-[3-(Trifluoromethyl)phenyl]-4-(2-aminoethyl)piperazine was treated with 1-phenylcyclohexanecarbonyl chloride in CH2Cl2 to give I [R = CF3, Z = CH2CH2, R1 = H, R2 = Ph, R3R4 = (CH2)5].

IT **82278-40-8P 82278-41-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

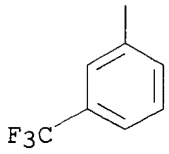
RN 82278-40-8 CAPLUS

CN 2-Pyridineacetamide, N-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

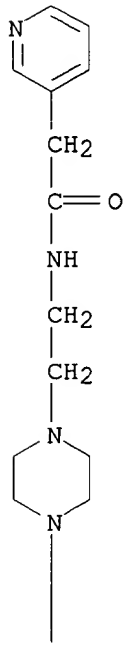


PAGE 2-A

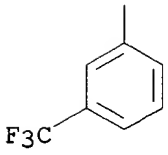


RN 82278-41-9 CAPLUS
CN 3-Pyridineacetamide, N-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



●x HCl

L4 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1974:403967 CAPLUS
DOCUMENT NUMBER: 81:3967
TITLE: Aminopiperazine derivatives
INVENTOR(S): Huguet, Gerard; Fauran, Claude; Raynaud, Guy; Turin, Michel; Thomas, Janine
PATENT ASSIGNEE(S): Delalande S. A.
SOURCE: Fr. Demande, 7 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2185400	A1	19740104	FR 1972-18690	19720525
FR 2185400	B1	19750620		

09/ 596,001

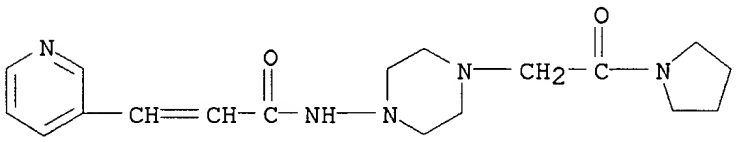
GI For diagram(s), see printed CA Issue.

AB Acrylamido-piperazines I (R1 = 2-furyl, 2-thienyl, 3-pyridyl, 1-naphthyl, cyclohexy) and their maleate salts, which posses analgesic, anticholinergic, antiinflammatory, hypotensive, respiratory analeptic, and vasodilatory activity, were prepd. in 50-74% yields by acylating aminopiperazine II with R1CH:CHCOCl. Thus, II was treated with R1CH:CHCOCl (R1 = 2-furyl) to give the corresponding I, which was converted to its maleate salt in 68% yield.

IT **52331-54-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 52331-54-1 CAPLUS

CN 2-Propenamide, N-[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 10:15:38 ON 24 OCT 2001)

FILE 'REGISTRY' ENTERED AT 10:16:32 ON 24 OCT 2001
L1 STRUCTURE UPLOADED
L2 6 S L1
L3 311 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:18:14 ON 24 OCT 2001
L4 25 S L3

=> log y

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* *

09/ 596,001

STN INTERNATIONAL LOGOFF AT 10:23:35 ON 24 OCT 2001